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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10	OCT 27	Free display of legal status information in CA/CAplus, USPATFULL, and USPAT2 in the month of November.

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:13:55 ON 18 NOV 2009

=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.88	0.88

FILE 'REGISTRY' ENTERED AT 14:16:01 ON 18 NOV 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 NOV 2009 HIGHEST RN 1192511-54-8
DICTIONARY FILE UPDATES: 16 NOV 2009 HIGHEST RN 1192511-54-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

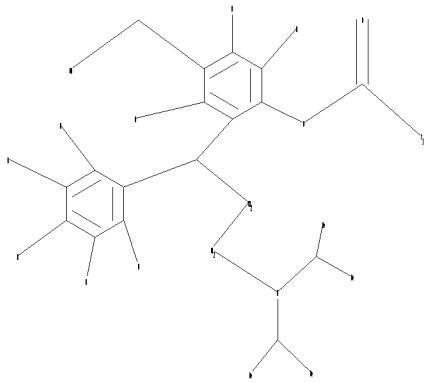
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

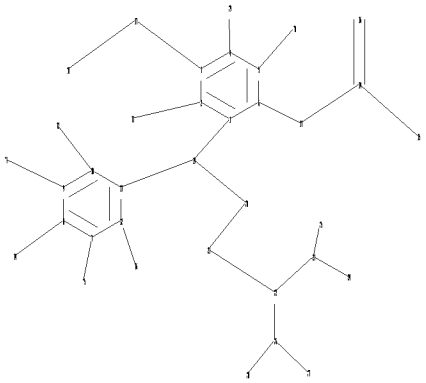
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\GO-FREE.str





chain nodes :
13 14 15 16 17 18 19 20 21 22 23 24 25 26 27 28 29 30 31 32 33
34 35 36
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-19 2-31 3-17 4-29 5-30 6-13 7-35 8-34 9-33 10-32 11-19 12-36 13-14
14-15 14-16 17-18 19-20 20-21 21-22 22-23 22-26 23-24 23-25 26-27 26-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
6-13 13-14 14-15 14-16 17-18 22-23 22-26
exact bonds :
1-19 2-31 3-17 4-29 5-30 7-35 8-34 9-33 10-32 11-19 12-36 19-20 20-21
21-22 23-24 23-25 26-27 26-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

G1:Cb,Cy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS
35:CLASS 36:CLASS

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL
FULL SEARCH INITIATED 14:16:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 131 TO ITERATE

100.0% PROCESSED 131 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01

L2 48 SEA SSS FUL L1

=> FILE CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 185.88 186.76

FILE 'CAPLUS' ENTERED AT 14:16:46 ON 18 NOV 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 18 Nov 2009 VOL 151 ISS 21
FILE LAST UPDATED: 17 Nov 2009 (20091117/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC)

reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/CAplus family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

```
=> S L2
L3          55 L2

=> S L2 AND TRANSDERMAL
            55 L2
         18378 TRANSDERMAL
L4           5 L2 AND TRANSDERMAL

=> S L3 AND SKIN
        314669 SKIN
L5           2 L3 AND SKIN

=> S L3 AND DEVICE
       1099698 DEVICE
L6           1 L3 AND DEVICE

=> S L3 AND DELIVERY
        348206 DELIVERY
L7          25 L3 AND DELIVERY

=> S L3 AND TRANSDERMAL DELIVERY
         18378 TRANSDERMAL
        348206 DELIVERY
          3041 TRANSDERMAL DELIVERY
                 (TRANSDERMAL(W)DELIVERY)
L8           3 L3 AND TRANSDERMAL DELIVERY

=> D L3 IBIB ABS HITSTR 1-3

L3   ANSWER 1 OF 55  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2009:1260506  CAPLUS
DOCUMENT NUMBER:         151:469844
TITLE:                   Preparation of deuterated derivatives of
                         3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-3-
                         phenylpropylamine (tolterodine) for therapeutic use
INVENTOR(S):             Liu, Julie F.
PATENT ASSIGNEE(S):      Concert Pharmaceuticals Inc., USA
SOURCE:                  PCT Int. Appl., 50pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:
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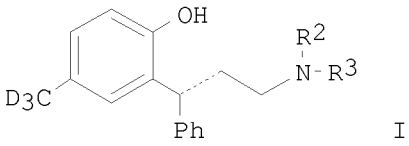
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009126844	A2	20091015	WO 2009-US40126	20090409

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-43729P P 20080409

GI



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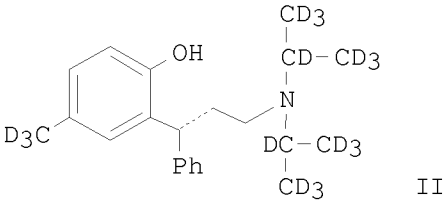


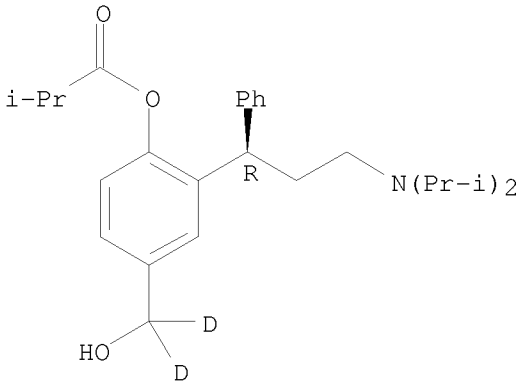
II

AB This invention relates to novel derivs. of tolterodine, 5-hydroxymethyl tolterodine, fesoterodine of formula (I) (wherein R2 and R3 are independently selected from -CD(CD3)2 and -CH(CH3)2) and pharmaceutically acceptable salts thereof. This invention also provides compns. comprising a compound of this invention and the use of such compns. in methods of treating diseases and conditions that are beneficially treated by muscarinic receptor antagonists (no data). Example compound II was prepared by a multi-step process culminating in the reaction of (R)-3-(2-(benzyloxy)-5-(benzyloxymethyl)phenyl)-3-phenylpropanoyl chloride with diisopropyl amine-d14 followed by reduction of the carbonyl group and deprotection of the phenolic alc. to give II as a yellow oil (72% yield). Deuteration may contribute to increased stability of the compds. of this invention in biol. systems. Select I were evaluated in human liver microsomes metabolic stability assays (data given).

IT 1126611-85-5P 1126611-88-8P 1191280-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of deuterated derivs. of tolterodine for therapeutic use)

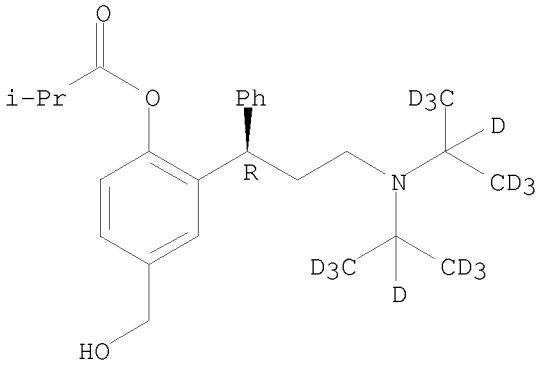
RN 1126611-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



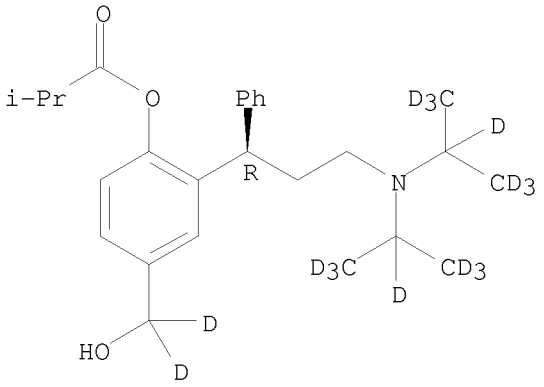
RN 1126611-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1191280-74-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L3 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1235711 CAPLUS
DOCUMENT NUMBER: 151:433892
TITLE: Novel mandelate salt of fesoterodine
INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon
PATENT ASSIGNEE(S): Actavis Group Ptc Ehf, Iceland
SOURCE: PCT Int. Appl., 31pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

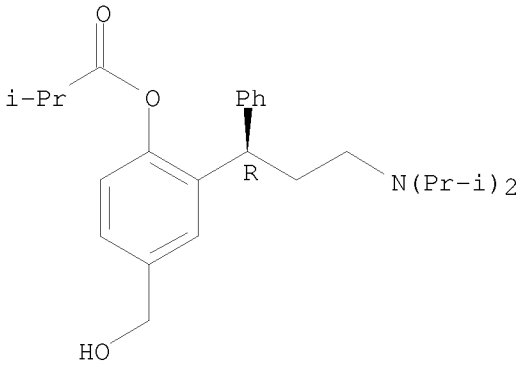
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009122303	A2	20091008	WO 2009-IB5679	20090406
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2008CH00862	A	20091009	IN 2008-CH862	20080404
PRIORITY APPLN. INFO.:			IN 2008-CH862 A	20080404

OTHER SOURCE(S): CASREACT 151:433892

AB Provided herein is a novel mandelate salt of fesoterodine, process for the preparation, pharmaceutical compns., and method of treating thereof. Provided also herein are solid state forms of fesoterodine mandelate, process for the preparation, pharmaceutical compns., and method of treating thereof. The mandelate salt of fesoterodine is useful for preparing fesoterodine free base or a pharmaceutically acceptable salt thereof, particularly fesoterodine fumarate, in high purity.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

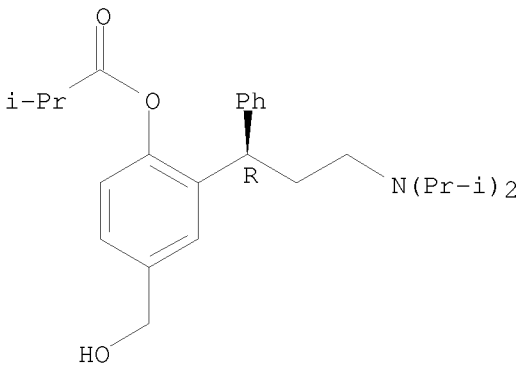


IT 286930-03-8, Fesoterodine fumarate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

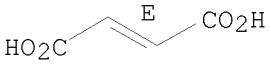
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

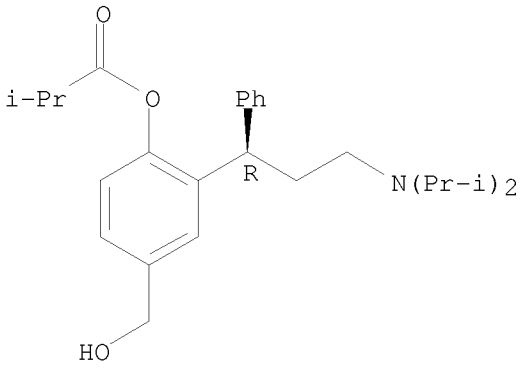


IT 1189518-24-8P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 1189518-24-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

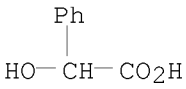
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 90-64-2
CMF C8 H8 O3

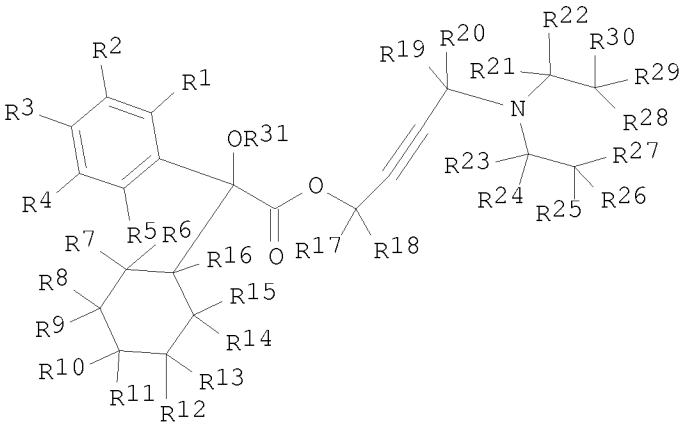


L3 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1207949 CAPLUS

DOCUMENT NUMBER: 151:425350
TITLE: Preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators.
INVENTOR(S): Gant, Thomas G.; Sarshar, Sepehr
PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 96pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090247628	A1	20091001	US 2009-409420		20090323
PRIORITY APPLN. INFO.:			US 2008-39166P	P	20080325

OTHER SOURCE(S): MARPAT 151:425350
GI



I

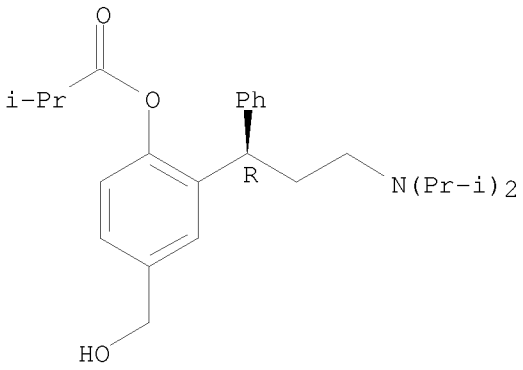
AB Title compds. (I; R1-R31 = H, D; ≥1 of R1-R31 = D), were prepared for treatment of incontinence, overactive bladder, etc. (no data). A procedure for preparation of I (R1-R30 = D; R31 = H) from C6D5CH(OH)CO2H, d16-cyclohexyl bromide, ClD2CCClDCD2Cl, and d11-diethylamine was given.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



=> D L3 IBIB ABS HITSTR 1-55

L3 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1260506 CAPLUS
DOCUMENT NUMBER: 151:469844
TITLE: Preparation of deuterated derivatives of 3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-3-phenylpropylamine (tolterodine) for therapeutic use
INVENTOR(S): Liu, Julie F.
PATENT ASSIGNEE(S): Concert Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 50pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009126844	A2	20091015	WO 2009-US40126	20090409

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-43729P P 20080409
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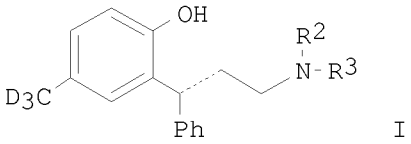
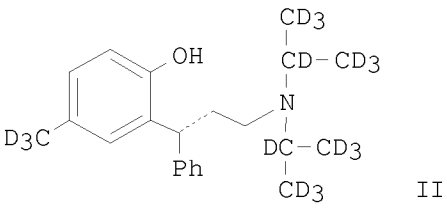


I



II

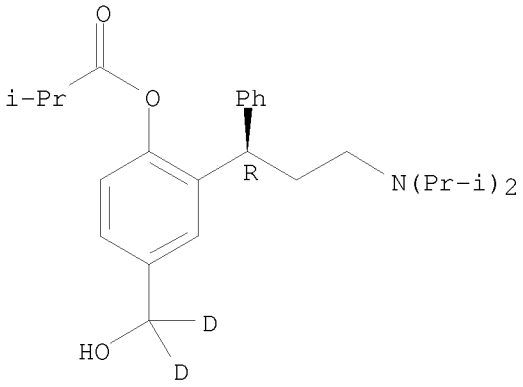
AB This invention relates to novel derivs. of tolterodine, 5-hydroxymethyl tolterodine, fesoterodine of formula (I) (wherein R2 and R3 are independently selected from -CD(CD3)2 and -CH(CH3)2) and pharmaceutically acceptable salts thereof. This invention also provides compns. comprising a compound of this invention and the use of such compns. in methods of treating diseases and conditions that are beneficially treated by muscarinic receptor antagonists (no data). Example compound II was prepared by a multi-step process culminating in the reaction of (R)-3-(2-(benzyloxy)-5-(benzyloxymethyl)phenyl)-3-phenylpropanoyl chloride with diisopropyl amine-d14 followed by reduction of the carbonyl group and deprotection of the phenolic alc. to give II as a yellow oil (72% yield). Deuteration may contribute to increased stability of the compds. of this invention in biol. systems. Select I were evaluated in human liver microsomes metabolic stability assays (data given).

IT 1126611-85-5P 1126611-88-8P 1191280-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of deuterated derivs. of tolterodine for therapeutic use)

RN 1126611-85-5 CAPLUS

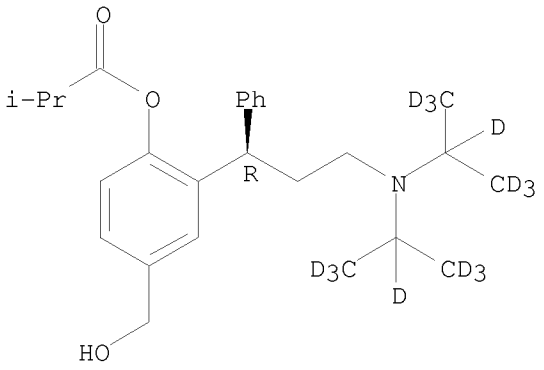
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



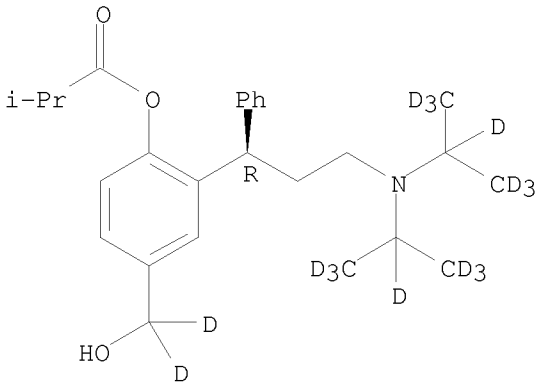
RN 1126611-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1191280-74-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L3 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1235711 CAPLUS
DOCUMENT NUMBER: 151:433892
TITLE: Novel mandelate salt of fesoterodine
INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon
PATENT ASSIGNEE(S): Actavis Group Ptc Ehf, Iceland
SOURCE: PCT Int. Appl., 31pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009122303	A2	20091008	WO 2009-IB5679	20090406
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2008CH00862	A	20091009	IN 2008-CH862	20080404
PRIORITY APPLN. INFO.:			IN 2008-CH862 A	20080404

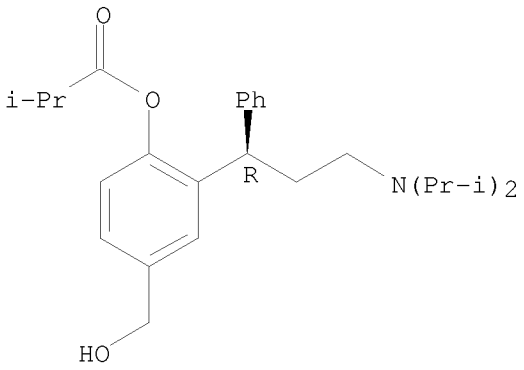
OTHER SOURCE(S): CASREACT 151:433892

AB Provided herein is a novel mandelate salt of fesoterodine, process for the preparation, pharmaceutical compns., and method of treating thereof. Provided also herein are solid state forms of fesoterodine mandelate, process for the preparation, pharmaceutical compns., and method of treating thereof. The mandelate salt of fesoterodine is useful for preparing fesoterodine free base or a pharmaceutically acceptable salt thereof, particularly fesoterodine fumarate, in high purity.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

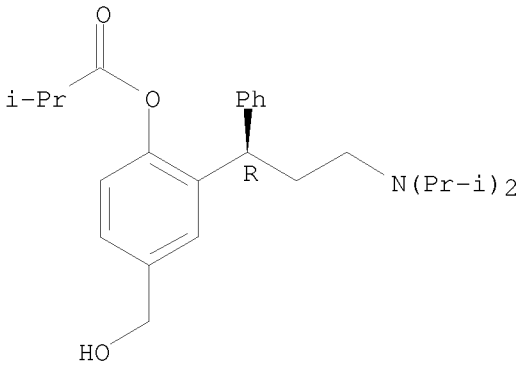


IT 286930-03-8, Fesoterodine fumarate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

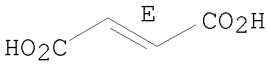
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

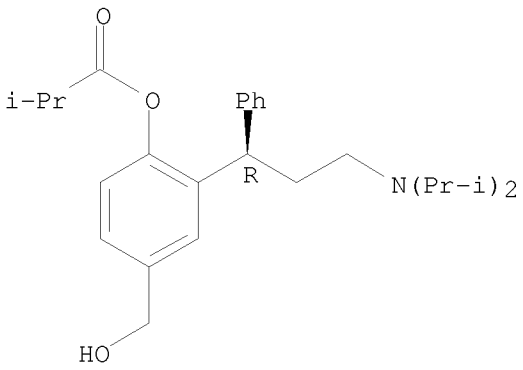


IT 1189518-24-8P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 1189518-24-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

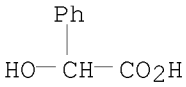
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 90-64-2
CMF C8 H8 O3

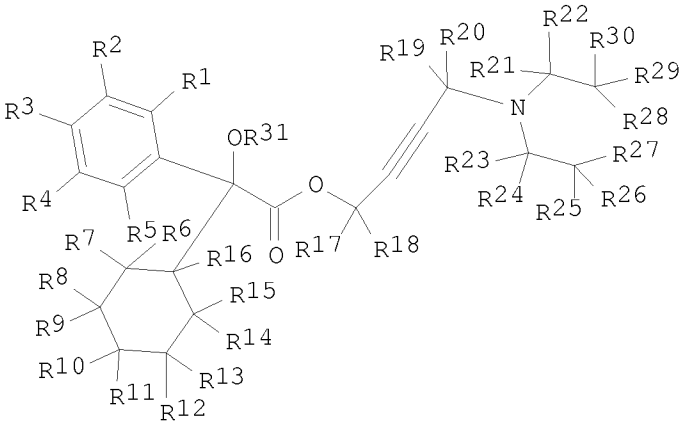


L3 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1207949 CAPLUS
DOCUMENT NUMBER: 151:425350
TITLE: Preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators.
INVENTOR(S): Gant, Thomas G.; Sarshar, Sepehr
PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 96pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090247628	A1	20091001	US 2009-409420		20090323
PRIORITY APPLN. INFO.:			US 2008-39166P	P	20080325

OTHER SOURCE(S): MARPAT 151:425350
GI



I

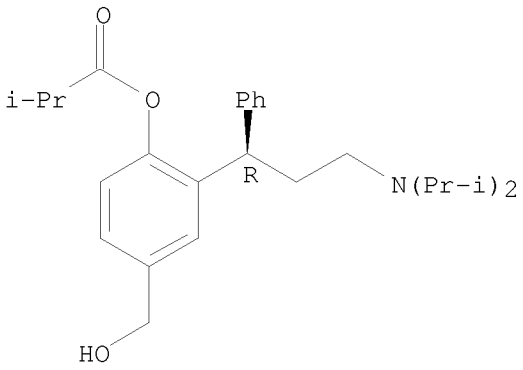
AB Title compds. (I; R1-R31 = H, D; ≥1 of R1-R31 = D), were prepared for treatment of incontinence, overactive bladder, etc. (no data). A procedure for preparation of I (R1-R30 = D; R31 = H) from C6D5CH(OH)CO2H, d16-cyclohexyl bromide, ClD2CCClDCD2Cl, and d11-diethylamine was given.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:671311 CAPLUS
DOCUMENT NUMBER: 151:15992
TITLE: The use of muscarinic receptor antagonists for the treatment of skin disorders
INVENTOR(S): Roach, Alan Geoffrey; Blackburn, Nigel; Tinsley, Jonathon Mark; Wilson, Fancis Xavier; Goldsmith, Paul
PATENT ASSIGNEE(S): Summit Corporation PLC, UK
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009068876	A1	20090604	WO 2008-GB3953	20081127
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:
GB 2007-23587 A 20071130
GB 2007-23588 A 20071130
GB 2007-23589 A 20071130

AB Muscarinic receptor antagonists for use as antibacterial agents are described, and in particular the use of certain muscarinic receptor antagonists that have dual antibacterial and anti-sebum secretion activity in the treatment of various skin disorders, including acne. Also described is the use of muscarinic receptor antagonists as anti-sebum agents and in cosmetic compns. for use in reducing facial shine and to cosmetic methods based thereon. Antibacterial and anti-sebum activity of

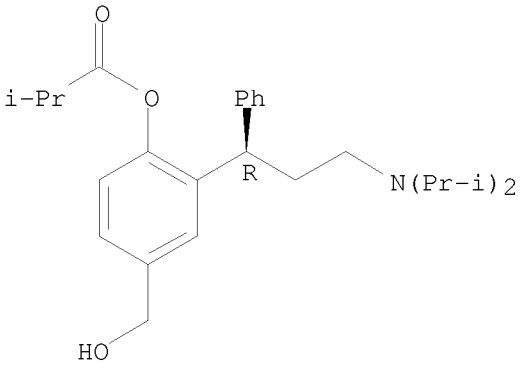
oxybutynin chloride was shown in male volunteers.

IT 286930-02-7, Fesoterodine
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of muscarinic receptor antagonists for treatment of skin disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:670446 CAPLUS
DOCUMENT NUMBER: 150:572448
TITLE: Transdermal delivery system for fesoterodine
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger., 26pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403
CN 1767820	A	20060503	CN 2004-80009176	20040403
CN 100441179	C	20081210		
JP 2006522759	T	20061005	JP 2006-504992	20040403
NZ 539214	A	20070223	NZ 2004-539214	20040403
AT 374605	T	20071015	AT 2004-725614	20040403
ES 2295848	T3	20080416	ES 2004-725614	20040403
MX 2005003561	A	20050617	MX 2005-3561	20050401
ZA 2005002681	A	20051013	ZA 2005-2681	20050401
US 20060029673	A1	20060209	US 2005-533683	20050426
KR 2006003334	A	20060110	KR 2005-718006	20050926
NO 2005004644	A	20051010	NO 2005-4644	20051010
US 20090274761	A1	20091105	US 2009-417405	20090402

PRIORITY APPLN. INFO.:	DE 2003-10315878	A	20030408
	WO 2004-EP3574	W	20040403
	US 2005-533683	A3	20050426

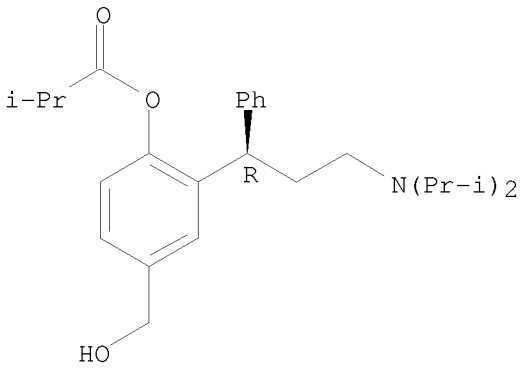
AB The invention concerns a transdermal drug delivery system for (R)-2 [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

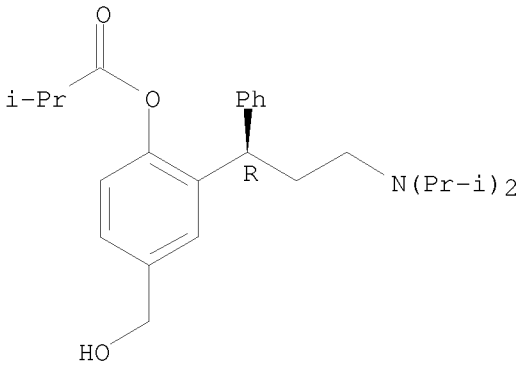


IT 286930-03-8P, Fesoterodine fumarate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(transdermal delivery system for fesoterodine)
RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

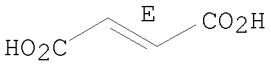
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:549505 CAPLUS
DOCUMENT NUMBER: 150:523645
TITLE: Combination of PDE5 inhibitors with muscarinic receptor antagonists
INVENTOR(S): Sandner, Peter; Tinel, Hanna; Huetter, Joachim
PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 13pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009056232	A2	20090507	WO 2008-EP8765	20081016

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

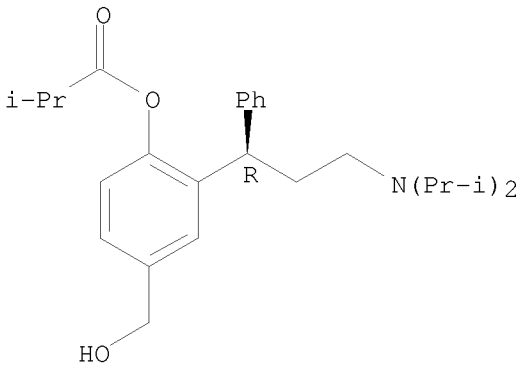
PRIORITY APPLN. INFO.: EP 2007-21181 A 20071030

AB The present invention relates to combinations of phosphodiesterases (PDEs) and muscarinic receptors or beta adrenergic receptors and the pharmacol. of PDE inhibitors and muscarinic receptor antagonists or beta adrenergic receptors.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of PDE5 inhibitors with muscarinic receptor antagonists)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:435647 CAPLUS
DOCUMENT NUMBER: 151:278670
TITLE: The pharmacokinetic profile of fesoterodine: similarities and differences to tolterodine
AUTHOR(S): Simon, Hans-Uwe; Malhotra, Bimal
CORPORATE SOURCE: Institute of Pharmacology, University of Bern, Bern, Switz.
SOURCE: Swiss Medical Weekly (2009), 139(9/10), 146-151
CODEN: SMWWAI; ISSN: 1424-7860
PUBLISHER: EMH Swiss Medical Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fesoterodine is a new antimuscarinic agent developed for the treatment of over-active bladder. Fesoterodine itself is inactive and is rapidly and extensively converted by ubiquitous esterases to its principal active moiety, 5-hydroxy-Me tolterodine (5-HMT). 5-HMT is formed via biotransformation of both fesoterodine and tolterodine, albeit by different metabolizing enzymes, viz. esterases and CYP2D6 resp. Tolterodine is a potent muscarinic receptor antagonist and has been used for the treatment of overactive bladder for over ten years. The objective of this study was to establish the pharmacokinetic profile of fesoterodine and to highlight its potential pharmacokinetic advantages over tolterodine. Single-center, open-label, randomized, 4-way crossover study in a total of 24 healthy male volunteers. Single oral doses of 4, 8, or 12 mg fesoterodine were administered after an overnight fast. In addition, the 8 mg dose was also administered after a standard high-fat and high-calorie breakfast. Blood and urine samples for the anal. of 5-HMT were collected before and multiple times after drug administration for pharmacokinetic anal. The mean peak plasma concentration (Cmax) of 5-HMT and the mean area under the time vs. concentration curve (AUC) increased proportionally with the fesoterodine dose. These two parameters were some 2-fold higher in CYP2D6 poor metabolisers, whereas the time to peak plasma concentration (tmax) and half life (t1/2) were not influenced by the dose or the CYP2D6 metabolizer status. If fesoterodine was taken following a high-fat breakfast, we observed small increases in Cmax and AUC. In spite of these modest genetic influences and food effects on the pharmacokinetics of fesoterodine, the overall interindividual variability in Cmax levels was relatively little

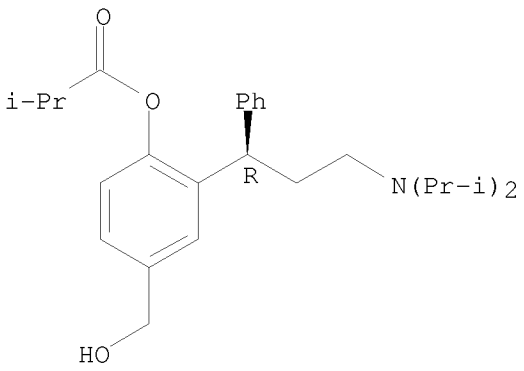
compared to previously published reports using tolterodine. Due to the esterase-mediated cytochrome P 450-independent formation of 5-HMT and involvement of multiple metabolic and renal excretion pathways in the elimination of 5-HMT, the effects of patient-intrinsic and -extrinsic factors on the pharmacokinetics of fesoterodine are only modest, with some 2-fold higher 5-HMT exposure. Therefore, in contrast to tolterodine, no reduction of fesoterodine dosage is required under conditions of reduced elimination. In most cases of drug interaction or renal/hepatic impairment, the fesoterodine dose may be increased to 8 mg/day based on individual patients' response, or patients may be required to remain at the initial recommended dose of 4 mg/day.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single dose administration of fesoterodine affected pharmacokinetic parameters of 5-hydroxymethyl tolterodine and its dosage reduction was not required compared to tolterodine in healthy human)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:425777 CAPLUS
DOCUMENT NUMBER: 150:406607
TITLE: Amorphous fesoterodine fumarate preparation and use in treating urinary incontinence
INVENTOR(S): Charugundla, Kishore; Chandramohan, Udhaya Kumar; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon
PATENT ASSIGNEE(S): Actavis Group PTC ehf, Iceland
SOURCE: PCT Int. Appl., 26pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009044278	A1	20090409	WO 2008-IB3105	20081001

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2007-CH2206 A 20071001

AB The present invention provides a novel amorphous form of fesoterodine fumarate, process for preparation, pharmaceutical compns., and method of treating thereof. Fesoterodine fumarate (2.0 g) was dissolved in a mixture of dichloromethane (35 mL) and methanol (15 mL) at 25-30° to obtain a clear solution The solvents were removed completely under vacuum at 40° and then dried for 12 h to give 1.8 g of fesoterodine fumarate in amorphous form (HPLC purity - 99.8%).

IT 286930-03-8P, Fesoterodine fumarate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amorphous fesoterodine fumarate preparation and use in treating urinary incontinence)

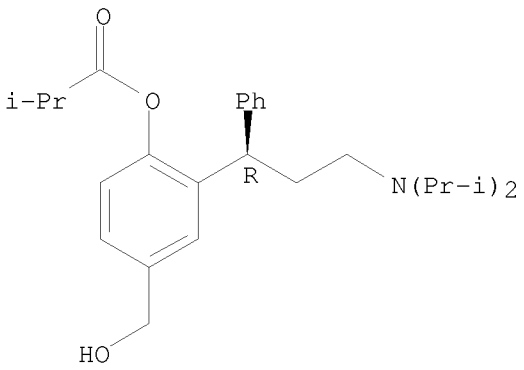
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

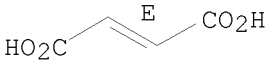
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:364437 CAPLUS
DOCUMENT NUMBER: 150:374130
TITLE: process for the preparation of fesoterodine from 4-phenyl-6-halochroman-2-ones
INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Patil, Rajendra Suryabhan; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon
PATENT ASSIGNEE(S): Actavis Group PTC ehf, Iceland
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009037569	A2	20090326	WO 2008-IB3098	20080922
WO 2009037569	A3	20090716		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: IN 2007-CH2129 A 20070921
IN 2007-CH3137 A 20071228
OTHER SOURCE(S): CASREACT 150:374130; MARPAT 150:374130

AB Fesoterodine was prepared in 10 steps starting from 4-phenyl-6-halochroman-2-ones (halo = F, Cl, Br, iodo). The process includes an improved and industrially advantageous optical resolution method of racemic (±)-N,N-diisopropyl-3-(2-benzyloxy-5-bromophenyl)-3-phenylpropylamine. Thus, racemic N,N-diisopropyl-3-(2-benzyloxy-5-bromophenyl)-3-phenylpropylamine (preparation given) was refluxed with

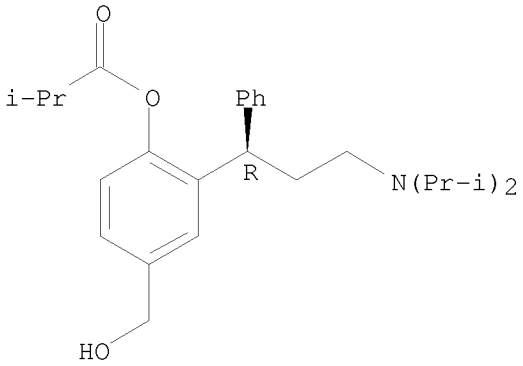
di-p-toluoyl-L-tartaric acid in Me2CHOH followed by cooling to 25-30° and filtration to give the salt of the (R)-amine. This in H2O was treated with Na2CO3 to pH 9-10 followed by extraction with CH2Cl2 to give (R)-N,N-diisopropyl-3-(2-benzyloxy-5-bromophenyl)-3-phenylpropylamine in 99.6% HPLC purity.

IT 286930-02-7P, Fesoterodine
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of fesoterodine from phenylhalochromanones)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 286930-03-8P, Fesoterodine fumarate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of fesoterodine from phenylhalochromanones)

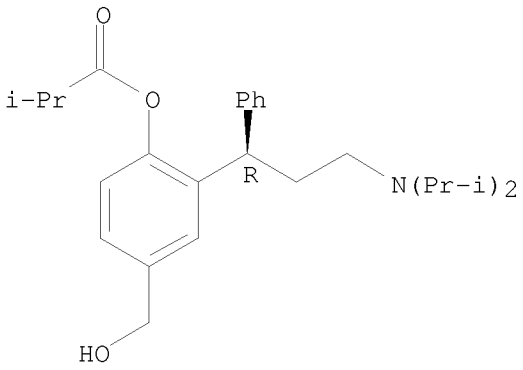
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

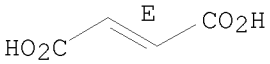
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L3 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:272626 CAPLUS
DOCUMENT NUMBER: 150:464021
TITLE: Comparison of receptor binding characteristics of commonly used muscarinic antagonists in human bladder detrusor and mucosa
AUTHOR(S): Mansfield, Kylie J.; Chandran, Jonathan J.; Vaux, Kenneth J.; Millard, Richard J.; Christopoulos, Arthur; Mitchelson, Frederick J.; Burcher, Elizabeth
CORPORATE SOURCE: Department of Pharmacology, School of Medical Sciences, University of New South Wales, Sydney, New South Wales, Australia
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2009), 328(3), 893-899
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Recent studies have described muscarinic receptors on the mucosa and the detrusor of the human urinary bladder. Muscarinic receptor antagonists are effective in the treatment of overactive bladder (OAB), but their site(s) of action and actual therapeutic target are unclear. Our aim was to compare, in human bladder mucosa and detrusor, the radioligand binding characteristics of newer, clin. effective agents: darifenacin, its hydroxylated metabolite UK-148,993, fesoterodine, solifenacin, tolterodine, and trospium. Specimens were collected from asymptomatic patients (50-72 years old) undergoing open bladder surgery. Radioligand

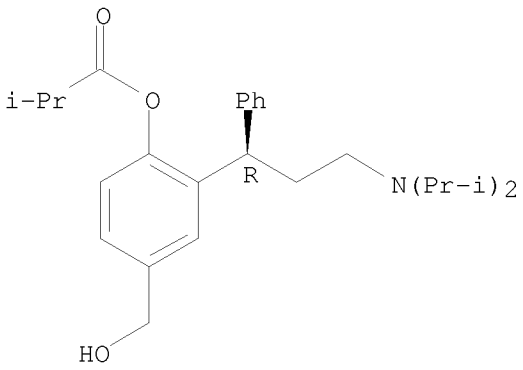
binding studies with the muscarinic antagonist [3H]quinuclidinyl benzilate (QNB) were performed sep. on detrusor and mucosal membranes. All antagonists displayed high affinity when competing for [3H]QNB binding in both detrusor and mucosa. Inhibition consts. were also obtained for all antagonists against individual muscarinic receptor subtypes expressed in Chinese hamster ovary cells. Here, fesoterodine showed anomalous binding results, suggesting that some conversion to its metabolite had occurred. Global nonlinear regression anal. of bladder binding data with five antagonists demonstrated 82% low-affinity sites in mucosa and 78% low-affinity sites in detrusor, probably representing M2/M4 receptors. There was an excellent correlation (r2 = 0.99) of low-affinity global ests. between detrusor and mucosa, whereas the corresponding high-affinity ests. (.apprx.20% of sites) were dissimilar. In conclusion, commonly used and clin. effective muscarinic receptor antagonists bind to receptors located on the bladder mucosa and the detrusor, providing support for the hypothesis that muscarinic receptors in the mucosa may represent an important site of action for these agents in OAB.

IT 286930-02-7, Fesoterodine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison of receptor binding characteristics of commonly used muscarinic antagonists in human bladder detrusor and mucosa)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:269549 CAPLUS
DOCUMENT NUMBER: 150:314119
TITLE: Deuterium-enriched fesoterodine
INVENTOR(S): Czarnik, Anthony W.
PATENT ASSIGNEE(S): Protia, LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 11pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090062385	A1	20090305	US 2008-198064		20080825
PRIORITY APPLN. INFO.:			US 2007-968596P	P	20070829

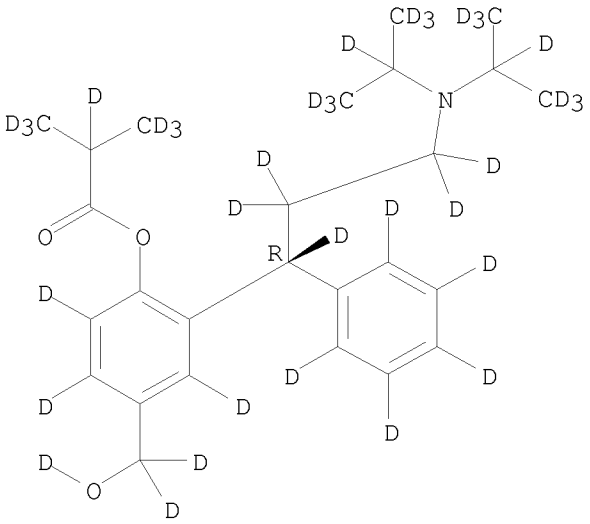
OTHER SOURCE(S): MARPAT 150:314119

AB The present application describes deuterium-enriched fesoterodine, pharmaceutically acceptable salt forms thereof, and methods of treating using the same. Markush structures are given (no data).

IT 1126611-81-1 1126611-82-2 1126611-83-3
1126611-84-4 1126611-85-5 1126611-86-6
1126611-87-7 1126611-88-8
RL: PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(deuterium-enriched fesoterodine)

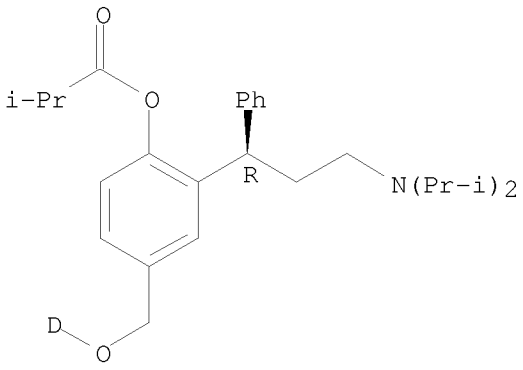
RN 1126611-81-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



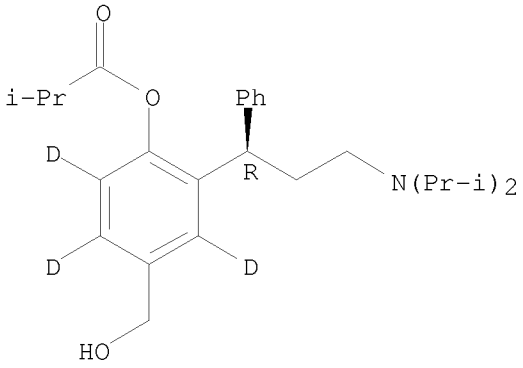
RN 1126611-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



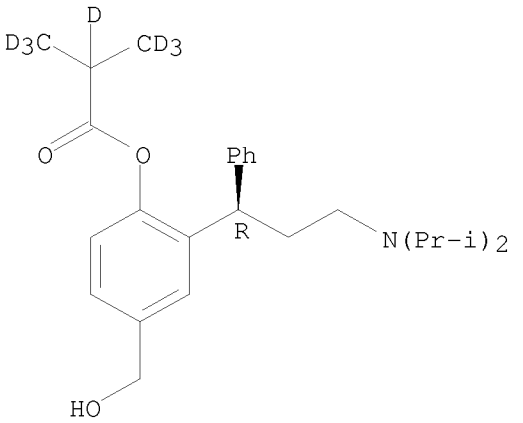
RN 1126611-83-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



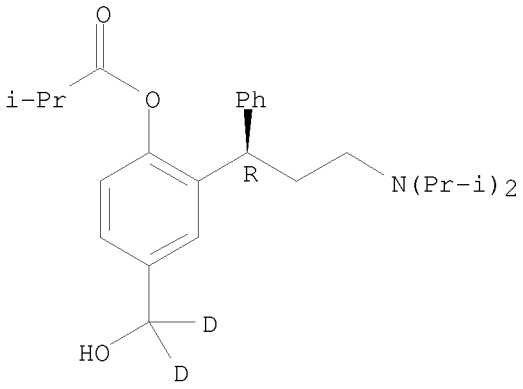
RN 1126611-84-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



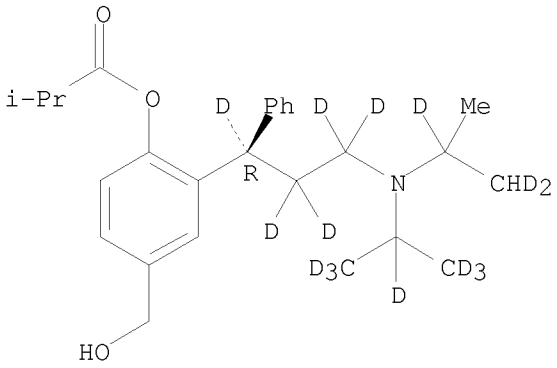
RN 1126611-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



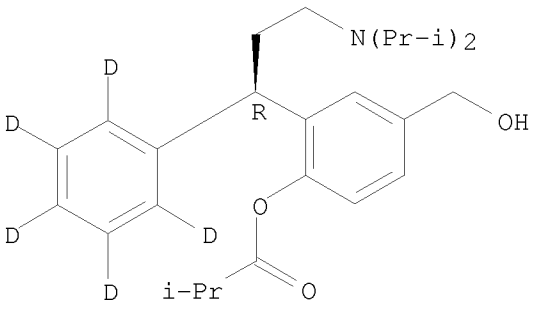
RN 1126611-86-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



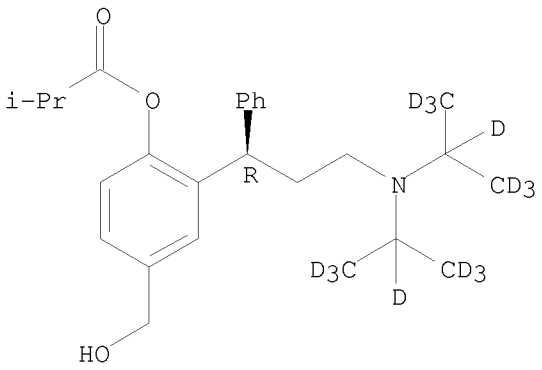
RN 1126611-87-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



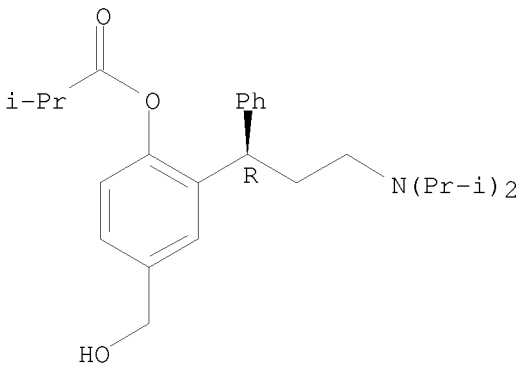
RN 1126611-88-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 286930-02-7D, Fesoterodine, deuterium-enriched
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(deuterium-enriched fesoterodine)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:198480 CAPLUS
DOCUMENT NUMBER: 150:245316
TITLE: Drug combinations for the treatment of clozapine-induced sialorrhea
INVENTOR(S): Goldsmith, Paul; Roach, Alan Geoffrey
PATENT ASSIGNEE(S): Summit Corporation PLC, UK
SOURCE: PCT Int. Appl., 24pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009022096	A1	20090219	WO 2008-GB2650	20080804

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

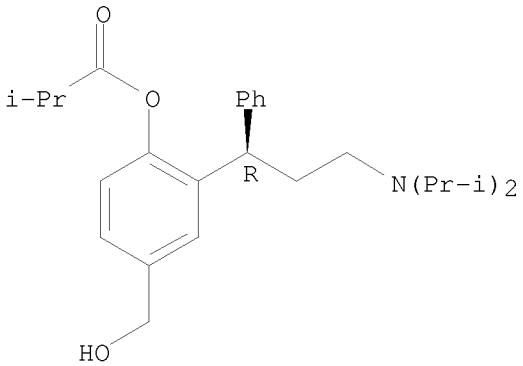
PRIORITY APPLN. INFO.: GB 2007-15790 A 20070813

AB A combination comprises an α2-adrenoceptor agonist and an anti-muscarinic agent for the treatment or prevention of sialorrhoea, for example clozapine-induced sialorrhoea, in a patient subgroup selected from: (I) those suffering from, or at risk of suffering from: (a) a pathol. confused mental state; (b) hallucinations; (c) dementia, for example Lewy body dementia; (d) cognitive disturbances; (e) bladder outflow obstruction; (f) prostatism, for example benign prostatic hypertrophy or prostate cancer; (g) glaucoma; (h) hypotension; (i) somnolence; (j) ocular hypertension and (k) needle phobia; or (II) (a) individuals with cortical Lewy bodies; (b) males with an enlarged prostate; (c) individuals with a tendency to presyncope or syncope; (d) individuals with a score ≥ 1 on questions 1.1 and I.2 on the UPDRS or <88/100 on the Cambridge ACE (Addenbrooke's cognitive assessment); (e) individuals with a score ≥ 1 on American Urol. Association symptom index; (f) individuals with an intraocular pressure of >20 mmHg or taking medication to lower previously raised intraocular pressure; (g) individuals with needle phobia; (h) individuals with a score 1 on Q42 on section C of the UPDRS (unified Parkinson's disease rating scale); (i) individuals with a score 1 on Q41 on section C of the UPDRS; (j) individuals with an ESS (Epworth sleepiness score) of >10; and (k) individuals with a leaky blood brain barrier. Thus, a reduction in saliva production following administration of oxybutynin and clonidine was observed in healthy male volunteers.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(α2-adrenoceptor agonist combinations with antimuscarinic agent for treatment of clozapine-induced sialorrhea)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:46157 CAPLUS
DOCUMENT NUMBER: 151:417
TITLE: Pharmacokinetic profile of fesoterodine
AUTHOR(S): Malhotra, B.; Guan, Z.; Wood, N.; Gandelman, K.
CORPORATE SOURCE: Pfizer Inc, New York, NY, USA
SOURCE: International Journal of Clinical Pharmacology and Therapeutics (2008), 46(11), 556-563
CODEN: ICTHEK; ISSN: 0946-1965
PUBLISHER: Dustri-Verlag Dr. Karl Feistle
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fesoterodine is a new antimuscarinic agent for the treatment of overactive bladder. Following oral administration, fesoterodine is rapidly and extensively hydrolyzed by nonspecific esterases to its active moiety: 5-hydroxymethyl tolterodine (5-HMT). The cytochrome P 450 (CYP) enzymes are not involved in the formation of 5-HMT; however, CYP2D6 and CYP3A4 provide 2 alternative pathways for further metabolism and inactivation of 5-HMT. Single oral doses of 4 mg, 8 mg, or 12 mg of fesoterodine sustained-release tablets in the fasted state and 8 mg in a fed state. This single-center, open-label, randomized, crossover study investigated the effects of fesoterodine in healthy volunteers comprised of CYP2D6 extensive metabolizers (EMs; n = 16) and CYP2D6 poor metabolizers (PMs; n = 8) after either an overnight fast or a high-fat and high-calorie breakfast. Adverse events, vital signs, ECG recordings and laboratory tests were monitored for safety assessment. For the principal active moiety, 5-HMT, the maximum plasma concentration (Cmax), area under the concentration-time curve from time zero to time of last measurable concentration (AUC0-t) and amount excreted in urine (Ae) increased proportionally with dose in both EM and

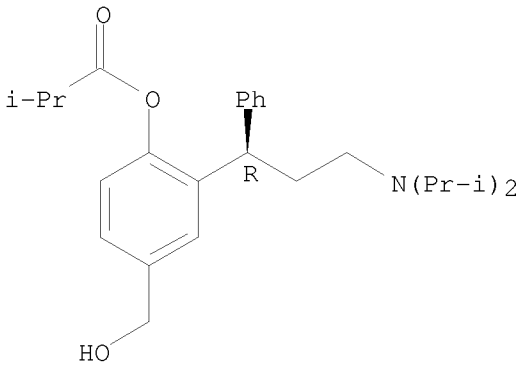
PM subjects. The mean Cmax and AUC0-t in PMs were approx. twice those observed in EMs. CYP2D6 status had no effect on time to reach Cmax (5 h), renal clearance (.apprx.250 mL/min), or half-life (.apprx.8 h). Fesoterodine was well tolerated at all doses. While the incidence of dry mouth increased from 8-12 mg, all occurrences were mild-to-moderate. Fesoterodine demonstrated a pharmacokinetic (PK) profile that was favorable for once-daily dosing. The systemic exposure to 5-HMT increased proportionally with dose and was about 2-fold higher in PMs compared with EMs. There was no clin. relevant effect of food on the PK of fesoterodine. Fesoterodine was well tolerated at all dose levels studied.

IT 286930-02-7, Fesoterodine
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetics profile of fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1500189 CAPLUS
DOCUMENT NUMBER: 150:506765
TITLE: Comparison of fesoterodine and tolterodine in patients with overactive bladder
AUTHOR(S): Chapple, Christopher R.; Van Kerrebroeck, Philip E.; Junemann, Klaus-Peter; Wang, Joseph T.; Brodsky, Marina
CORPORATE SOURCE: The Royal Hallamshire Hospital, Sheffield, UK
SOURCE: BJU International (2008), 102(9), 1128-1132
CODEN: BJINFO; ISSN: 1464-4096
PUBLISHER: Wiley-Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English

AB OBJECTIVE: To compare, in a post hoc anal. of a phase III trial, the maximum recommended doses of fesoterodine (8 mg) and tolterodine (4 mg) for improving overactive bladder (OAB) symptoms and health-related quality of

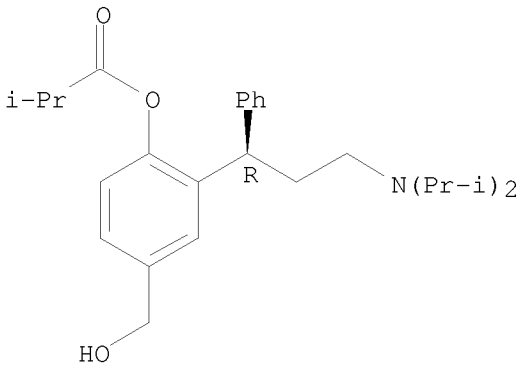
life (HRQoL), as fesoterodine effectively reduces OAB symptoms vs placebo. PATIENTS AND METHODS: Eligible patients with frequency (≥eight voids/24 h) and either urgency (≥six episodes over 3 days) or urgency urinary incontinence (UUI; ≥three episodes over 3 days) were randomized to placebo, fesoterodine 4 or 8 mg, or tolterodine extended-release (ER) 4 mg for 12 wk; fesoterodine 4 mg data were published elsewhere. Patients completed a 3-day bladder diary in which they recorded the time of each void, voided volume (W), and the severity of urgency. A post hoc inferential anal. was conducted on the primary endpoint (voids/24 h), the two co- primary endpoints (UUI episodes/24 h and treatment response), several secondary endpoints (severe urgency plus UUI per 24 h, mean W (MW)/void, and continent days/wk), HRQoL, using the King's Health Questionnaire (KHQ) and the International Consultation on Incontinence Questionnaire-Short Form (ICIQ-SF), and self-reported bladder-related problems. A subanal. also assessed all endpoints for patients who were incontinent at baseline. Tolerability and safety were assessed by evaluating adverse events, residual urine volume, laboratory variables and treatment withdrawals. RESULTS: By week 12, patients with OAB in both active-treatment groups showed significant improvements in most bladder diary variables and treatment response rates compared with placebo. Fesoterodine 8 mg was statistically significantly better than tolterodine ER 4 mg for improving UUI episodes, severe urgency plus UUI, mean W, and number of continent days/wk. In addition, the fesoterodine and tolterodine ER groups showed significantly greater improvements in HRQoL than the placebo group, with pos. changes in most domains of the KHQ and an improvement in ICIQ-SF score. The fesoterodine 8-mg group had statistically significant improvements over placebo in eight of nine KHQ domains. A major improvement in the severity of bladder-related problems was reported by 39% of the fesoterodine 8 mg and 34% of the tolterodine ER groups vs 25% of those on placebo ($P \leq 0.01$). Results for the subgroup of incontinent patients at baseline were similar to the overall results. Adverse events reported most commonly with active treatment included dry mouth, constipation, dry eye, dry throat, and nausea. CONCLUSIONS: Both fesoterodine and tolterodine ER significantly improved OAB symptoms and HRQoL, with statistically significant advantages for fesoterodine 8 mg compared with tolterodine ER on several important endpoints.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine reduced urinary incontinence and improved overactive bladder symptoms and health-related quality of life compared to tolterodine extended release in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1210834 CAPLUS
DOCUMENT NUMBER: 149:417766
TITLE: Combination therapy for the treatment-of lower urinary tract symptoms
INVENTOR(S): Frenkl, Tara; Green, Stuart A.; Macintyre, Euan; Mills, Sander G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2008121268	A1	20081009	WO 2008-US3873		20080325
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2008233232	A1	20081009	AU 2008-233232		20080325
PRIORITY APPLN. INFO.:			US 2007-920755P	P	20070329
			WO 2008-US3873	W	20080325

AB This invention concerns compns. for the treatment of Lower Urinary Tract Symptoms (LUTS), and especially LUTS which results from benign prostatic hypertrophy. The compns. of the invention comprise a Beta-3 agonist

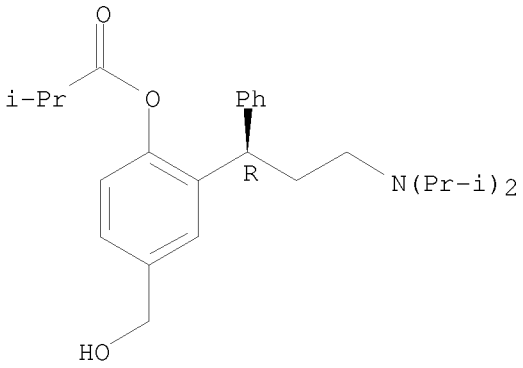
described below, optionally in combination with a 5-alpha reductase inhibitor, or an NK-1 antagonist or an alpha-1 adrenergic antagonist or an anti-muscarinic agent. The invention also includes compns. comprising a beta-3 agonist and two addnl. active agents selected from a 5-alpha reductase inhibitor, an NK-1 antagonist, an alpha-1 adrenergic antagonist or an anti-muscarinic agent.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment-of lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1161316 CAPLUS

DOCUMENT NUMBER: 150:298553

TITLE: The effects of antimuscarinic treatments in overactive bladder: an update of a systematic review and meta-analysis

AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel, Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein, David

CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research, Sheffield Teaching Hospital NHS Trust, Sheffield, UK

SOURCE: European Urology (2008), 54(3), 543-562
CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability

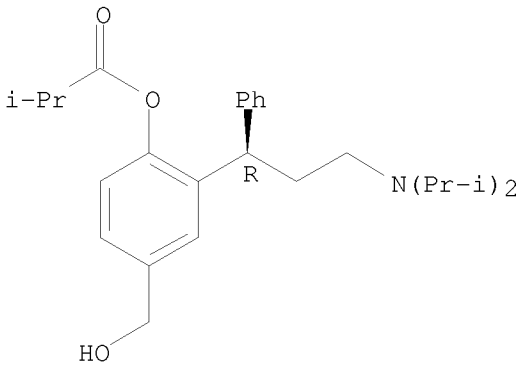
and safety and health-related quality of life (HRQL). Evidence acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1102067 CAPLUS
DOCUMENT NUMBER: 149:347550
TITLE: Use of LHRH antagonists for the treatment of lower urinary tract symptoms, in particular overactive bladder and/or detrusor overactivity
INVENTOR(S): Engel, Juergen; Bauer, Oliver
PATENT ASSIGNEE(S): Aeterna Zentaris G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 18pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1967202	A1	20080910	EP 2007-103483	20070305
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
AU 2008223841	A1	20080912	AU 2008-223841	20080305
CA 2679690	A1	20080912	CA 2008-2679690	20080305
WO 2008107446	A1	20080912	WO 2008-EP52640	20080305
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW				
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US 20090075937	A1	20090319	US 2008-42522	20080305

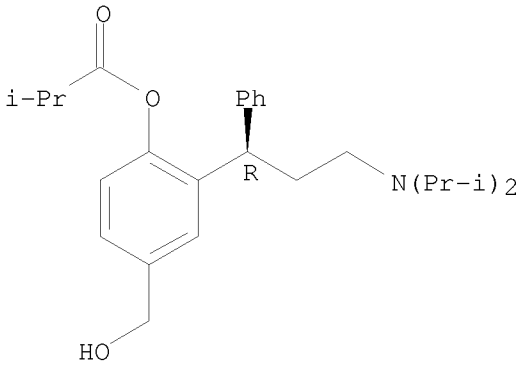
PRIORITY APPLN. INFO.:			
EP 2007-103483	A	20070305
US 2007-892899P	P	20070305
WO 2008-EP52640	W	20080305

AB The present invention provides at least one LHRH antagonist for use in the preparation of a medicament for the treatment or prophylaxis of at least one lower urinary tract symptom in mammals, wherein the at least one lower urinary tract symptom is selected from the group consisting of: "urinary incontinence, urge incontinence, overactive bladder, idiopathic overactive bladder, neurogenic overactive bladder, detrusor overactivity, idiopathic detrusor overactivity, neurogenic detrusor overactivity" and wherein the at least one LHRH antagonist is to be administered in an intermediate dose, which does not cause chemical (hormonal) castration.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of LHRH antagonists for treatment of lower urinary tract symptoms such as overactive bladder and/or detrusor overactivity without chemical castration and combination with other agents)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1102066 CAPLUS
DOCUMENT NUMBER: 149:347549
TITLE: Use of LHRH antagonists for the treatment of lower urinary tract symptoms, in particular overactive bladder and/or detrusor overactivity
INVENTOR(S): Engel, Juergen; Bauer, Oliver
PATENT ASSIGNEE(S): Aeterna Zentaris G.m.b.H., Germany
SOURCE: PCT Int. Appl., 214pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008107446	A1	20080912	WO 2008-EP52640	20080305
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1967202	A1	20080910	EP 2007-103483	20070305
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

AU 2008223841	A1	20080912	AU 2008-223841		20080305
CA 2679690	A1	20080912	CA 2008-2679690		20080305
PRIORITY APPLN. INFO.:			EP 2007-103483	A	20070305
			US 2007-892899P	P	20070305
			WO 2008-EP52640	W	20080305

OTHER SOURCE(S): MARPAT 149:347549

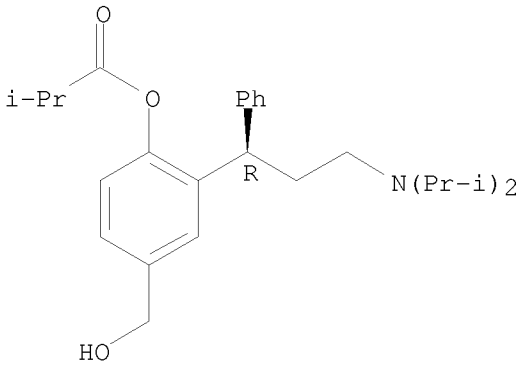
AB The present invention provides at least one LHRH antagonist for use in the preparation of a medicament for the treatment or prophylaxis of at least one lower urinary tract symptom in mammals, wherein the at least one lower urinary tract symptom is selected from the group consisting of: "urinary incontinence, urge incontinence, overactive bladder, idiopathic overactive bladder, neurogenic overactive bladder, detrusor overactivity, idiopathic detrusor overactivity, neurogenic detrusor overactivity" and wherein the at least one LHRH antagonist is to be administered in an intermediate dose, which does not cause chemical (hormonal) castration.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of LHRH antagonists for treatment of lower urinary tract symptoms such as overactive bladder and/or detrusor overactivity without chemical castration and combination with other agents)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:906140 CAPLUS

DOCUMENT NUMBER: 149:259305

TITLE: Impact of fesoterodine on quality of life: pooled data from two randomized trials

AUTHOR(S): Kelleher, Con J.; Tubaro, Andrea; Wang, Joseph T.; Kopp, Zoe

CORPORATE SOURCE: St. Thomas' Hospital, London, UK

SOURCE: BJU International (2008), 102(1), 56-61
CODEN: BJINFO; ISSN: 1464-4096

PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

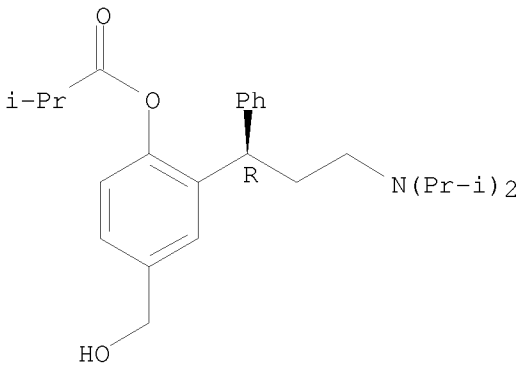
AB To evaluate the effect of fesoterodine on health-related quality of life (HRQoL) in patients with overactive bladder (OAB) syndrome. Pooled data from two randomized placebo-controlled phase III studies were analyzed. Eligible patients with frequency and urgency or urgency urinary incontinence were randomized to placebo or fesoterodine 4 or 8 mg for 12 wk; one trial also included tolterodine extended release (tolterodine-ER) 4 mg. HRQoL was assessed using the V King's Health Questionnaire (KHQ), International Consultation on Incontinence Questionnaire-Short Form (ICIQ-SF), a six-point Likert scale measuring the severity of bladder-related problems, and treatment response. By the end of treatment, all active-treatment groups had significantly improved HRQoL compared with those on placebo, as shown by an improvement in the KHQ and ICIQ-SF scores, treatment response rate, and a major improvement in self-reported bladder-related problems. The fesoterodine 8-mg group had statistically significant improvements over placebo in eight of nine KHQ domains. Fesoterodine 4 mg and tolterodine-ER produced statistically significant improvements in seven of nine KHQ domains. Fesoterodine 8 mg gave better results than 4 mg in two domains; Emotions and Symptom Severity ($P < 0.05$). A major improvement (≥2 points) in bladder-related problems was reported by 33% of patients on fesoterodine 4 mg, 38% on fesoterodine 8 mg, and 34% on tolterodine-ER, vs 21% on placebo ($P < 0.001$). Fesoterodine significantly improved HRQoL in patients with OAB. Both fesoterodine 4 and 8 mg produced significant improvements on most KHQ domains, the ICIQ-SF, treatment response rate, and a Likert scale measuring bladder-related problems.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine was safe, effective and improved health-related quality of life in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

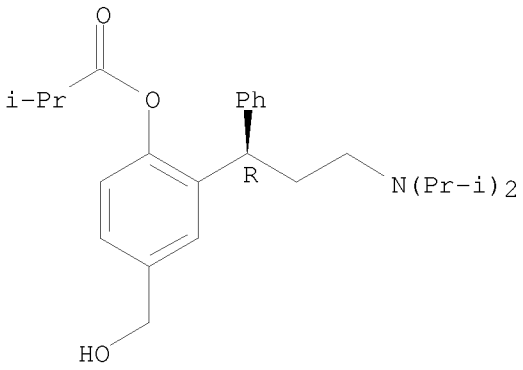


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:753161 CAPLUS
DOCUMENT NUMBER: 150:43
TITLE: Fesoterodine: a novel muscarinic receptor antagonist for the treatment of overactive bladder syndrome
AUTHOR(S): Michel, Martin C.
CORPORATE SOURCE: Academic Medical Center, Department of Pharmacology and Pharmacotherapy, University of Amsterdam, Amsterdam, 1105 AZ, Neth.
SOURCE: Expert Opinion on Pharmacotherapy (2008), 9(10), 1787-1796
CODEN: EOPHF7; ISSN: 1465-6566
PUBLISHER: Informa Healthcare
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Fesoterodine is a newly approved drug for the treatment of overactive bladder syndrome. The aim of this study was to review the preclin. and clin. data on fesoterodine. The study involved a search of the Medline database and the proceedings vols. of urol. congresses. Fesoterodine functions as an orally active prodrug that is converted to the active metabolite 5-hydroxymethyltolterodine by non-specific esterases. 5-Hydroxymethyltolterodine is a muscarinic receptor antagonist. Fesoterodine is primarily eliminated as inactive metabolites along with significant renal excretion as the unchanged active metabolite 5-hydroxymethyltolterodine. Fesoterodine is indicated for use at doses of 4 and 8 mg once daily. In clin. studies both doses of fesoterodine were consistently superior to placebo in improving the symptoms of overactive bladder syndrome, with 8 mg/day having significantly greater effects than 4 mg/day.
IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(orally active prodrug fesoterodine that can able to convert into active metabolite muscarinic receptor antagonist 5-hydroxymethyltolterodine by non-specific esterase was effective in treatment of patient with overactive bladder syndrome)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

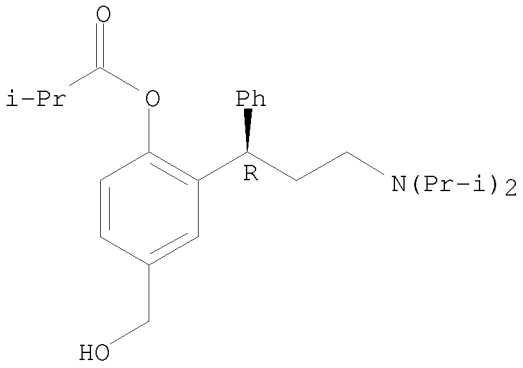
L3 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:709029 CAPLUS
DOCUMENT NUMBER: 149:38852
TITLE: Pharmaceutical compositions comprising fesoterodine
INVENTOR(S): Arth, Christoph; Komenda, Michael; Bicane, Fatima; Paulus, Kerstin; Irngartinger, Meike; Lindner, Hans
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 39pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20080138421	A1	20080612	US 2007-811327		20070607
US 20090117159	A1	20090507	US 2008-342744		20081223
PRIORITY APPLN. INFO.:			US 2006-812149P	P	20060609
			US 2007-811327	A3	20070607

AB The present application relates to a pharmaceutical granulate comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable stabilizer, which can be selected from the group consisting of sorbitol, xylitol, polydextrose, isomalt, dextrose, and combinations thereof, and is preferably a sugar alc. selected from the group consisting of xylitol and sorbitol. The granulate is suitable for incorporation into pharmaceutical compns. comprising a gel matrix formed by at least one type of hydroxypropyl Me cellulose into which the fesoterodine is embedded and, optionally, further excipients. In certain embodiments, the granulate is formed by a process of wet granulation.
IT 286930-02-7, Fesoterodine 286930-03-8, Fesoterodine fumarate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical granulates comprising fesoterodine)
RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

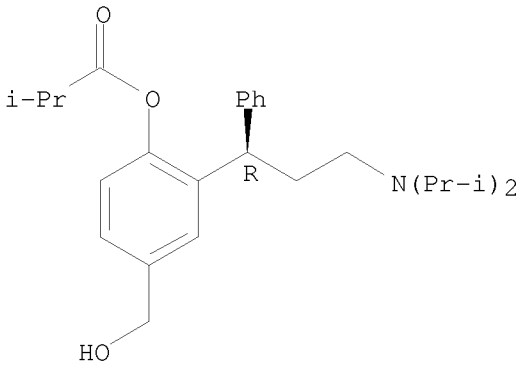


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

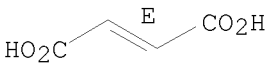
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L3 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:617528 CAPLUS
DOCUMENT NUMBER: 149:70270
TITLE: Pharmacological characterization of a novel investigation antimuscarinic drug, fesoterodine, in vitro and in vivo
AUTHOR(S): Ney, Peter; Pandita, Raj Kumar; Newgreen, Donald T.; Breidenbach, Alexander; Stoehr, Thomas; Andersson, Karl-Erik
CORPORATE SOURCE: Department of Pharmacology/Toxicology, Schwarz BioSciences GmbH, Monheim, Germany
SOURCE: BJU International (2008), 101(8), 1036-1042
CODEN: BJINFO; ISSN: 1464-4096
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Objective: To investigate the primary pharmacol. of fesoterodine (a novel antimuscarinic drug developed for treating overactive bladder) and SPM 7605 (its active metabolite, considered to be the main pharmacol. active principle of fesoterodine in man) against human muscarinic receptor subtypes, and to investigate in vitro and in vivo functional activity of these agents on the rat bladder compared with existing standard agents. Materials and Methods: The displacement of radioligand binding by fesoterodine, SPM 7605 and standard agents in membrane prepns. of Chinese hamster ovary (CHO) cells expressing the different human muscarinic receptors (M1-M5) was characterized. Agonistic and antagonistic activities were studied using different CHO cell lines stably expressing the human recombinant muscarinic receptor subtypes. The effects of fesoterodine and SPM 7605 on isolated bladder strips contracted by carbachol or elec. field stimulation (EFS) were investigated. In vivo the effects of fesoterodine and SPM 7605 on micturition variables were assessed using continuous cystometry in conscious female Sprague-Dawley rats, and compared to those of oxybutynin and atropine. Results: In vitro SPM 7605 potently inhibited radioligand binding at all five human muscarinic receptor subtypes with equal affinity across all five. Fesoterodine had a similar balanced selectivity profile but was less potent than SPM 7605. Both substances were competitive antagonists of cholinergic agonist-stimulated responses in human M1-M5 cell lines and had a similar potency and selectivity profile to the radioligand-binding studies. In rat bladder strips, fesoterodine and SPM 7605 caused a rightward shift of the concentration-response curve for carbachol with no depression of the maximum, and concentration-dependently reduced contractions induced by EFS. The potency of both drugs was similar to that of atropine and oxybutynin. In the presence of the esterase inhibitor neostigmine, the concentration-response curve of fesoterodine was shifted to the right, suggesting that part of the activity was caused by metabolism to SPM 7605 by tissue enzymes. In vivo, low doses (0.01 mg/kg) of fesoterodine and SPM 7605 reduced micturition pressure and increased intercontraction intervals and bladder capacity, but did not affect residual volume Conclusions: Fesoterodine and its active metabolite, SPM 7605, are nonsubtype selective, competitive antagonists of human muscarinic receptors, but SPM

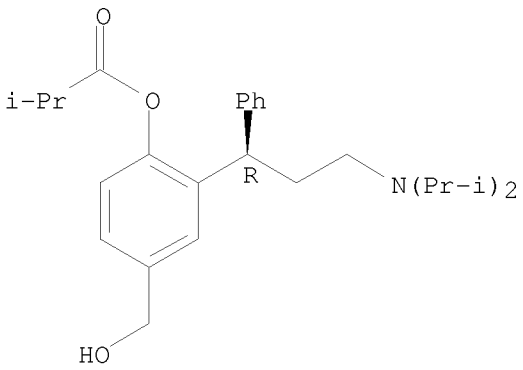
7605 has greater potency than the parent compound Pharmacodynamic studies in the rat bladder in vitro confirm the competitive muscarinic antagonist profile of these agents in a native tissue preparation, and in vivo studies in the rat showed effects on bladder function consistent with a muscarinic antagonist profile.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SPM 7605 had higher muscarinic receptor antagonist activity compared to fesoterodine while both showed equal affinity across recombinant human muscarinic receptor subtypes in Chinese hamster ovary cell and urodynamic effects in rat bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:607700 CAPLUS
DOCUMENT NUMBER: 148:568964
TITLE: Composition comprising α2-adrenoceptor agonist for treatment of excess sebum production
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 13pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008059190	A1	20080522	WO 2007-GB2101	20070607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2006-11241 A 20060607

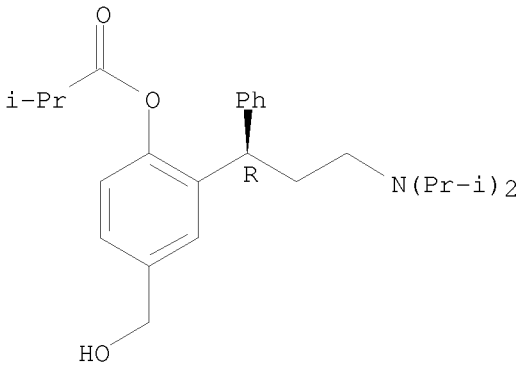
AB This invention relates to an α2-adrenoceptor agonist useful for the treatment or prevention of a condition associated with excess sebum production and/or excretion.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising α2-adrenoceptor agonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:70709 CAPLUS

DOCUMENT NUMBER: 148:152045

TITLE: Pharmaceutical preparation for oral administration with controlled active ingredient release in the small intestine and methods for its production

INVENTOR(S): Jung, Gerd; Schaupp, Albert

PATENT ASSIGNEE(S): Dr. R. Pfleger Chemische Fabrik GmbH, Germany

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008006506	A1	20080117	WO 2007-EP5970	20070705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1880718	A1	20080123	EP 2006-14244	20060710
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2655838	A1	20080117	CA 2007-2655838	20070705
MX 2009000379	A	20090414	MX 2009-379	20090109
IN 2009MN00093	A	20090626	IN 2009-MN93	20090109
CN 101495103	A	20090729	CN 2007-80026301	20090112
KR 2009029830	A	20090323	KR 2009-702668	20090210
PRIORITY APPLN. INFO.:			EP 2006-14244 A	20060710
			WO 2007-EP5970 W	20070705

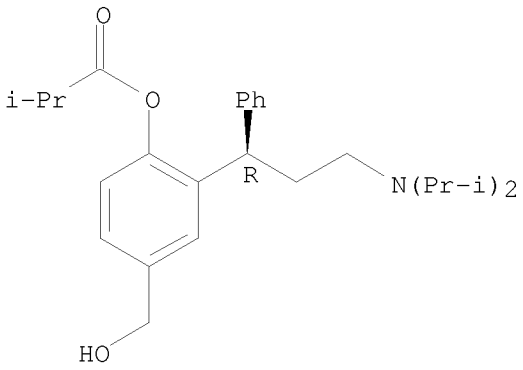
AB A pharmaceutical preparation for oral administration with controlled active ingredient release in the small intestine, on the basis of active ingredient carriers provided with at least one active ingredient which are provided with an inner layer for controlling the active ingredient release and a covering layer, arranged thereon, that is resistant to gastric juices, and is characterized in that the inner layer is constructed from at least two diffusion layers whose permeability for the diffusing active ingredient decreases from the inside to the outside, and a method for its production are described. Thus (1R,3R,5S)-3-[(Hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'-pyrrolidinium] chloride-containing pharmaceutical formulations were prepared Pellets contained mg/dose: drug 45.000; neutral pellets 100.000; hypromellose 4.500; Macrogol 6000 0.450; total 154.450. The first diffusion layer was applied onto the above pellets, mg/dose: drug pellet 154.450; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 166.510. The second diffusion layer was applied onto the above coated pellets, mg/dose: drug pellet 166.510; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 177.175. The gastric juice resistant layer was applied onto the above coated pellets, mg/dose: drug pellet (containing 45 mg drug) 177.175, Kollicoat MAE30DP 28.000; talc 12.600; propylene glycol 4.200; Tylopur C30G1 0.720; total 222.695.

IT 286930-02-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical preparation for oral administration with controlled active ingredient release in small intestine and methods for its production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:12183 CAPLUS
DOCUMENT NUMBER: 148:78885
TITLE: Process for preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol and the use thereof
INVENTOR(S): Meese, Claus
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144097	A1	20071221	WO 2007-EP5008	20070606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1867643	A1	20071219	EP 2006-12052	20060612
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2007260267	A1	20071221	AU 2007-260267	20070606
CA 2647990	A1	20071221	CA 2007-2647990	20070606
EP 2027103	A1	20090225	EP 2007-725866	20070606
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

IN 2008KN03987	A	20090227	IN 2008-KN3987		20080930
KR 2009016451	A	20090213	KR 2008-727003		20081104
CN 101466695	A	20090624	CN 2007-80021674		20081210
US 20090192224	A1	20090730	US 2008-304323		20081211
MX 2008015973	A	20090112	MX 2008-15973		20081212
PRIORITY APPLN. INFO.:			EP 2006-12052	A	20060612
			WO 2007-EP5008	W	20070606

OTHER SOURCE(S): CASREACT 148:78885; MARPAT 148:78885

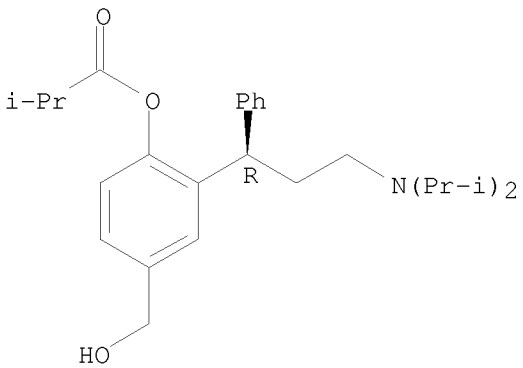
AB This invention pertains to a process for the preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol,which is a valuable intermediate used in the synthesis of fesoterodine, tolterodine, its active metabolite, and related compds. For example, cinnamic acid was condensed with Me 4-hydroxybenzoate for 4-phenyl-2-chromanone-6-carboxylic acid, which was treated with cinchonidine to afford optically pure (R)-(-)-4-phenyl-2-chromanone-6-carboxylic acid cinchonidine salt. The salt obtained above was treated with hydrochloric acid to give (R)-(+)-4-phenyl-2-chromanone-6-carboxylic acid, which was then transformed to its Me ester, and further reduced with diisobutylaluminum hydride to afford the title compound Advantageously, the title process has small number of steps involved, and the overall yield of the active metabolite is satisfactory.

IT 286930-02-7P, Fesoterodine 960373-34-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of (4R)-6-hydroxymethyl-4-phenyl-chroman-2-ol and the use thereof)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 960373-34-6 CAPLUS

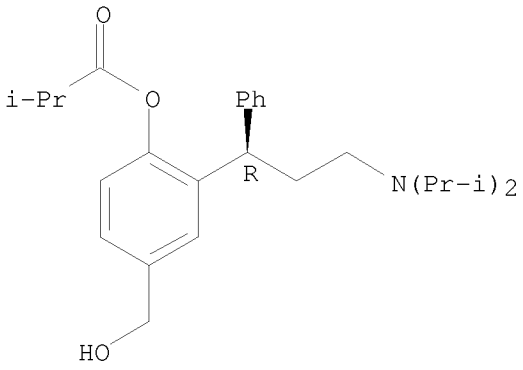
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 286930-02-7

CMF C26 H37 N O3

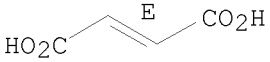
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1455092 CAPLUS
DOCUMENT NUMBER: 148:78746
TITLE: Preparation of Fesoterodine and its salts using paraformaldehyde or trioxane
INVENTOR(S): Ennis, Seth; Fuchs, Cornelia; Kanzler, Ralf; Johnson, Dean A.
PATENT ASSIGNEE(S): Schwarz Pharma, Ltd., Ire.
SOURCE: PCT Int. Appl., 27pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144091	A1	20071221	WO 2007-EP4976	20070605

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,

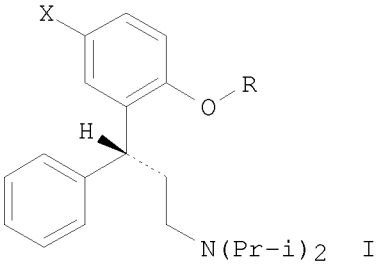
RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

IE 2006000435	A2	20071212	IE 2006-435	20060612
EP 1867628	A1	20071219	EP 2006-12053	20060612
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2648554	A1	20071221	CA 2007-2648554	20070605
EP 2032522	A1	20090311	EP 2007-725842	20070605
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: EP 2006-12053 A 20060612
IE 2006-435 A 20060612
WO 2007-EP4976 W 20070605

OTHER SOURCE(S): CASREACT 148:78746; MARPAT 148:78746

GI



I

AB The present disclosure relates to a process for the preparation of a compound of formula I wherein X is CH2OH, R is hydrogen, a formyl group, a straight, branched or cyclic C1-C6 alkylcarbonyl group or a phenylcarbonyl group, or a salt thereof, characterized by the steps of reacting a compound of formula I (X = Br, R = Bn) with a mixture of Grignard initiator and Mg in a solvent to form a Grignard reagent, reacting the Grignard reagent with paraformaldehyde or trioxane to obtain a compound of formula I (X = CH2OH, R = Bn) and then further reacting the compound of formula I (X = CH2OH, R = Bn) in a known manner to obtain Fesoterodine, I (X = = CH2OH, R = i-PrC(O)-), and its hydrogen fumarate salt.

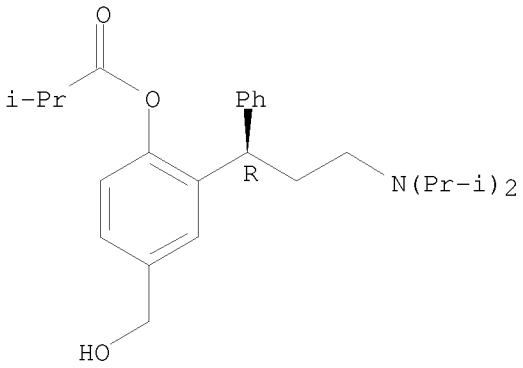
IT 286930-02-7P 286930-03-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Fesoterodine and its hydrogen fumarate salt using paraformaldehyde or trioxane)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

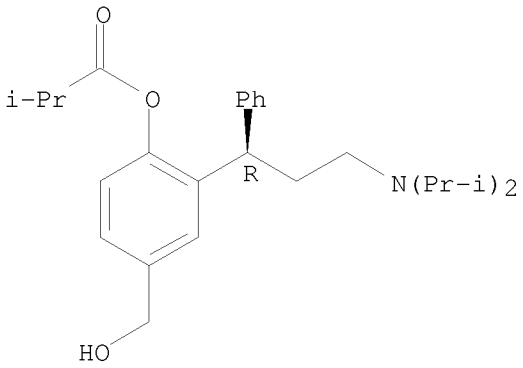


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

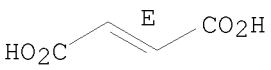
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



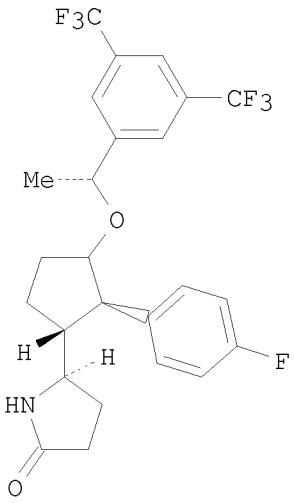
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1454781 CAPLUS
DOCUMENT NUMBER: 148:78876
TITLE: Cyclopentylpyrrolidinone derivatives and their preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and urinary incontinence
INVENTOR(S): Gottesdiener, Keith M.; Green, Stuart A.; Macintyre, Euan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146224	A2	20071221	WO 2007-US13683	20070607
WO 2007146224	A3	20080214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-812743P P 20060612
OTHER SOURCE(S): CASREACT 148:78876
GI



I

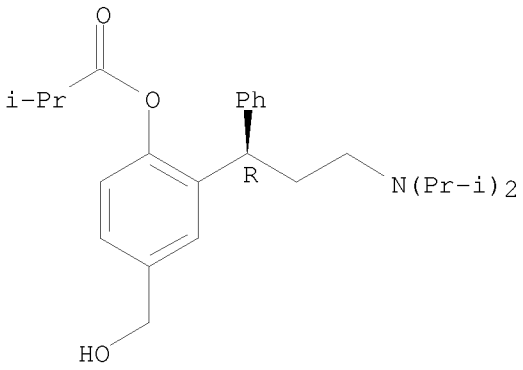
AB This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1436816 CAPLUS
DOCUMENT NUMBER: 148:229838
TITLE: Efficacy, safety and tolerability of fesoterodine for overactive bladder syndrome
AUTHOR(S): Nitti, Victor W.; Dmochowski, Roger; Sand, Peter K.; Forst, Hans-Theo; Haag-Molkenteller, Cornelia; Massow, Ute; Wang, Joseph; Brodsky, Marina; Bavendam, Tamara
CORPORATE SOURCE: Department of Urology, New York University School of Medicine, New York, NY, USA
SOURCE: Journal of Urology (New York, NY, United States) (2007), 178(6), 2488-2494
CODEN: JOURAA; ISSN: 0022-5347
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Purpose: We evaluated the efficacy, tolerability and safety of the new antimuscarinic agent fesoterodine relative to placebo for overactive bladder syndrome. Materials and Methods: This was a randomized, double-blind, placebo controlled, multicenter trial performed in the United States. Overall 836 subjects with urinary frequency, urinary urgency or urgency urinary incontinence were randomized to placebo (274), 4 mg fesoterodine (283) or 8 mg fesoterodine (279) once daily for 12 wk. The primary efficacy end point was the change in the number of micturitions per 24 h. Co-primary end points were the change in the number of urgency urinary incontinence episodes per 24 h and the treatment response. Secondary efficacy end points were other bladder diary variables, such as the change in mean voided volume per micturition, number of continent days and number of urgency episodes per 24 h. Tolerability and safety were assessed by evaluating adverse events, electrocardiograms, post-void residual urine volume, laboratory parameters and treatment withdrawals. Results: Treatment with 4 or 8 mg fesoterodine resulted in statistically significant and clin. relevant improvements from baseline to end of treatment for the primary and co-primary end points compared with placebo ($p < 0.05$). Results for most secondary end points, including mean voided volume per micturition, number of continent days and number of urgency episodes per 24 h, were also significantly improved vs placebo. The adverse events reported more frequently with fesoterodine than with placebo were dry mouth, constipation and urinary tract infection. Conclusions: The 2 doses of

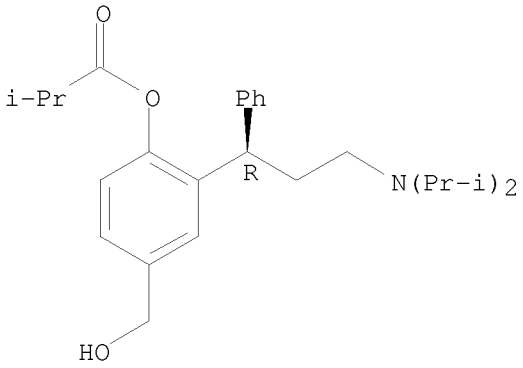
fesoterodine were well tolerated and they statistically significantly improved overactive bladder symptoms.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine was safe, well tolerated and effectively improved overactive bladder syndrome including urinary frequency, urinary urgency and urgency urinary incontinence in patient)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1425394 CAPLUS
DOCUMENT NUMBER: 148:45893
TITLE: Treatment of excess sebum production
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 12pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141530	A2	20071213	WO 2007-GB2098	20070607
WO 2007141530	A3	20080605		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR,

TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

CA 2657590	A1	20071213	CA 2007-2657590	20070607
EP 2037900	A2	20090325	EP 2007-733110	20070607
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRIORITY APPLN. INFO.:			GB 2006-11240	A 20060607
			WO 2007-GB2098	W 20070607

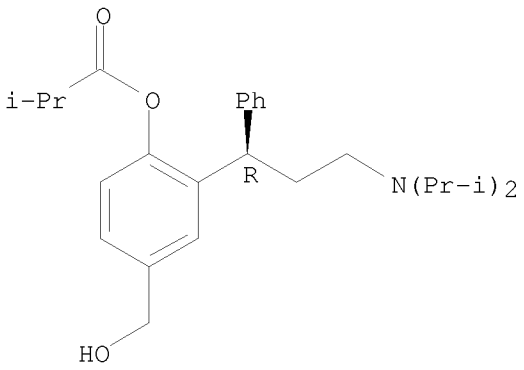
AB A muscarinic receptor antagonist is useful for the treatment or prevention of a condition associated with excess sebum production or excretion. Muscarinic receptor antagonist oxybutynin dose-dependently reduced sebum production in healthy human volunteers.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscarinic receptor antagonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L3 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

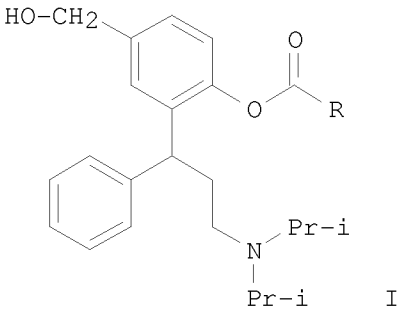
ACCESSION NUMBER:	2007:1420493 CAPLUS
DOCUMENT NUMBER:	148:54756
TITLE:	Process for preparation of phenolic monoesters of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol by acylation in the presence of diisopropylethylamine.
INVENTOR(S):	Ennis, Seth; Drews, Roland; Meese, Claus
PATENT ASSIGNEE(S):	Schwarz Pharma Ltd., Ire.
SOURCE:	PCT Int. Appl., 23pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007140986	A1	20071213	WO 2007-EP4977	20070605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IE 2006000433	A2	20071031	IE 2006-433	20060609
CA 2648333	A1	20071213	CA 2007-2648333	20070605
EP 2004592	A1	20081224	EP 2007-725843	20070605
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: EP 2006-11966 A 20060609
IE 2006-433 A 20060609
WO 2007-EP4977 W 20070605

OTHER SOURCE(S): CASREACT 148:54756; MARPAT 148:54756
GI



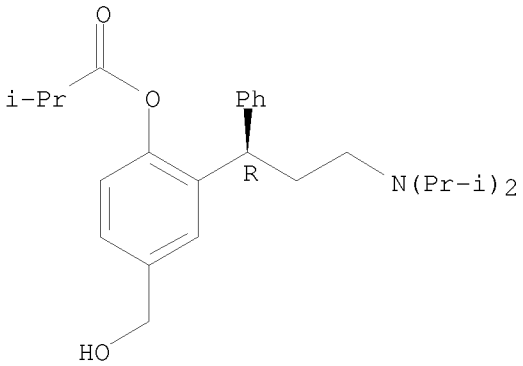
I

AB Title compds. [I; R = H, (substituted) straight, branched or cyclic C1-6 alkyl, aryl], were prepared by treatment of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol with RCOX (R as above; X = leaving group) in the presence of diisopropylethylamine. Thus, Fesoterodine hemifumarate was prepared in 103% crude yield by the above method.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of phenolic monoesters of diisopropylaminophenylpropylhydroxymethylphenol by acylation in the

presence of diisopropylethylamine)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

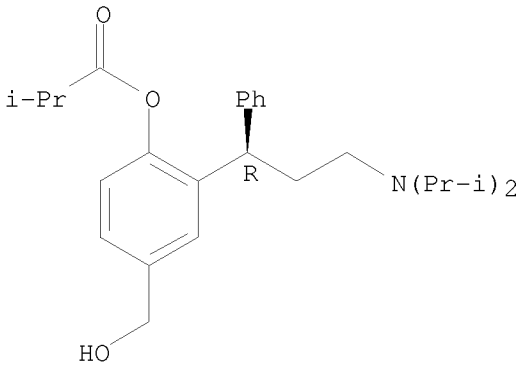


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

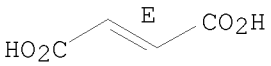
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

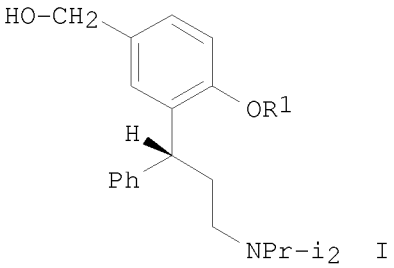


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1420279 CAPLUS
DOCUMENT NUMBER: 148:54755
TITLE: Process for the production of substituted hydroxymethyl phenols
INVENTOR(S): Ennis, Seth; Kennedy, Bryan
PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire.
SOURCE: PCT Int. Appl., 28pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007140965	A1	20071213	WO 2007-EP4928	20070604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IE 2006000424	A2	20071031	IE 2006-424	20060608
EP 1864966	A1	20071212	EP 2006-11838	20060608
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2648329	A1	20071213	CA 2007-2648329	20070604
EP 2029523	A1	20090304	EP 2007-725797	20070604
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: EP 2006-11838 A 20060608
IE 2006-424 A 20060608
WO 2007-EP4928 W 20070604
OTHER SOURCE(S): CASREACT 148:54755; MARPAT 148:54755
GI



I

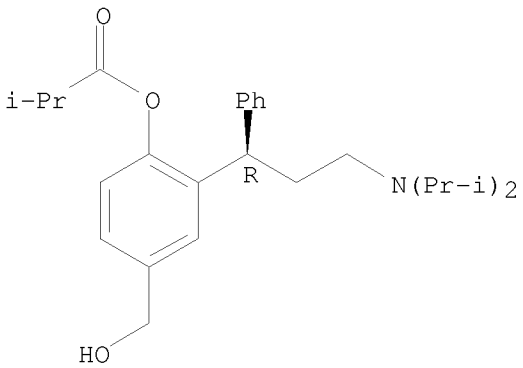
AB The invention relates to a process for the production of hydroxymethyl phenols I [wherein R1 is H, or (alkyl|phenyl)carbonyl] or its salts thereof, which is known as the active metabolite of tolterodine, and its phenolic monoesters by an improved synthetic route via a so-called "Turbo Grignard" reaction.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxymethyl phenols as the active metabolite of tolterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



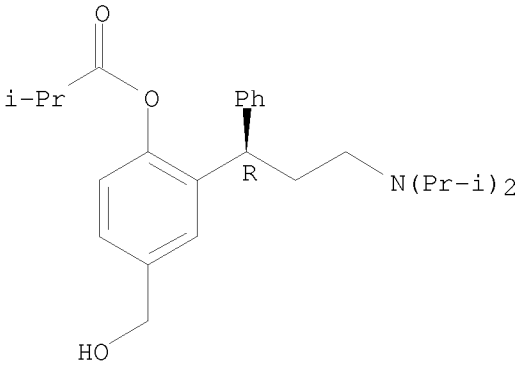
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

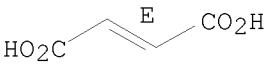
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1420174 CAPLUS
DOCUMENT NUMBER: 148:62011
TITLE: Stabilized pharmaceutical compositions comprising fesoterodine
INVENTOR(S): Arth, Christoph; Mika, Hans-Juergen; Komenda, Michael; Lindner, Hans; Bicane, Fatima; Paulus, Kerstin; Irngartiner, Meike
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141298	A1	20071213	WO 2007-EP55582	20070606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1864651	A1	20071212	EP 2006-11942		20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
EP 1864656	A1	20071212	EP 2006-11943		20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
EP 1867328	A1	20071219	EP 2006-11941		20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
AU 2007255408	A1	20071213	AU 2007-255408		20070606
CA 2652712	A1	20071213	CA 2007-2652712		20070606
EP 2029134	A1	20090304	EP 2007-729956		20070606
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
NL 2000690	A1	20071211	NL 2007-2000690		20070608
NL 2000690	C2	20080401			
ZA 2008006411	A	20090527	ZA 2008-6411		20080721
KR 2009026135	A	20090311	KR 2008-727920		20081114
CN 101466371	A	20090624	CN 2007-80021292		20081208
MX 2008015736	A	20090109	MX 2008-15736		20081209
IN 2009KN00056	A	20090403	IN 2009-KN56		20090105
PRIORITY APPLN. INFO.:			EP 2006-11941	A	20060609
			EP 2006-11942	A	20060609
			EP 2006-11943	A	20060609
			WO 2007-EP55582	W	20070606

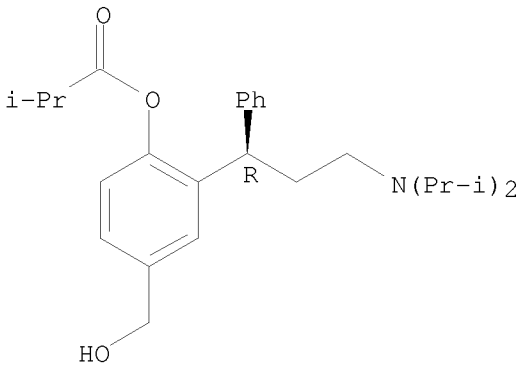
AB The present application relates to a pharmaceutical composition comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a stabilizer selected from the group consisting of xylitol, sorbitol, polydextrose, isomalt and dextrose. A tablet contained fesoterodine hydrogen fumarate 4.0, xylitol 76.0, lactose monohydrate 43.0, microcryst. cellulose 41.5, hypromellose (e.g. Methocel K100M) 70.0, hypromellose (e.g. Methocel K4M) 70.0, glycerol dibehenate 8.0, talc 7.5, and purified water q.s.

IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilized pharmaceutical compns. comprising fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

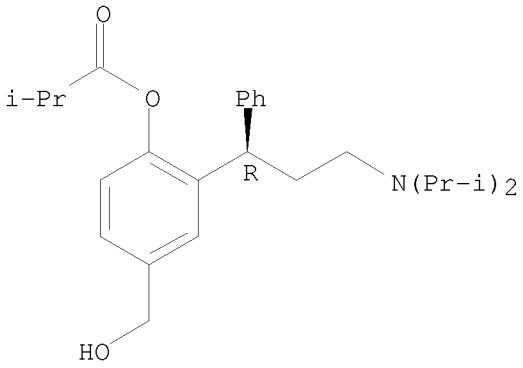


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

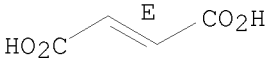
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



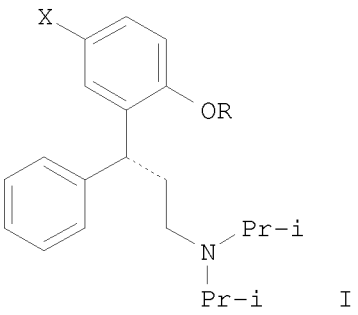
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1395061 CAPLUS
DOCUMENT NUMBER: 148:33495
TITLE: Method for preparation of Fesoterodine and related intermediates
INVENTOR(S): Browne, Roisin; Kilkelly, Michael
PATENT ASSIGNEE(S): Schwarz Pharma Ltd., Ire.
SOURCE: PCT Int. Appl., 45pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007137799	A1	20071206	WO 2007-EP4705	20070526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IE 2006000415	A2	20071031	IE 2006-415	20060531
EP 1862448	A1	20071205	EP 2006-11293	20060531
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
EP 1862449	A1	20071205	EP 2006-11294	20060531
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2007267371	A1	20071206	AU 2007-267371	20070526
CA 2647398	A1	20071206	CA 2007-2647398	20070526
EP 1940774	A1	20080709	EP 2007-725601	20070526
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009538849	T	20091112	JP 2009-512476	20070526
IN 2008KN03951	A	20090227	IN 2008-KN3951	20080929
KR 2009014345	A	20090210	KR 2008-727112	20081105
CN 101454273	A	20090610	CN 2007-80019361	20081126
MX 2008015233	A	20081212	MX 2008-15233	20081128

PRIORITY APPLN. INFO.:		
EP 2006-11293	A	20060531
EP 2006-11294	A	20060531
IE 2006-415	A	20060531
WO 2007-EP4705	W	20070526

OTHER SOURCE(S): CASREACT 148:33495; MARPAT 148:33495
GI



I

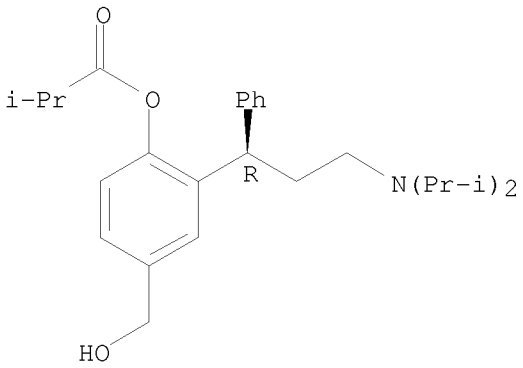
AB The present disclosure relates to a process for the preparation of 2-(3-diisopropylamino-1-phenylpropyl)-4-(hydroxymethyl)phenol [I; X = CH2OH, R = H] or its phenolic monoesters or salts thereof, characterized by the steps of: (a) reacting a compound of formula I [X = Br, R = Bn] with a mixture of a Grignard initiator and Mg in a solvent; (b) optionally reducing the temperature of the Grignard reagent to a lower temperature than in step (a), and reacting the resulting Grignard reagent with an excess of a carbonate in a solvent, to obtain a compound of formula I [X = AO2C wherein A = alkyl, R = Bn (II)], and the further reacting the compound of formula II in a known manner to obtain the desired end product. The invention further includes the hydrogen fumarate salt of I.

IT 286930-02-7P, Fesoterodine 286930-03-8P
RL: IMF (Industrial manufacture); PREP (Preparation)
(method for preparation of fesoterodine and related intermediates)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



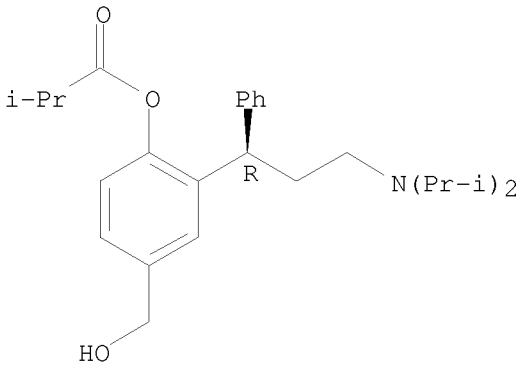
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

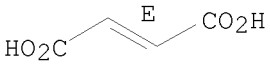
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1389231 CAPLUS
DOCUMENT NUMBER: 148:33629
TITLE: Process for the production of benzopyran-2-ol derivatives
INVENTOR(S): Ahman, Jens Bertil; Dillon, Barry Richard; Pettman, Alan John
PATENT ASSIGNEE(S): Pfizer Limited, UK
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007138440	A1	20071206	WO 2007-IB1379	20070521

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,

KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM

AU 2007266761	A1	20071206	AU 2007-266761		20070521
CA 2651978	A1	20071206	CA 2007-2651978		20070521
EP 2029567	A1	20090304	EP 2007-734680		20070521
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
JP 2007314537	A	20071206	JP 2007-135615		20070522
MX 2008012976	A	20081017	MX 2008-12976		20081008
IN 2008DN08655	A	20090515	IN 2008-DN8655		20081015
KR 2009003353	A	20090109	KR 2008-728577		20081121
CN 101454304	A	20090610	CN 2007-80019140		20081124
PRIORITY APPLN. INFO.:			US 2006-803068P	P	20060524
			WO 2007-IB1379	W	20070521

OTHER SOURCE(S): CASREACT 148:33629; MARPAT 148:33629

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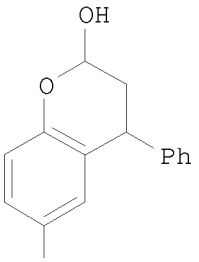
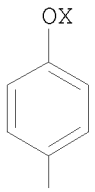


I



II

AB The invention provides a process for the production of a compound of formula (I), wherein Y is selected from CH3, CH2OH, CH2CH2OH, CH2Br and Br; comprising the steps of: (i) reacting a compound of formula (II), wherein OX is OH or O- M+, in which M+ is a cation selected from Li+, Na+ and K+, and Y is as defined above; with trans-cinnamaldehyde, in the presence of a secondary amine compound; then (ii) treating the product of the preceding step with acid to afford I. Compds. I are intermediates useful in the production of tolterodine and fesoterodine, which are useful in the treatment of overactive bladder.

IT 286930-03-8P
RL: IMF (Industrial manufacture); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzopyranol derivs.)

RN 286930-03-8 CAPLUS

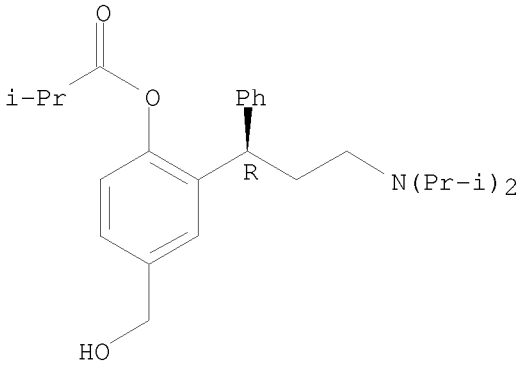
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

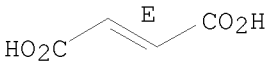
Absolute stereochemistry. Rotation (+).



CM 2

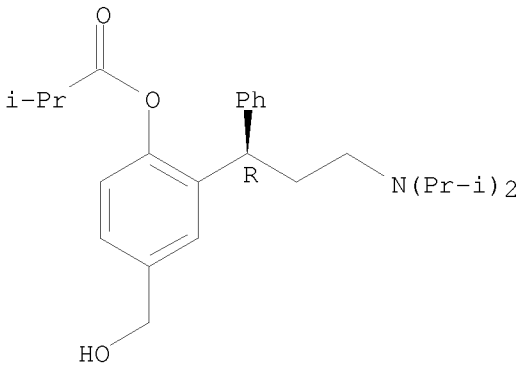
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT 286930-02-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzopyranol derivs.)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

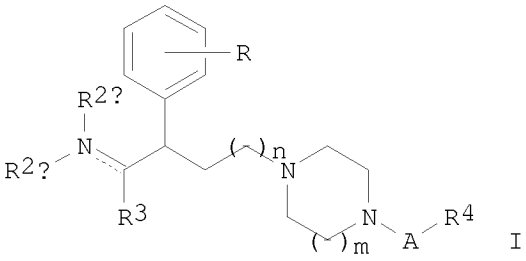


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

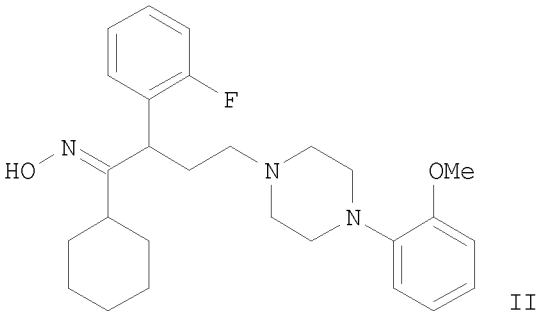
L3 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1334076 CAPLUS
DOCUMENT NUMBER: 148:11263
TITLE: Preparation of amino- and imino-alkylpiperazines having affinity for serotonergic receptors
INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Guarneri, Luciano
PATENT ASSIGNEE(S): Recordati Ireland Limited, Ire.
SOURCE: U.S. Pat. Appl. Publ., 44pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20070270436	A1	20071122	US 2007-751322		20070521
PRIORITY APPLN. INFO.:			US 2006-802738P	P	20060522

OTHER SOURCE(S): CASREACT 148:11263; MARPAT 148:11263
GI



I



II

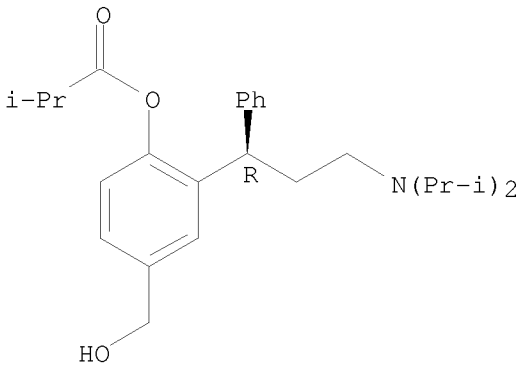
AB Title compds. represented by the formula I [wherein R = H, alkyl, alkoxy, etc.; R2a = H, alkyl, alkenyl, etc.; R2b = not present or H, alkyl, formyl, etc.; R3 = (cyclo)alkyl, alkenyl or alkynyl; R4 = (un)substituted (hetero)aryl; A = a bond or (CH2)n; m = 1 or 2; n = 1 or 2; or enantiomers, optical isomers, diastereomers, N-oxides, crystalline forms, hydrates and pharmaceutically acceptable salts thereof] were prepared For example, reaction of 1-[4-cyclohexyl-3-(2-fluorophenyl)-4-oxobutyl]-4-(2-methoxyphenyl)piperazine with hydroxylamine•HCl in EtOH/H2O at reflux for 6 h gave II in 97% yield. I were tested for binding affinity with 5-HT1A receptor, inhibition of serotonergic syndrome induced by 8-OH-DPAT in rats, and etc. Thus, I and their pharmaceutical compns., having affinity for serotonergic receptors, are useful for the treatment of patients with neuromuscular dysfunction of the lower urinary tract and CNS diseases and/or disorders associated with 5-HT1A receptor dysfunction.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy agent; preparation of amino- and imino-alkylpiperazines having affinity for serotonergic 5-HT1A receptors)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



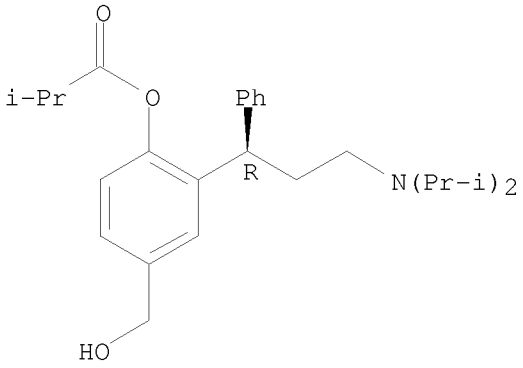
L3 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1213902 CAPLUS
DOCUMENT NUMBER: 148:69911
TITLE: Clinical efficacy, safety, and tolerability of once-daily fesoterodine in subjects with overactive bladder
AUTHOR(S): Chapple, Christopher; Van Kerrebroeck, Philip; Tubaro, Andrea; Haag-Molkenteller, Cornelia; Forst, Hans-Theo; Massow, Ute; Wang, Joseph; Brodsky, Marina
CORPORATE SOURCE: The Royal Hallamshire Hospital, Sheffield, UK
SOURCE: European Urology (2007), 52(4), 1204-1212
CODEN: EUURAV; ISSN: 0302-2838
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective: To determine the efficacy, tolerability, and safety of fesoterodine in subjects with overactive bladder (OAB). Methods: This was a multicenter, randomized, double-blind, placebo- and active-controlled trial with tolterodine extended release (ER) to assess the efficacy and safety of fesoterodine. Eligible subjects (≥18 yr) with increased micturition frequency and urgency and/or urgency urinary incontinence (UUI) were randomized to placebo, fesoterodine 4 mg, fesoterodine 8 mg, or tolterodine ER 4 mg for 12 wk. The primary efficacy variable was a change from baseline to week 12 in micturitions per 24 h. Co-primary end points included change from baseline to week 12 in UUI episodes per 24 h and Treatment Response ("yes" or "no," based on four-point treatment benefit scale). Secondary efficacy variables included mean volume voided per micturition, continent days per wk, and number of urgency episodes. Results: At the end of treatment, subjects taking fesoterodine 4 and 8 mg had significant ($p < 0.05$) and clin. relevant improvements vs. placebo in the primary, co-primary, and most secondary efficacy variables. Tolterodine ER (active control) also provided significantly greater improvement than placebo for most efficacy variables, confirming the sensitivity of the study design. A more pronounced effect was observed with fesoterodine 8 mg at most end points. Conclusions: Both doses of fesoterodine were significantly better than placebo in improving the symptoms of OAB and produced a significantly greater Treatment Response vs. placebo. Efficacy was more pronounced with fesoterodine 8 mg compared with the other treatments. Active treatments were well tolerated.

IT 286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(once-daily fesoterodine 4 mg or 8 mg was effective and well tolerated in patient with overactive bladder)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:940100 CAPLUS
DOCUMENT NUMBER: 147:269265
TITLE: Combination of an α2-receptor agonist (such as clonidine) and an antimuscarinic agent (such as oxybutynin) for the treatment of sialorrhea
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 16pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007093824	A1	20070823	WO 2007-GB50057	20070212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2007216320	A1	20070823	AU 2007-216320		20070212
CA 2642850	A1	20070823	CA 2007-2642850		20070212
EP 1986642	A1	20081105	EP 2007-705370		20070212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009526829	T	20090723	JP 2008-554857		20070212
IN 2008DN06924	A	20081024	IN 2008-DN6924		20080812
KR 2009019765	A	20090225	KR 2008-722049		20080909
CN 101400347	A	20090401	CN 2007-80009158		20080916
US 20090221659	A1	20090903	US 2008-279217		20081218
PRIORITY APPLN. INFO.:			GB 2006-2855	A	20060213
			GB 2006-2857	A	20060213
			WO 2007-GB50057	W	20070212

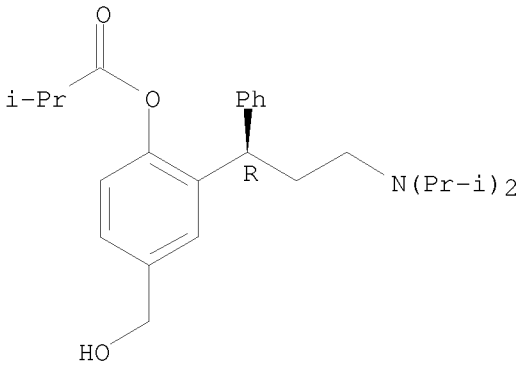
AB An α2-adrenoreceptor agonist (e.g. clonidine, brimonidine, monoxidine, lofexidine) is useful for the treatment of sialorrhea, administered by the paralingual, sublingual or buccal route. The patient to be treated is also given an antimuscarinic agent (e.g. oxybutynin, glycopyrrolate, ipratropium).

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α2-receptor agonist-antimuscarinic agent combination for treatment of sialorrhea)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:705973 CAPLUS

DOCUMENT NUMBER: 147:125829

TITLE: Pharmaceutical combination comprising a PED5 inhibitor and a muscarinic antagonist for the treatment of LUTS

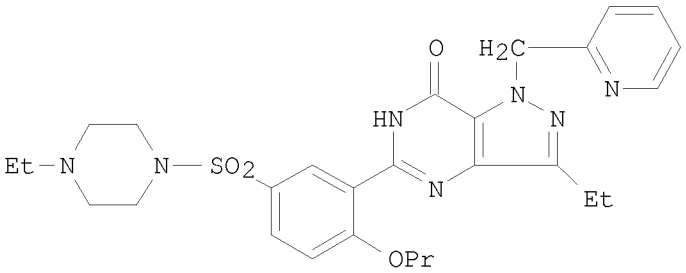
INVENTOR(S): Mastrell, Carl Erik Johan; Suesserman, Michael Allen
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072169	A2	20070628	WO 2006-IB3683	20061219
WO 2007072169	A3	20071101		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006327882	A1	20070628	AU 2006-327882	20061219
CA 2634019	A1	20070628	CA 2006-2634019	20061219
JP 2007169278	A	20070705	JP 2006-341662	20061219
EP 1965863	A2	20080910	EP 2006-821077	20061219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080318982	A1	20081225	US 2008-93358	20080512
MX 2008006766	A	20080604	MX 2008-6766	20080526
IN 2008DN04971	A	20080815	IN 2008-DN4971	20080610
KR 2008076961	A	20080820	KR 2008-714835	20080619
CN 101340946	A	20090107	CN 2006-80048291	20080620

PRIORITY APPLN. INFO.:

US 2005-752625P	P	20051220
US 2006-757720P	P	20060109
WO 2006-IB3683	W	20061219

GI



I

AB This invention relates to the combined use of a phosphodiesterase 5 (PDE5) inhibitor and a muscarinic antagonist in the treatment of lower urinary

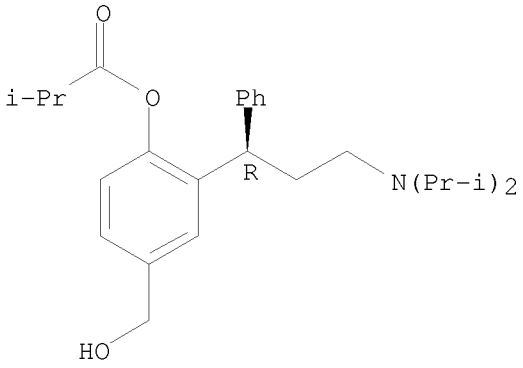
tract symptoms (LUTS), such as urgency, frequency, nocturia and urge incontinence. A method of treatment of LUTS comprises simultaneous, sep., or sequential administration of a PED5 inhibitor and a muscarinic antagonist to a patient in need of such treatment. Thus, a muscarinic antagonist, oxybutynin (3.18 mg/kg) produced a small increase in micturition pressure, whereas the PED5 inhibitor, 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-n-propoxyphenyl]-1-(pyridin-2-yl)methyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I, 0.11 mg/kg and 0.32 mg/kg) produced a small reduction in micturition pressure in guinea pigs. The combination of oxybutynin (3.18 mg/kg) plus I (0.32 mg/kg) produced a greater reduction in micturition pressure than observed with I (0.32 mg/kg) alone. These data appear to imply a synergistic effect of oxybutynin and the higher dose of I tested on micturition pressure. Also, an immediate-release tablet containing fesoterodine (muscarinic antagonist) and 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one (PED5 inhibitor) were prepared comprising (i) a core containing fesoterodine hydrogen fumarate 2.0 mg, 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one besylate 5.0 mg, microcryst. cellulose 53.4 mg, calcium hydrogen phosphate dihydrate 18.0 mg, sodium starch glycollate 6.0 mg, magnesium stearate 0.4 mg, and colloidal silica 0.2 mg, and (ii) a coating containing methylhydroxypropyl cellulose 1.5 mg, microcryst. cellulose 0.3 mg, stearic acid 0.6 mg, and titanium dioxide E 171 0.6 mg.

IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising PED5 inhibitor and muscarinic antagonist for treatment of lower urinary tract disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



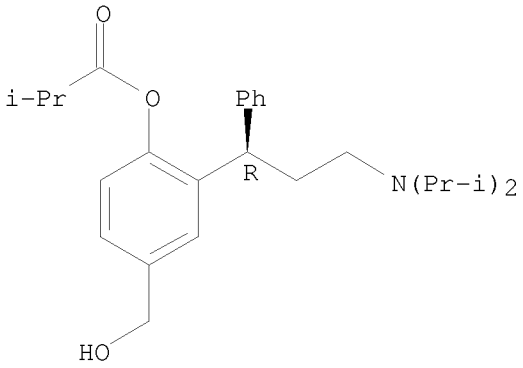
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

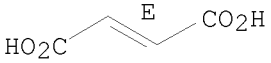
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L3 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:259675 CAPLUS
DOCUMENT NUMBER: 146:281054
TITLE: Pharmaceutical compositions comprising combinations of an antimuscarinic agent and an anticholinergic agent for the treatment of a patient suffering from overactive bladder
INVENTOR(S): Paborji, Mehdi
PATENT ASSIGNEE(S): Theravida, LLC, USA
SOURCE: PCT Int. Appl., 49pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007027675	A1	20070308	WO 2006-US33671	20060828

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,

KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,
RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

AU 2006284940	A1	20070308	AU 2006-284940		20060828
CA 2619565	A1	20070308	CA 2006-2619565		20060828
US 20070053995	A1	20070308	US 2006-467760		20060828
EP 1933833	A1	20080625	EP 2006-813885		20060828
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009507021	T	20090219	JP 2008-529187		20060828
MX 2008002907	A	20080618	MX 2008-2907		20080228
IN 2008CN01052	A	20080912	IN 2008-CN1052		20080229
CN 101287462	A	20081015	CN 2006-80032097		20080229
KR 2008059155	A	20080626	KR 2008-705797		20080310
US 20090275629	A1	20091105	US 2009-503432		20090715
PRIORITY APPLN. INFO.:			US 2005-714150P	P	20050902
			US 2006-467760	A1	20060828
			WO 2006-US33671	W	20060828

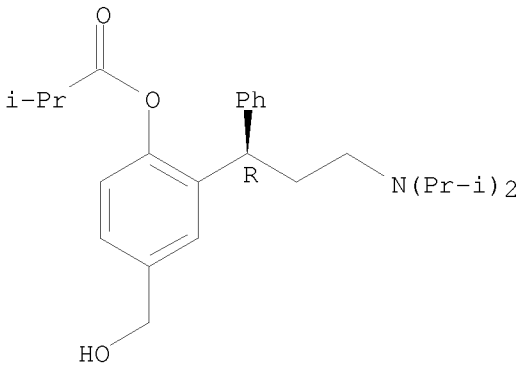
AB Disclosed herein are pharmaceutical compns. comprising various combinations of an antimuscarinic or an anticholinergic agent, a compound that causes stimulation of salivary glands, and a compound that relieves constipation. Also disclosed are methods of treating a patient suffering from overactive bladder comprising administering to the patient the above pharmaceutical composition To an individual with overactive bladder is given 5 mg of oxybutynin two to four times a day in addition to 5 mg of pilocarpine two or three times a day. If the individual continues to complain about dry mouth, the dose of pilocarpine is increased to 10 mg two or three times a day. The dose can be increased upto 20 mg, or 50 mg, if needed. Each dose of oxybutynin can be increased to 10, 15, 20, or 30 mg.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapy for treatment of disease)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

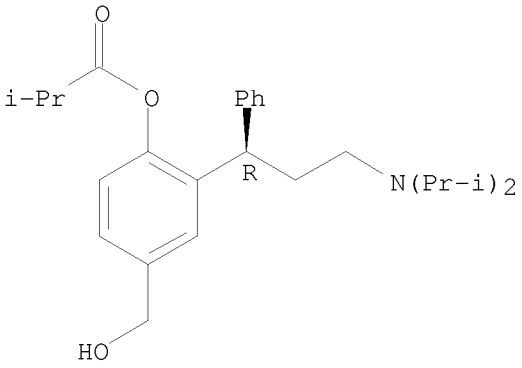


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1133705 CAPLUS
DOCUMENT NUMBER: 146:74422
TITLE: Treatment of the overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites?
AUTHOR(S): Michel, Martin C.; Hegde, Sharath S.
CORPORATE SOURCE: Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Amsterdam, 1105 AZ, Neth.
SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85
CODEN: NSAPCC; ISSN: 0028-1298
PUBLISHER: Springer
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. The authors briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. The authors conclude that more comprehensive studies of drug metabolites are required for an

improved understanding of their clin. effects.
IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:630212 CAPLUS
DOCUMENT NUMBER: 145:110309
TITLE: Injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivatives as muscarinic receptor antagonists
INVENTOR(S): Li, Youxin
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066509	A1	20060629	WO 2005-CN2277	20051222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

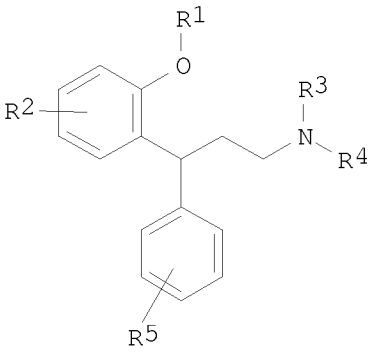
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CN 1795845 A 20060705 CN 2004-10101721 20041223

PRIORITY APPLN. INFO.: CN 2004-10101721 A 20041223

OTHER SOURCE(S): MARPAT 145:110309

GI



I

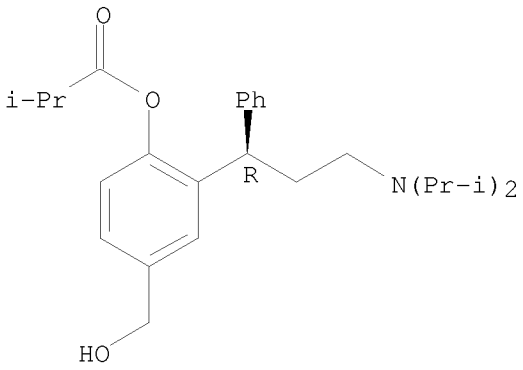
AB The invention relates to injectable sustained release microspheric preparation of 3,3-diphenylpropylamine, its preparing process and application. The said sustained release microspheric preparation consists of 3,3-diphenylpropylamine of formula I as follows, its optical enantiomers or racemates and one or more medicinal biodegradable high-mol. auxiliary material and other medicinal auxiliary material, wherein the definition of R1, R2 R3 R4 and R5 sees the claims. The injectable sustained release microspheric preparation according to the invention is used for treatment or supplementary treatment of diseases related to the muscarinic receptor and unstable or overactive bladder such as urgency or stress urinary incontinence, urge incontinence, urinary urgency or frequency, etc.

IT 286930-02-7 895137-80-1
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivs. as muscarinic receptor antagonists)

RN 286930-02-7 CAPLUS

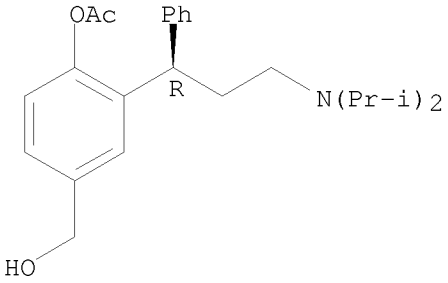
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 895137-80-1 CAPLUS
CN Benzenemethanol, 4-(acetyloxy)-3-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:76147 CAPLUS
DOCUMENT NUMBER: 144:156740
TITLE: Combinations of statins with bronchodilators for treatment of respiratory disorders
INVENTOR(S): Lindmark, Bertil; Thoren, Anders Ingemar
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008437	A1	20060126	WO 2005-GB2413	20050620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005263883	A1	20060126	AU 2005-263883		20050620
CA 2573393	A1	20060126	CA 2005-2573393		20050620
EP 1773319	A1	20070418	EP 2005-752046		20050620
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1984653	A	20070620	CN 2005-80023801		20050620
JP 2008506674	T	20080306	JP 2007-520874		20050620
BR 2005013283	A	20080506	BR 2005-13283		20050620
ZA 2007000071	A	20080430	ZA 2007-71		20070102
US 20080004247	A1	20080103	US 2007-571869		20070109
MX 2007000424	A	20070307	MX 2007-424		20070111
KR 2007031392	A	20070319	KR 2007-700831		20070112
NO 2007000651	A	20070205	NO 2007-651		20070205
IN 2007DN01182	A	20070427	IN 2007-DN1182		20070213
PRIORITY APPLN. INFO.:			GB 2004-15789	A	20040715
			WO 2005-GB2413	W	20050620

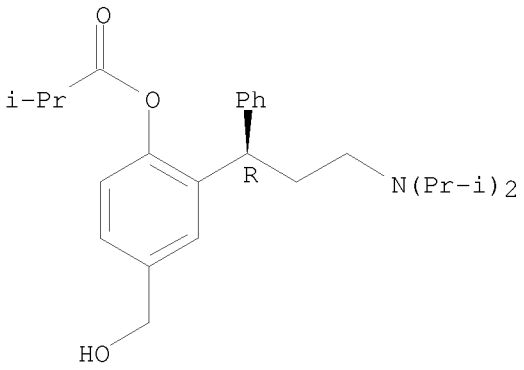
AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 μg, budesonide 160 μg, rosuvastatin 1 mg, and HFA 227 50 μL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 μg and budesonide 160 μg, and a tablet formulation containing rosuvastatin 10 mg.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations of statins with bronchodilators for treatment of respiratory disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1075634 CAPLUS
DOCUMENT NUMBER: 143:373316
TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms
INVENTOR(S): Chugh, Anita; Tiwari, Atul
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1746998	A1	20070131	EP 2004-722336	20040322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, LT, LV, MK				
WO 2005092342	A1	20051006	WO 2004-IB866	20040323
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

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LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

IN 2006DN06061	A	20070427	IN 2006-DN6061	20061017
IN 2006DN06389	A	20070831	IN 2006-DN6389	20061031
US 20080167317	A1	20080710	US 2008-593939	20080225
PRIORITY APPLN. INFO.:			WO 2004-IB842 W	20040322
			WO 2004-IB866 W	20040323

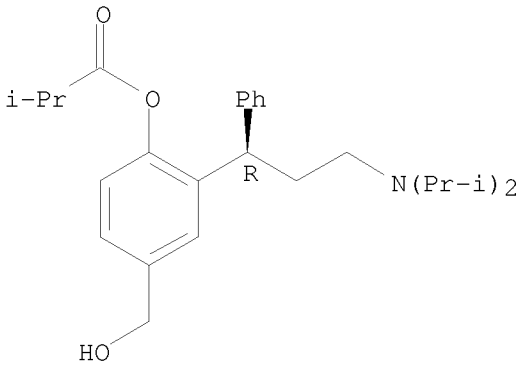
AB This invention relates to combination therapy for the treatment of benign prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of 1α adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

IT 286930-02-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902168 CAPLUS
DOCUMENT NUMBER: 141:374727
TITLE: Method using quaternary ammonium compounds for the treatment of irritable bowel syndrome

INVENTOR(S): Richards, Ivan Michael; Kolbasa, Karen Patrice
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, LLC, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091597	A2	20041028	WO 2004-IB1218	20040405
WO 2004091597	A3	20050414		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040220224	A1	20041104	US 2004-823944	20040413

PRIORITY APPLN. INFO.: US 2003-462921P P 20030415
OTHER SOURCE(S): MARPAT 141:374727
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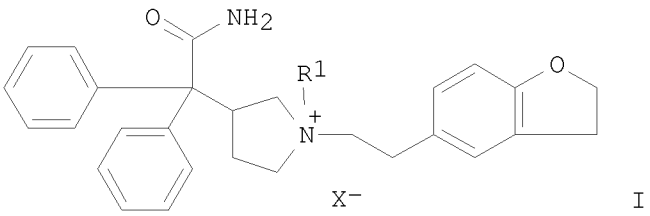


I

AB The invention discloses a method for treating irritable bowel syndrome by administering quaternary ammonium compds. Compds. of the invention include e.g. I [R1 = (un)substituted C1-6 alkyl, (un)substituted CH2(C1-4 alkenyl), (un)substituted CH2(C1-6 alkynyl); X = anion of pharmaceutically acceptable acid]. Preparation of selected compds., e.g. (3R)-3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-N-methyl-3-phenylpropan-1-aminium bromide, is included.

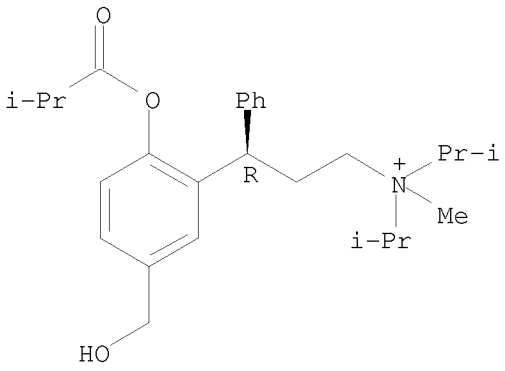
IT 518360-93-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quaternary ammonium compds. for treatment of irritable bowel syndrome)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.



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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878361 CAPLUS
DOCUMENT NUMBER: 141:370546
TITLE: Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089872	A1	20041021	WO 2004-EP3567	20040403

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10315917	A1	20041118	DE 2003-10315917	20030408
AU 2004228163	A1	20041021	AU 2004-228163	20040403
AU 2004228163	B2	20070607		
CA 2505848	A1	20041021	CA 2004-2505848	20040403
BR 2004006221	A	20050809	BR 2004-6221	20040403
EP 1613584	A1	20060111	EP 2004-725610	20040403
EP 1613584	B1	20071121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1802345	A	20060712	CN 2004-80009224	20040403
CN 100475775	C	20090408		
JP 2006522758	T	20061005	JP 2006-504989	20040403
ES 2297409	T3	20080501	ES 2004-725610	20040403
KR 912451	B1	20090814	KR 2005-717823	20040403
ZA 2005002679	A	20060426	ZA 2005-2679	20050331
MX 2005003562	A	20050603	MX 2005-3562	20050401
US 20060014832	A1	20060119	US 2005-532836	20050426
NO 2005005078	A	20051031	NO 2005-5078	20051031
HK 1087399	A1	20080718	HK 2006-107724	20060710
US 20090012159	A1	20090108	US 2008-141489	20080618

PRIORITY APPLN. INFO.: DE 2003-10315917 A 20030408
WO 2004-EP3567 W 20040403
US 2005-532836 A3 20050426

OTHER SOURCE(S): MARPAT 141:370546

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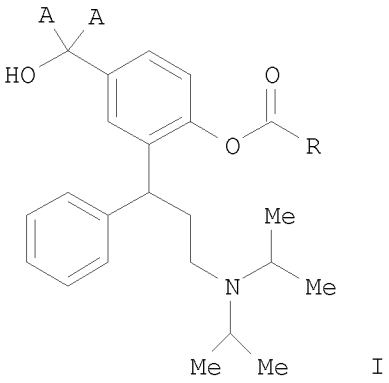


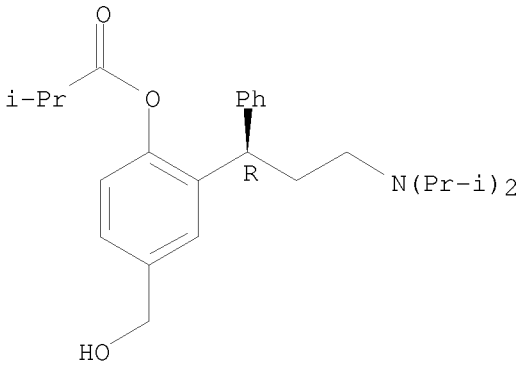
I

AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine

was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

IT 286930-02-7P, Fesoterodine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

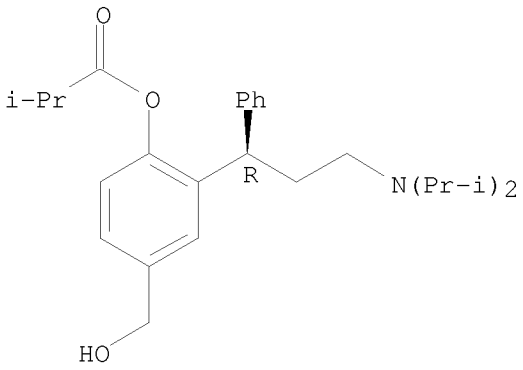


IT 777075-72-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 777075-72-6 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

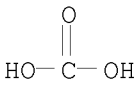
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 463-79-6
CMF C H2 O3



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878163 CAPLUS
DOCUMENT NUMBER: 141:360690
TITLE: Combination therapies of asthma, COPD, allergic and infectious rhinitis
INVENTOR(S): Richards, Ivan Michael; Manning, Robert Everett
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209916	A1	20041021	US 2004-824315	20040413
CA 2522666	A1	20041028	CA 2004-2522666	20040405
WO 2004091596	A2	20041028	WO 2004-IB1170	20040405
WO 2004091596	A3	20050407		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

EP 1620083	A2	20060201	EP 2004-725755		20040405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004009492	A	20060502	BR 2004-9492		20040405
JP 2006523674	T	20061019	JP 2006-506483		20040405
MX 2005011225	A	20051214	MX 2005-11225		20051018
PRIORITY APPLN. INFO.:			US 2003-463975P	P	20030418
			WO 2004-IB1170	W	20040405

OTHER SOURCE(S): MARPAT 141:360690

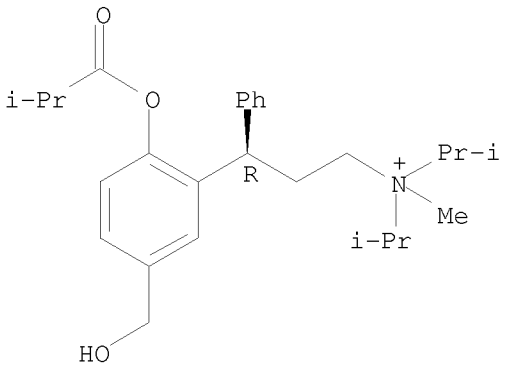
AB The invention is directed to methods of treating asthma, COPD, allergic rhinitis, and infectious rhinitis by administering a first pharmaceutical agent including one or more compds. selected from the quaternary ammonium compds. (Markush structures are included) and a second pharmaceutical agent including one or more pharmaceutical agents selected from Adenosine A2a Receptor Agonists, D2-Dopamine Receptor Agonists, Phosphodiesterase Inhibitors (PDE's), corticosteroids, norepinephrine reuptake inhibitors, 4-hydroxy-7-[2-[2-[3-[2-phenylethoxy]-propylsulfonyl]ethylamino]ethyl]-1,3-benzothiazol-2(3H)-one, and pharmaceutically acceptable salts thereof, and non-quaternized antimuscarinic compds.

IT 518360-93-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapies of asthma, COPD, allergic and infectious rhinitis)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

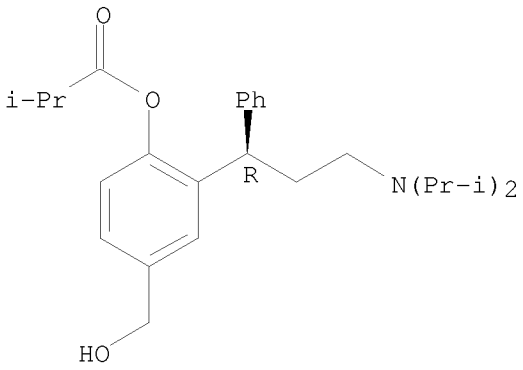
Absolute stereochemistry.



● Br^-

L3 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:875348 CAPLUS
DOCUMENT NUMBER: 142:147630
TITLE: Fesoterodine, an advanced antimuscarinic for the treatment of overactive bladder: a safety update
AUTHOR(S): Cole, Patrick
CORPORATE SOURCE: Medical Information Dept., Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (2004), 29(7), 715-720
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.
IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(advanced antimuscarinic fesoterodine for treatment of overactive bladder)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



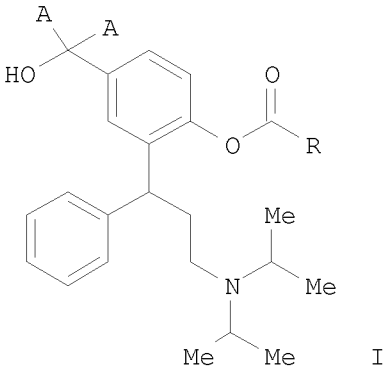
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:872676 CAPLUS
DOCUMENT NUMBER: 141:337790
TITLE: Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
EP 1530461	A1	20050518	EP 2004-725614	20040403

EP 1530461	B1	20071003					
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR							
BR 2004006212	A	20050816	BR 2004-6212		20040403		
JP 2006522759	T	20061005	JP 2006-504992		20040403		
NZ 539214	A	20070223	NZ 2004-539214		20040403		
MX 2005003561	A	20050617	MX 2005-3561		20050401		
US 20060029673	A1	20060209	US 2005-533683		20050426		
KR 2006003334	A	20060110	KR 2005-718006		20050926		
NO 2005004644	A	20051010	NO 2005-4644		20051010		
US 20090274761	A1	20091105	US 2009-417405		20090402		
PRIORITY APPLN. INFO.:			DE 2003-10315878	A	20030408		
			WO 2004-EP3574	W	20040403		
			US 2005-533683	A3	20050426		

OTHER SOURCE(S): MARPAT 141:337790
GI

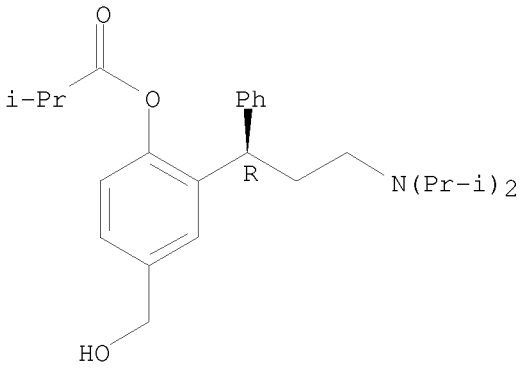


AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

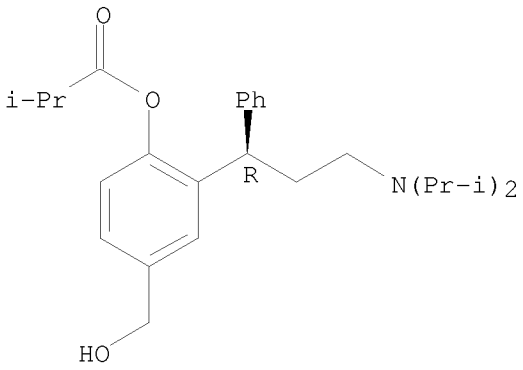
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

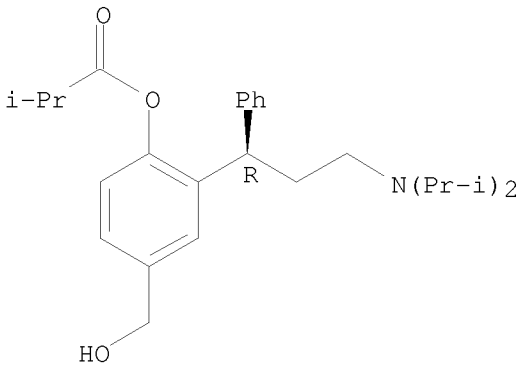
L3 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:761399 CAPLUS
DOCUMENT NUMBER: 141:254396
TITLE: Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study
CORPORATE SOURCE: Chapple C1, Royal Hallamshire Hospital, UK
SOURCE: Neurourology and Urodynamics (2004), 23(5/6), 598-599
CODEN: NEUREM; ISSN: 0733-2467
PUBLISHER: Wiley-Liss, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.
IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimuscarinic fesoterodine for treatment of urgency-frequency syndrome)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:993805 CAPLUS
DOCUMENT NUMBER: 140:331551
TITLE: Fesoterodine: Treatment of urinary incontinence muscarinic M3 antagonist
AUTHOR(S): Sorbera, L. A.; Castaner, J.; Lesson, P. A.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (2003), 28(7), 647-651
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Urinary incontinence and overactive bladder are extremely common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.
IT 286930-02-7, Fesoterodine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine treatment of urinary incontinence as muscarinic M3 antagonist)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:950829 CAPLUS
DOCUMENT NUMBER: 140:13084
TITLE: Combination of selected opioids with other active substances for use in the therapy of urinary incontinence
INVENTOR(S): Christoph, Thomas
PATENT ASSIGNEE(S): Grunenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10224107	A1	20031211	DE 2002-10224107	20020529
AU 2003240717	A1	20031212	AU 2003-240717	20030527
EP 1507520	A1	20050223	EP 2003-730120	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050137194	A1	20050623	US 2004-998164	20041129
US 20060168942	A1	20060803	US 2005-545901	20050817
US 7246486	B2	20070724		

PRIORITY APPLN. INFO.: DE 2002-10224107 A 20020529
WO 2003-EP5529 W 20030527

OTHER SOURCE(S): MARPAT 140:13084

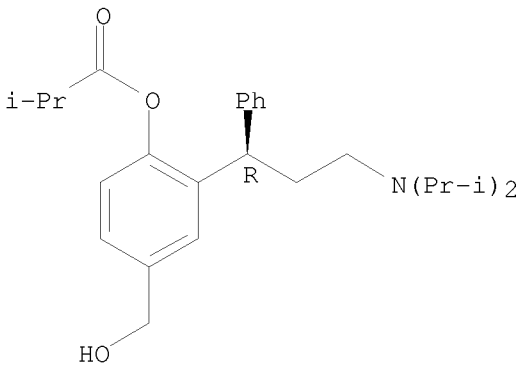
AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid combination with other active substances for treatment of urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:335062 CAPLUS
DOCUMENT NUMBER: 138:353732
TITLE: Quaternary ammonium compounds and their use as antimuscarinic agents
INVENTOR(S): Richards, Ivan; Cammarata, Sue K.; Wegner, Craig D.; Hawley, Michael; Warchol, Mark P.; Kontny, Mark; Morozowich, Walter; Kolbasa, Karen P.; Moon, Malcolm W.; Bonafoux, Dominique; Wolfson, Sergey G.; Lennon, Patrick J.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035599	A1	20030501	WO 2002-US34529	20021025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2464223	A1	20030501	CA 2002-2464223	20021025
CA 2464223	C	20090526		
AU 2002359314	A1	20030506	AU 2002-359314	20021025
US 20030158176	A1	20030821	US 2002-280906	20021025
US 6890920	B2	20050510		
BR 2002006207	A	20031223	BR 2002-6207	20021025
EP 1461306	A1	20040929	EP 2002-793840	20021025
EP 1461306	B1	20081224		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005524605	T	20050818	JP 2003-538115	20021025
JP 3981357	B2	20070926		
AT 418534	T	20090115	AT 2002-793840	20021025
ES 2315425	T3	20090401	ES 2002-793840	20021025
NO 2003002938	A	20030825	NO 2003-2938	20030626
MX 2004003865	A	20040708	MX 2004-3865	20040423
US 20050148672	A1	20050707	US 2005-74914	20050308
US 7439397	B2	20081021		

PRIORITY APPLN. INFO.:	US 2001-348930P	P	20011026
	US 2002-361979P	P	20020306
	US 2002-391521P	P	20020625
	US 2002-280906	A1	20021025
	WO 2002-US34529	W	20021025

OTHER SOURCE(S): MARPAT 138:353732

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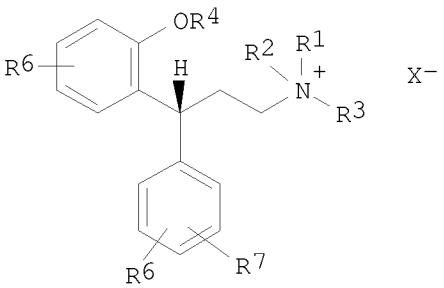


I

AB Novel quaternary ammonium compds. I [R1-R3 = (un)substituted alkyl; NR1R2, NR2R3, NR1R3 = heterocyclic; R4 = H, Me,acyl, alkoxycarbonyl,

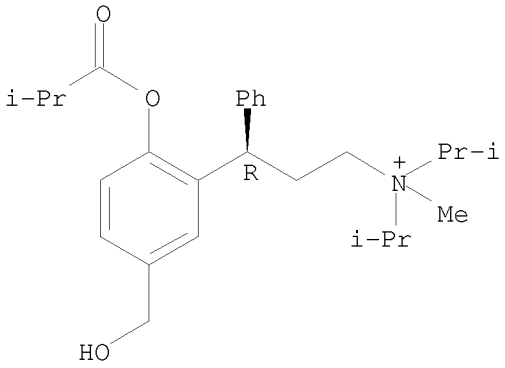
(un)substituted NH2; R5-R7 = H, OMe, OH, CONH2, SO2NH2, F, Cl, Br, I, CF3, (un)substituted alkyl; X = anion of a pharmaceutically acceptable acid] were prepared for use as antimuscarinic agents. Thus, tolterodine tartrate was converted to the free base and quaternized with MeI to give (R)-5,2-Me(OH)C6H3CHPhCH2CH2N+(CHMe2)2Me I- which has high affinity, but little selectivity for M1-M5 muscarinic receptors.

IT 518360-93-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn.of diarylpropylammonium salts as antimuscarinic agents)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Br^-

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:449738 CAPLUS
DOCUMENT NUMBER: 135:61141
TITLE: Preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters.
INVENTOR(S): Meese, Claus
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 19955190	A1	20010621	DE 1999-19955190	19991116
DE 29923134	U1	20000803	DE 1999-29923134	19991116
CA 2389749	A1	20010525	CA 2000-2389749	20001115
CA 2389749	C	20090331		
WO 2001035957	A2	20010525	WO 2000-EP11309	20001115
WO 2001035957	A3	20011227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001026667	A	20010530	AU 2001-26667	20001115
AU 778132	B2	20041118		
BR 2000015610	A	20020730	BR 2000-15610	20001115
EP 1230209	A2	20020814	EP 2000-989857	20001115
EP 1230209	B1	20050112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002004034	A2	20030328	HU 2002-4034	20001115
HU 2002004034	A3	20041228		
JP 2003514018	T	20030415	JP 2001-537950	20001115
JP 4083431	B2	20080430		
NZ 519230	A	20041126	NZ 2000-519230	20001115
EP 1481964	A1	20041201	EP 2004-18487	20001115
EP 1481964	B1	20060823		
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AT 286872	T	20050115	AT 2000-989857	20001115
ES 2236032	T3	20050716	ES 2000-989857	20001115
CN 1215045	C	20050817	CN 2000-815705	20001115
EP 1690536	A2	20060816	EP 2006-11207	20001115
EP 1690536	A3	20060823		
EP 1690536	B1	20080514		
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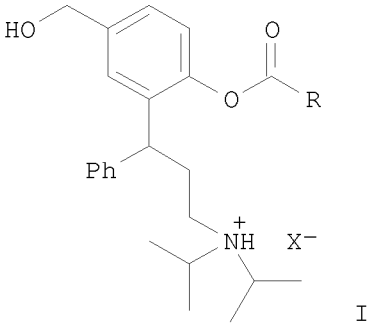
AT 337293	T	20060915	AT 2004-18487	20001115
ES 2270240	T3	20070401	ES 2004-18487	20001115
IL 149567	A	20070819	IL 2000-149567	20001115
AT 395056	T	20080515	AT 2006-11207	20001115
ES 2303708	T3	20080816	ES 2006-11207	20001115
ZA 2002003315	A	20030725	ZA 2002-3315	20020425
MX 2002004603	A	20040910	MX 2002-4603	20020508
US 6858650	B1	20050222	US 2002-130214	20020514
NO 2002002314	A	20020515	NO 2002-2314	20020515
NO 323920	B1	20070723		
HK 1045148	A1	20050506	HK 2002-106545	20020905
HK 1067114	A1	20061020	HK 2004-110231	20020905
NO 2006005380	A	20020515	NO 2006-5380	20061122
HK 1095736	A1	20090417	HK 2007-101097	20070131
JP 2007137895	A	20070607	JP 2007-42774	20070222
PRIORITY APPLN. INFO.:			DE 1999-19955190	IA 19991116
			EP 2000-989857	A3 20001115

EP 2004-18487	A3	20001115
JP 2001-537950	A3	20001115
WO 2000-EP11309	W	20001115
HK 2002-106545	A	20020905

OTHER SOURCE(S): MARPAT 135:61141
GI



I

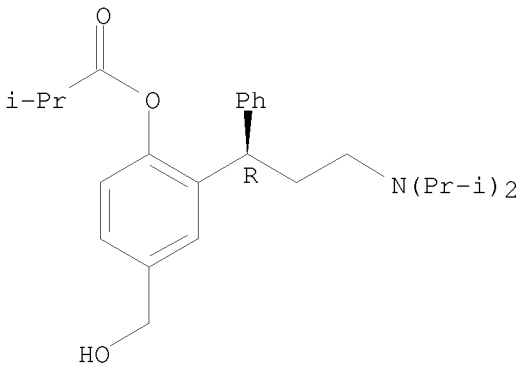
AB Title compds. [I; R = alkyl, cycloalkyl, (substituted) Ph; X- = residue of a physiol. acceptable (in)organic acid], were prepared Thus, (R)-2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl isobutyrate (II) (preparation given) in 2-butanone was treated with fumaric acid under warming to give 83.1% II.hydrogen fumarate.

IT 286930-02-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 286930-03-8P 345663-07-2P

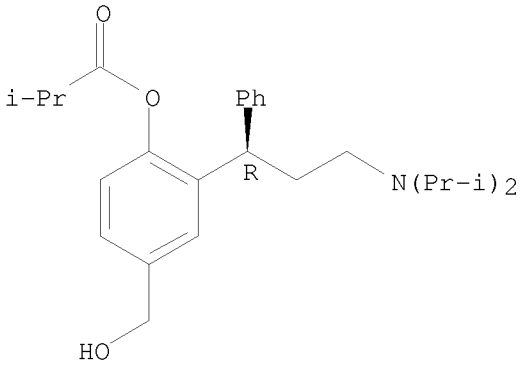
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of stable salts of 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenyl esters)

RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

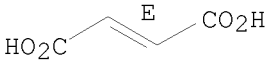
Absolute stereochemistry. Rotation (+).



CM 2

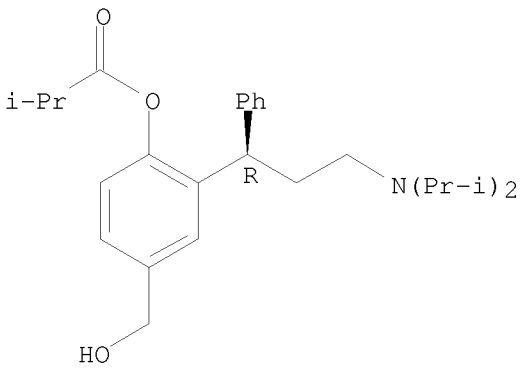
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 345663-07-2 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



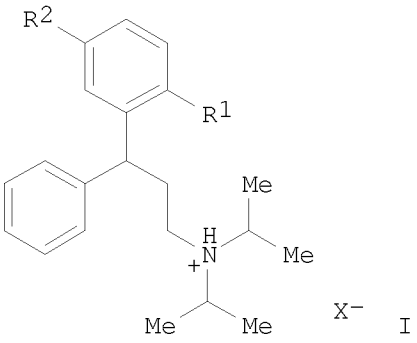
● HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L3 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:533448 CAPLUS
DOCUMENT NUMBER: 133:155419
TITLE: Stable salts of novel derivatives of 3,3-diphenylpropylamines
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger. Gebrauchsmusterschrift, 37 pp.
CODEN: GGXXFR
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 29923134	U1	20000803	DE 1999-29923134	19991116
DE 19955190	A1	20010621	DE 1999-19955190	19991116
PRIORITY APPLN. INFO.:			DE 1999-19955190	IA 19991116

OTHER SOURCE(S): MARPAT 133:155419
GI



I

AB 3,3-Diphenylpropylamine salts I [R1 = RCO2; R = C1-6 alkyl, C3-10 cycloalkyl, (substituted) Ph; R2 = CH2OH; X = inorg. or organic acid] are prepared for use as prodrugs of agents for treatment of urinary incontinence and other spasmogenic disorders. I show improved absorption through biol. membranes and improved metabolic patterns and are easily crystallized I are prepared from I free base (R1 = PhCH2O, R2 = CO2Me) by debenzylation, reduction, acylation, and combination with HX. Thus, R-(-)-I-HCl (R1 = PhCH2O, R2 = CO2H) was esterified by refluxing in acidic MeOH, the ester was reduced with LiAlH4, the resulting carbinol was reduced with Raney Ni/H2, and the product [R-(+)-I free base, R = CHMe2] was converted to its H fumarate salt by heating with equimolar fumaric acid in 2-butanone; the salt was crystallized by addition of cyclohexanone and cooling to 0°.

IT 286930-03-8P 286930-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stable salts of novel derivs. of diphenylpropylamines)

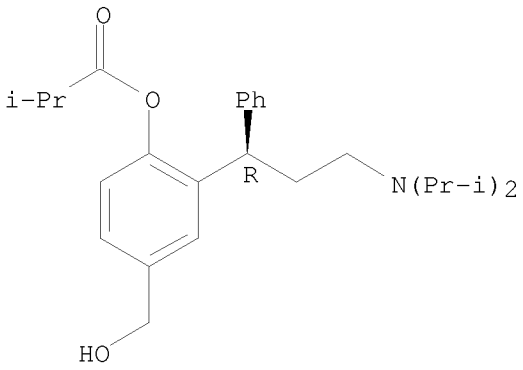
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

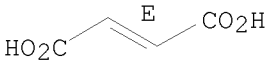
Absolute stereochemistry. Rotation (+).



CM 2

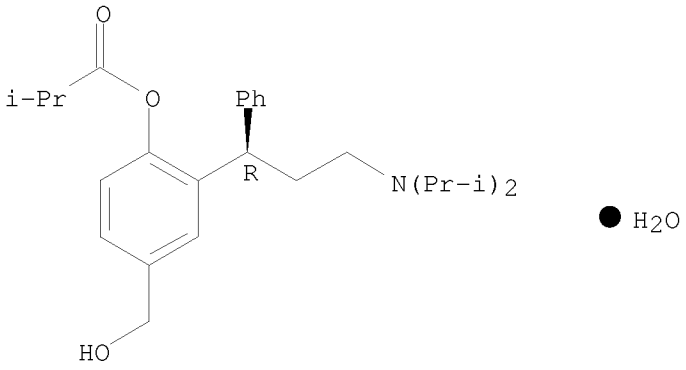
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 286930-04-9 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:736261 CAPLUS

DOCUMENT NUMBER: 131:336818
TITLE: Preparation of 3,3-diphenylpropylamines as antimuscarinic agents.
INVENTOR(S): Sparf, Bengt; Meese, Claus O.
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 957073	A1	19991117	EP 1998-108608	19980512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2328920	A1	19991118	CA 1999-2328920	19990511
CA 2328920	C	20080415		
WO 9958478	A1	19991118	WO 1999-EP3212	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9941412	A	19991129	AU 1999-41412	19990511
AU 748057	B2	20020530		
BR 9910406	A	20010109	BR 1999-10406	19990511
EP 1077912	A1	20010228	EP 1999-924929	19990511
EP 1077912	B1	20020703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001000779	A2	20010828	HU 2001-779	19990511
HU 226490	B1	20090302		
TR 200003319	T2	20011221	TR 2000-3319	19990511
AT 220056	T	20020715	AT 1999-924929	19990511
EP 1254890	A1	20021106	EP 2002-13481	19990511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 507487	A	20021126	NZ 1999-507487	19990511
ES 2181443	T3	20030216	ES 1999-924929	19990511
RU 2199525	C2	20030227	RU 2000-125813	19990511
JP 2003519079	T	20030617	JP 2000-548284	19990511
JP 3929702	B2	20070613		
CN 1207268	C	20050622	CN 1999-806038	19990511
CN 1690041	A	20051102	CN 2005-10070299	19990511
CN 100491336	C	20090527		
CZ 296605	B6	20060412	CZ 2000-3774	19990511
PL 195581	B1	20071031	PL 1999-347823	19990511
SK 286052	B6	20080205	SK 2000-1547	19990511
CZ 299721	B6	20081029	CZ 2006-29	19990511
ZA 2000005728	A	20010305	ZA 2000-5728	20001017
NO 2000005669	A	20010111	NO 2000-5669	20001110
NO 326872	B1	20090309		

MX 2000011096	A	20020604	MX 2000-11096		20001110
US 6713464	B1	20040330	US 2001-700094		20010102
HK 1046269	A1	20050923	HK 2002-107859		20021030
US 20040186061	A1	20040923	US 2004-766263		20040127
US 7230030	B2	20070612			
US 20060270738	A1	20061130	US 2005-201756		20050810
US 7384980	B2	20080610			
JP 2007084552	A	20070405	JP 2006-283861		20061018
JP 2007204481	A	20070816	JP 2007-39857		20070220
US 20090042981	A1	20090212	US 2008-105016		20080417
PRIORITY APPLN. INFO.:			EP 1998-108608	A	19980512
			CN 1999-806038	A3	19990511
			EP 1999-924929	A3	19990511
			JP 2000-548284	A3	19990511
			WO 1999-EP3212	W	19990511
			US 2001-700094	A1	20010102
			US 2004-766263	A1	20040127
			US 2005-201756	A1	20050810

OTHER SOURCE(S): MARPAT 131:336818

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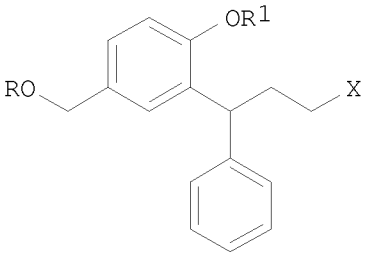


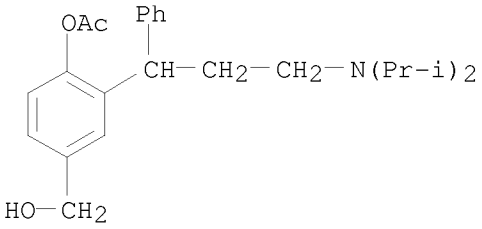
I

AB Title compds. (I; R = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, CHO, Ac, propionyl, isobutyryl, aminocarbonyl, aminosulfonyl, MeO2C, etc.; R1 = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, phenylalkyl; Z = NR8R9; R8, R9 = hydrocarbyl; NR8R9 = atoms to form a ring; with a proviso), were prepared as antimuscarinic agents (no data). Thus, 4-bromophenol, cinnamoyl chloride, and Et3N were stirred 18 h in CH2Cl2 to give 99.8% 3-phenylacrylic acid 4-bromophenyl ester. This was refluxed 2 h with HOAc/H2SO4 to give 43.8% 6-bromo-4-phenylchroman-2-one. The latter was refluxed with benzyl bromide, K2CO3, and NaI in acetone/MeOH to give 102.1% crude Me 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropionate, which was stirred with LiAlH4 in THF to give 96.3% 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropan-1-ol. This was stirred with tosyl chloride and pyridine in CH2Cl2 for 18 h to give 93.6% tosylate ester, which was refluxed 97 h with diisopropylamine in MeCN to give 77.9% [3-(2-benzyloxy-5-bromophenyl)-3-phenylpropyl]diisopropylamine. The latter was converted in several steps to 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenol, which was acylated to give I.

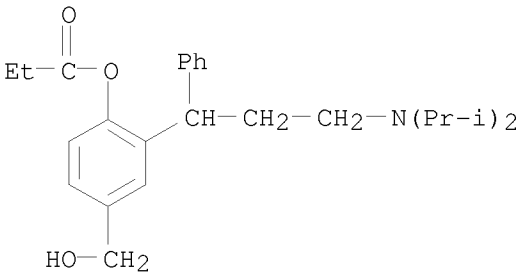
IT 250214-41-6P 250214-42-7P 250214-43-8P
250214-44-9P 250214-45-0P 250214-46-1P
250214-47-2P 250214-48-3P 250214-49-4P
250214-50-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,3-diphenylpropylamines as antimuscarinic agents)

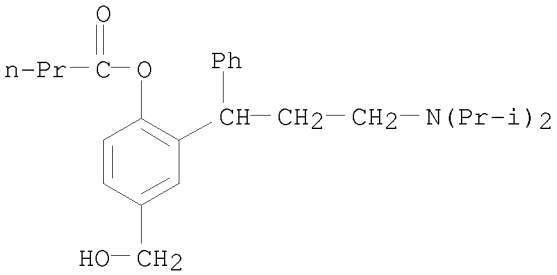
RN 250214-41-6 CAPLUS
CN Benzenemethanol, 4-(acetyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)



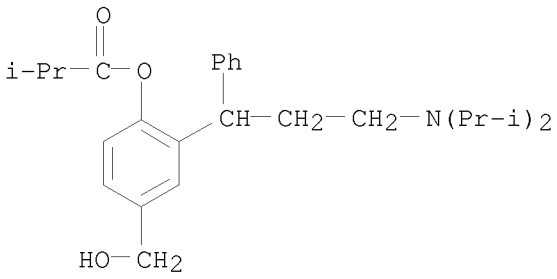
RN 250214-42-7 CAPLUS
CN Benzenemethanol, 3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(1-oxopropoxy)- (CA INDEX NAME)



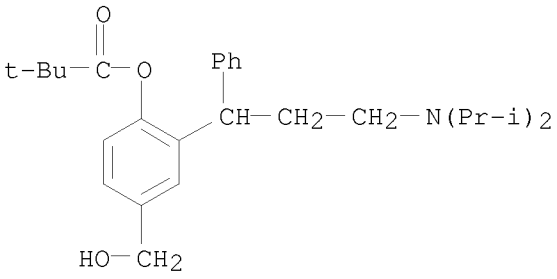
RN 250214-43-8 CAPLUS
CN Butanoic acid, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



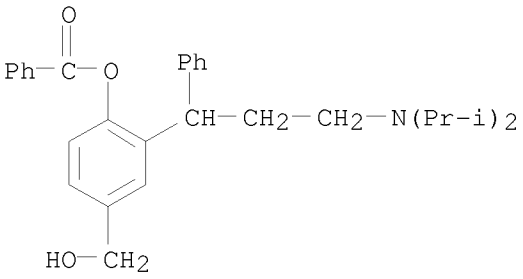
RN 250214-44-9 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



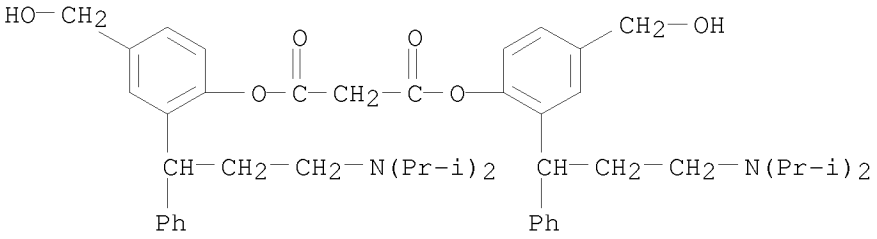
RN 250214-45-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



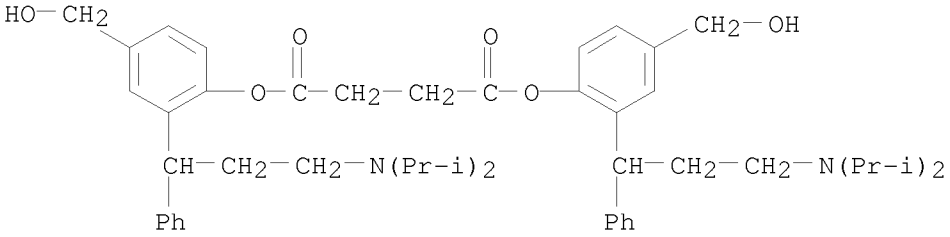
RN 250214-46-1 CAPLUS
CN Benzenemethanol, 4-(benzoyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)



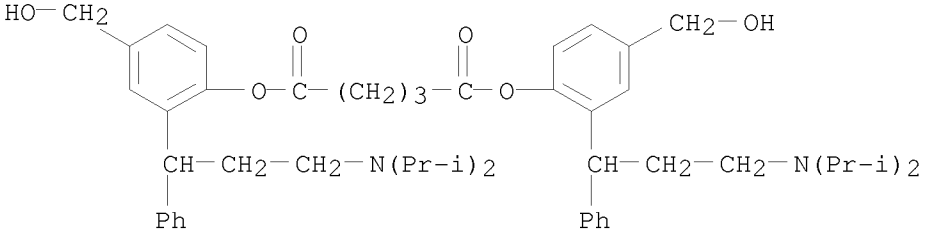
RN 250214-47-2 CAPLUS
CN Propanedioic acid, 1,3-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



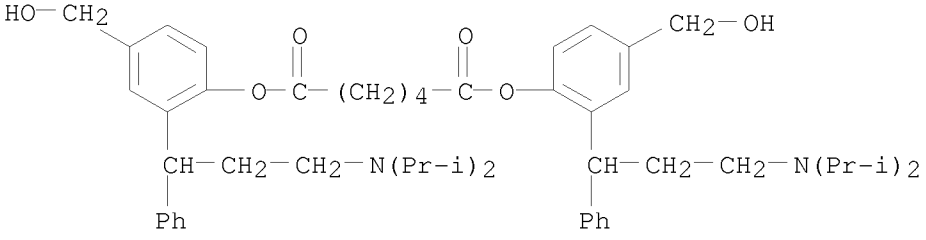
RN 250214-48-3 CAPLUS
CN Butanedioic acid, 1,4-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



RN 250214-49-4 CAPLUS
CN Pentanedioic acid, 1,5-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



RN 250214-50-7 CAPLUS
CN Hexanedioic acid, 1,6-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS

RECORD (21 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D L4 IBIB ABS HITSTR 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:670446 CAPLUS
DOCUMENT NUMBER: 150:572448
TITLE: Transdermal delivery system for fesoterodine
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger., 26pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403
CN 1767820	A	20060503	CN 2004-80009176	20040403
CN 100441179	C	20081210		
JP 2006522759	T	20061005	JP 2006-504992	20040403
NZ 539214	A	20070223	NZ 2004-539214	20040403
AT 374605	T	20071015	AT 2004-725614	20040403
ES 2295848	T3	20080416	ES 2004-725614	20040403
MX 2005003561	A	20050617	MX 2005-3561	20050401
ZA 2005002681	A	20051013	ZA 2005-2681	20050401
US 20060029673	A1	20060209	US 2005-533683	20050426
KR 2006003334	A	20060110	KR 2005-718006	20050926
NO 2005004644	A	20051010	NO 2005-4644	20051010
US 20090274761	A1	20091105	US 2009-417405	20090402

PRIORITY APPLN. INFO.: DE 2003-10315878 A 20030408
WO 2004-EP3574 W 20040403
US 2005-533683 A3 20050426

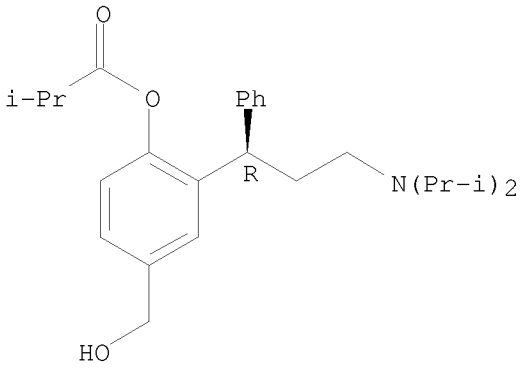
AB The invention concerns a transdermal drug delivery system for (R)-2 [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 286930-03-8P, Fesoterodine fumarate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(transdermal delivery system for fesoterodine)

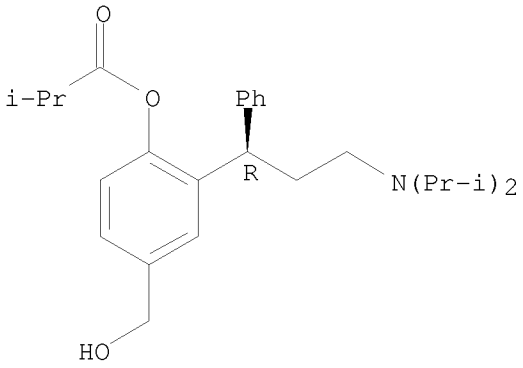
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

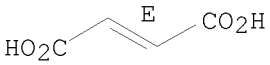
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1161316 CAPLUS
DOCUMENT NUMBER: 150:298553
TITLE: The effects of antimuscarinic treatments in overactive bladder: an update of a systematic review and meta-analysis
AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel, Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein, David
CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research, Sheffield Teaching Hospital NHS Trust, Sheffield, UK
SOURCE: European Urology (2008), 54(3), 543-562
CODEN: EUURAV; ISSN: 0302-2838
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability and safety and health-related quality of life (HRQL). Evidence

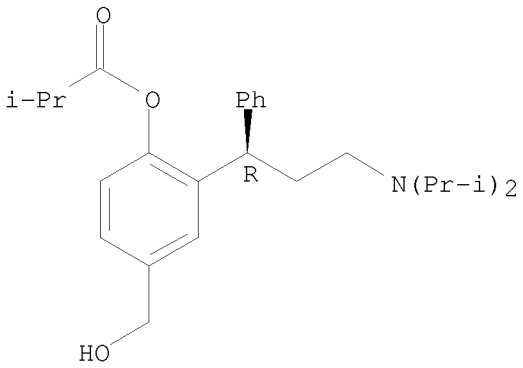
acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

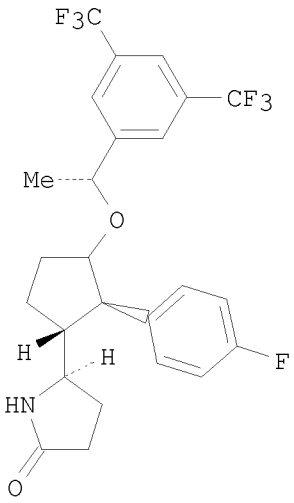
L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1454781 CAPLUS
DOCUMENT NUMBER: 148:78876
TITLE: Cyclopentylpyrrolidinone derivatives and their preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and urinary incontinence
INVENTOR(S): Gottesdiener, Keith M.; Green, Stuart A.; Macintyre, Euan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146224	A2	20071221	WO 2007-US13683	20070607
WO 2007146224	A3	20080214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-812743P P 20060612
OTHER SOURCE(S): CASREACT 148:78876
GI



I

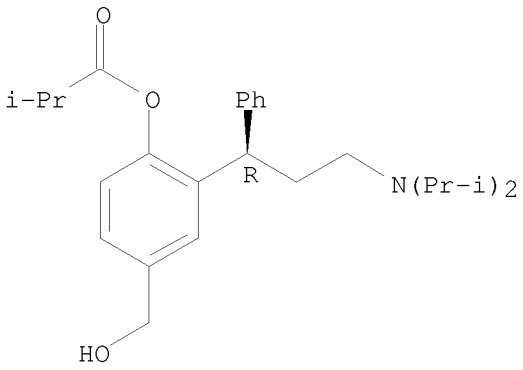
AB This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

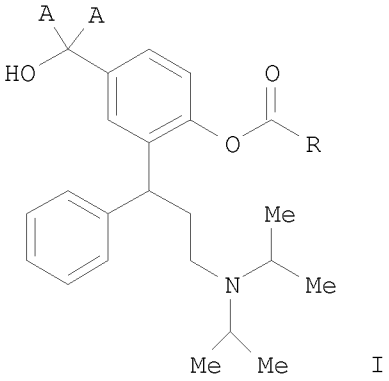


L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878361 CAPLUS
DOCUMENT NUMBER: 141:370546
TITLE: Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315917	A1	20041118	DE 2003-10315917	20030408
AU 2004228163	A1	20041021	AU 2004-228163	20040403
AU 2004228163	B2	20070607		
CA 2505848	A1	20041021	CA 2004-2505848	20040403
BR 2004006221	A	20050809	BR 2004-6221	20040403
EP 1613584	A1	20060111	EP 2004-725610	20040403
EP 1613584	B1	20071121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1802345	A	20060712	CN 2004-80009224	20040403

CN 100475775	C	20090408			
JP 2006522758	T	20061005	JP 2006-504989		20040403
ES 2297409	T3	20080501	ES 2004-725610		20040403
KR 912451	B1	20090814	KR 2005-717823		20040403
ZA 2005002679	A	20060426	ZA 2005-2679		20050331
MX 2005003562	A	20050603	MX 2005-3562		20050401
US 20060014832	A1	20060119	US 2005-532836		20050426
NO 2005005078	A	20051031	NO 2005-5078		20051031
HK 1087399	A1	20080718	HK 2006-107724		20060710
US 20090012159	A1	20090108	US 2008-141489		20080618
PRIORITY APPLN. INFO.:			DE 2003-10315917	A	20030408
			WO 2004-EP3567	W	20040403
			US 2005-532836	A3	20050426

OTHER SOURCE(S): MARPAT 141:370546
GI



I

AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

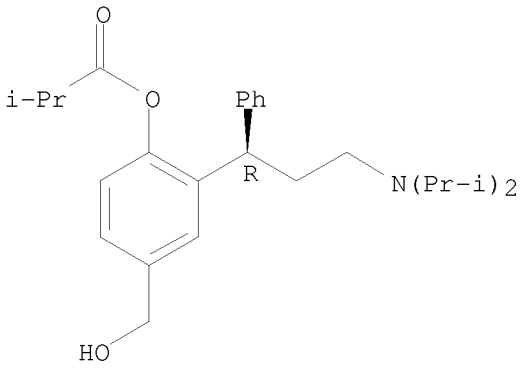
IT 286930-02-7P, Fesoterodine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

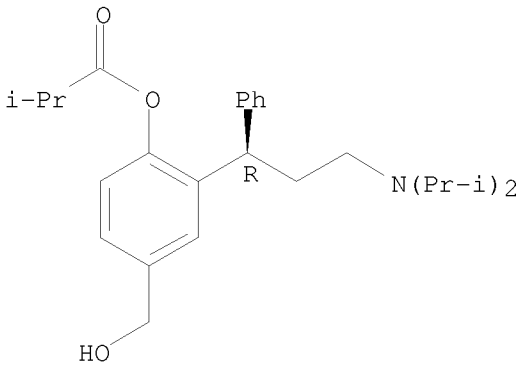


IT 777075-72-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 777075-72-6 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

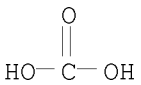
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 463-79-6
CMF C H2 O3

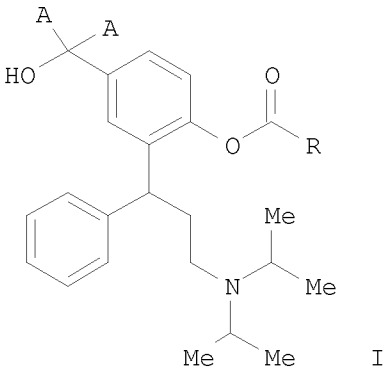


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:872676 CAPLUS
DOCUMENT NUMBER: 141:337790
TITLE: Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403
JP 2006522759	T	20061005	JP 2006-504992	20040403
NZ 539214	A	20070223	NZ 2004-539214	20040403
MX 2005003561	A	20050617	MX 2005-3561	20050401
US 20060029673	A1	20060209	US 2005-533683	20050426
KR 2006003334	A	20060110	KR 2005-718006	20050926
NO 2005004644	A	20051010	NO 2005-4644	20051010

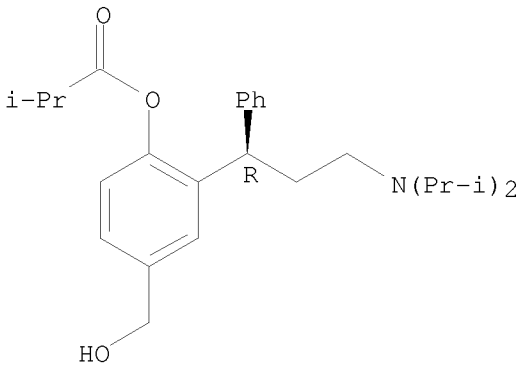
US 20090274761 A1 20091105 US 2009-417405 20090402
PRIORITY APPLN. INFO.: DE 2003-10315878 A 20030408
WO 2004-EP3574 W 20040403
US 2005-533683 A3 20050426
OTHER SOURCE(S): MARPAT 141:337790
GI



I

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.
IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D L5 IBIB ABS HITSTR 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:671311 CAPLUS
DOCUMENT NUMBER: 151:15992
TITLE: The use of muscarinic receptor antagonists for the treatment of skin disorders
INVENTOR(S): Roach, Alan Geoffrey; Blackburn, Nigel; Tinsley, Jonathon Mark; Wilson, Fancis Xavier; Goldsmith, Paul
PATENT ASSIGNEE(S): Summit Corporation PLC, UK
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009068876	A1	20090604	WO 2008-GB3953	20081127
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:
GB 2007-23587 A 20071130
GB 2007-23588 A 20071130
GB 2007-23589 A 20071130

AB Muscarinic receptor antagonists for use as antibacterial agents are described, and in particular the use of certain muscarinic receptor

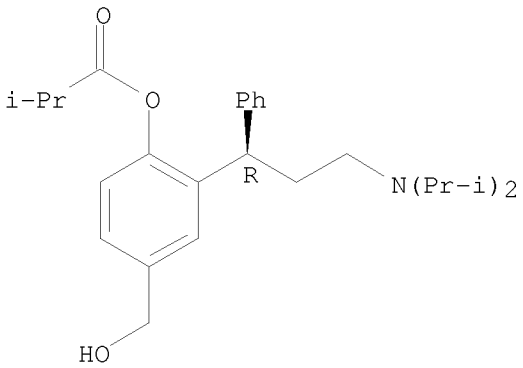
antagonists that have dual antibacterial and anti-sebum secretion activity in the treatment of various skin disorders, including acne. Also described is the use of muscarinic receptor antagonists as anti-sebum agents and in cosmetic compns. for use in reducing facial shine and to cosmetic methods based thereon. Antibacterial and anti-sebum activity of oxybutynin chloride was shown in male volunteers.

IT 286930-02-7, Fesoterodine
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of muscarinic receptor antagonists for treatment of skin disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS

DOCUMENT NUMBER: 141:337790

TITLE: Transdermal administration of (R)-3,3-diphenylpropylamine monoesters

INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland

PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany

SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

DE 10315878	B4	20090604	DE 2003-10315878		20030408
DE 10315878	A1	20041104			
AU 2004228927	A1	20041021	AU 2004-228927		20040403
AU 2004228927	B2	20070517			
CA 2505780	A1	20041021	CA 2004-2505780		20040403
CA 2505780	C	20081216			
EP 1530461	A1	20050518	EP 2004-725614		20040403
EP 1530461	B1	20071003			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004006212	A	20050816	BR 2004-6212		20040403
JP 2006522759	T	20061005	JP 2006-504992		20040403
NZ 539214	A	20070223	NZ 2004-539214		20040403
MX 2005003561	A	20050617	MX 2005-3561		20050401
US 20060029673	A1	20060209	US 2005-533683		20050426
KR 2006003334	A	20060110	KR 2005-718006		20050926
NO 2005004644	A	20051010	NO 2005-4644		20051010
US 20090274761	A1	20091105	US 2009-417405		20090402
PRIORITY APPLN. INFO.:			DE 2003-10315878	A	20030408
			WO 2004-EP3574	W	20040403
			US 2005-533683	A3	20050426

OTHER SOURCE(S): MARPAT 141:337790
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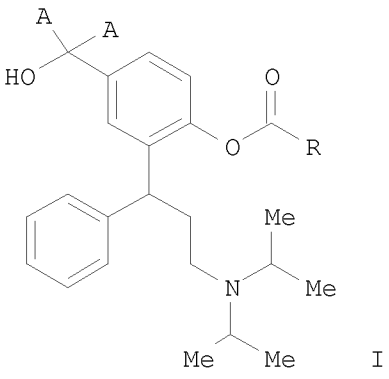


I

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said

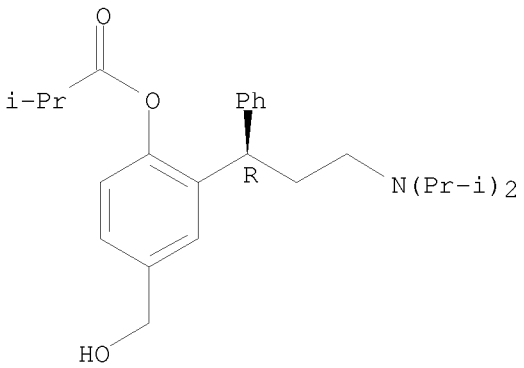
compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D L6 IBIB ABS HITSTR 1

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:872676 CAPLUS
DOCUMENT NUMBER: 141:337790
TITLE: Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004089346		A1	20041021	WO 2004-EP3574	20040403
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315878		B4	20090604	DE 2003-10315878	20030408
DE 10315878		A1	20041104		
AU 2004228927		A1	20041021	AU 2004-228927	20040403
AU 2004228927		B2	20070517		
CA 2505780		A1	20041021	CA 2004-2505780	20040403
CA 2505780		C	20081216		
EP 1530461		A1	20050518	EP 2004-725614	20040403
EP 1530461		B1	20071003		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004006212		A	20050816	BR 2004-6212	20040403
JP 2006522759		T	20061005	JP 2006-504992	20040403
NZ 539214		A	20070223	NZ 2004-539214	20040403
MX 2005003561		A	20050617	MX 2005-3561	20050401
US 20060029673		A1	20060209	US 2005-533683	20050426
KR 2006003334		A	20060110	KR 2005-718006	20050926
NO 2005004644		A	20051010	NO 2005-4644	20051010
US 20090274761		A1	20091105	US 2009-417405	20090402
PRIORITY APPLN. INFO.:				DE 2003-10315878 A	20030408
				WO 2004-EP3574 W	20040403
				US 2005-533683 A3	20050426

OTHER SOURCE(S): MARPAT 141:337790

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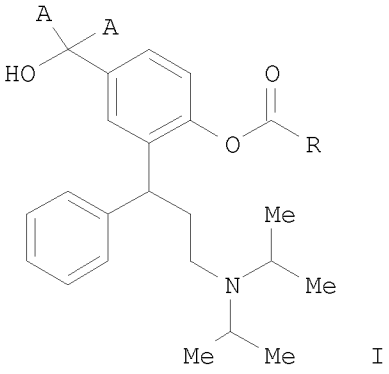


I

AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy,

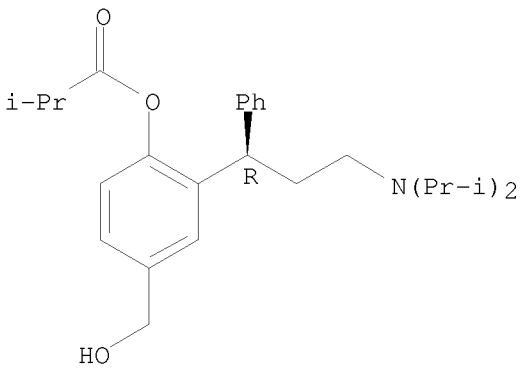
fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D L7 IBIB ABS HITSTR 1-25

L7 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1235711 CAPLUS
DOCUMENT NUMBER: 151:433892
TITLE: Novel mandelate salt of fesoterodine
INVENTOR(S): Charugundla, Kishore; Kumar, Udhaya; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon
PATENT ASSIGNEE(S): Actavis Group Ptc Ehf, Iceland
SOURCE: PCT Int. Appl., 31pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009122303	A2	20091008	WO 2009-IB5679	20090406
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2008CH00862	A	20091009	IN 2008-CH862	20080404
PRIORITY APPLN. INFO.:			IN 2008-CH862 A	20080404

OTHER SOURCE(S): CASREACT 151:433892

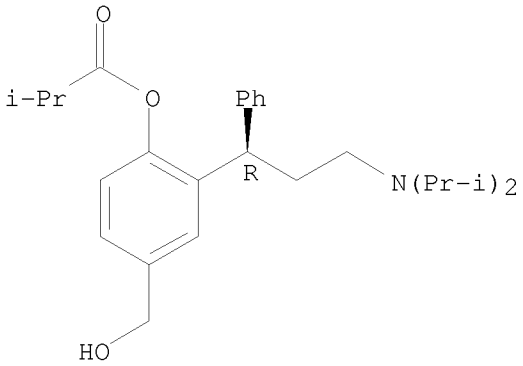
AB Provided herein is a novel mandelate salt of fesoterodine, process for the preparation, pharmaceutical compns., and method of treating thereof. Provided also herein are solid state forms of fesoterodine mandelate, process for the preparation, pharmaceutical compns., and method of treating thereof. The mandelate salt of fesoterodine is useful for preparing fesoterodine free base or a pharmaceutically acceptable salt thereof, particularly fesoterodine fumarate, in high purity.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



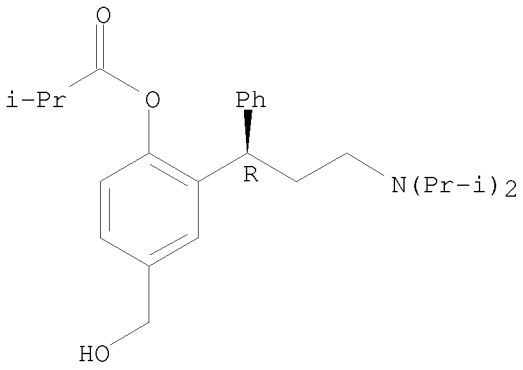
IT 286930-03-8, Fesoterodine fumarate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

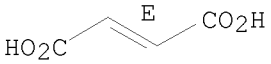
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

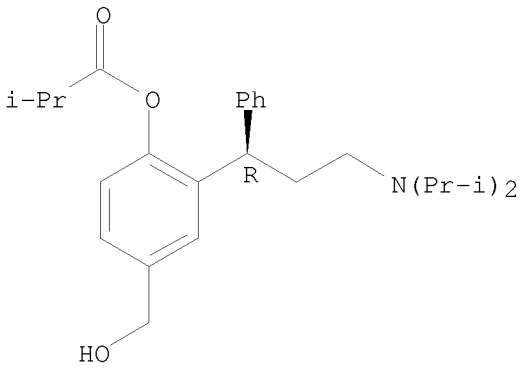


IT 1189518-24-8P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(mandelate salt of fesoterodine for pharmaceutical compns.)
RN 1189518-24-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

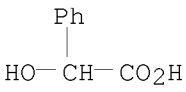
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

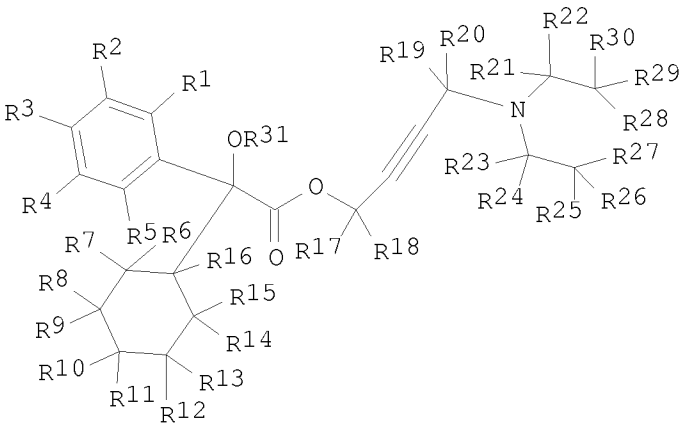
CRN 90-64-2
CMF C8 H8 O3



L7 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:1207949 CAPLUS
DOCUMENT NUMBER: 151:425350
TITLE: Preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators.
INVENTOR(S): Gant, Thomas G.; Sarshar, Sepehr
PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 96pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20090247628	A1	20091001	US 2009-409420		20090323
PRIORITY APPLN. INFO.:			US 2008-39166P	P	20080325

OTHER SOURCE(S): MARPAT 151:425350
GI



I

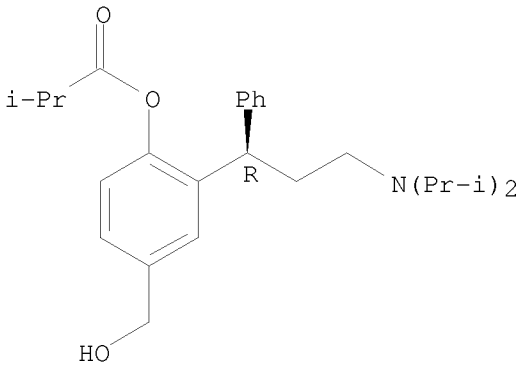
AB Title compds. (I; R1-R31 = H, D; ≥1 of R1-R31 = D), were prepared for treatment of incontinence, overactive bladder, etc. (no data). A procedure for preparation of I (R1-R30 = D; R31 = H) from C6D5CH(OH)CO2H, d16-cyclohexyl bromide, ClD2CCClDCD2Cl, and d11-diethylamine was given.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration; preparation of deuterated oxybutynins as muscarinic acetylcholine receptor modulators)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:671311 CAPLUS

DOCUMENT NUMBER: 151:15992

TITLE: The use of muscarinic receptor antagonists for the treatment of skin disorders

INVENTOR(S): Roach, Alan Geoffrey; Blackburn, Nigel; Tinsley, Jonathon Mark; Wilson, Fancis Xavier; Goldsmith, Paul

PATENT ASSIGNEE(S): Summit Corporation PLC, UK

SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009068876	A1	20090604	WO 2008-GB3953	20081127

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:
GB 2007-23587 A 20071130
GB 2007-23588 A 20071130
GB 2007-23589 A 20071130

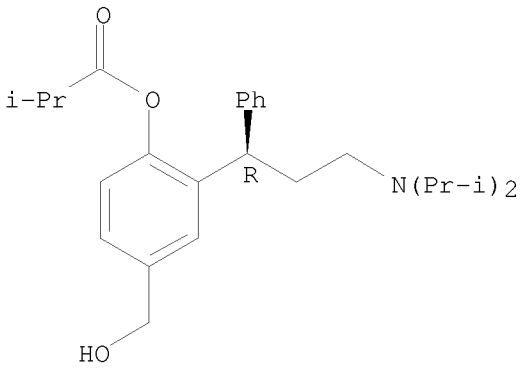
AB Muscarinic receptor antagonists for use as antibacterial agents are described, and in particular the use of certain muscarinic receptor antagonists that have dual antibacterial and anti-sebum secretion activity in the treatment of various skin disorders, including acne. Also described is the use of muscarinic receptor antagonists as anti-sebum agents and in cosmetic compns. for use in reducing facial shine and to cosmetic methods based thereon. Antibacterial and anti-sebum activity of oxybutynin chloride was shown in male volunteers.

IT 286930-02-7, Fesoterodine
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of muscarinic receptor antagonists for treatment of skin disorders)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:670446 CAPLUS
DOCUMENT NUMBER: 150:572448
TITLE: Transdermal delivery system for fesoterodine
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger., 26pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403

CN 1767820	A	20060503	CN 2004-80009176		20040403
CN 100441179	C	20081210			
JP 2006522759	T	20061005	JP 2006-504992		20040403
NZ 539214	A	20070223	NZ 2004-539214		20040403
AT 374605	T	20071015	AT 2004-725614		20040403
ES 2295848	T3	20080416	ES 2004-725614		20040403
MX 2005003561	A	20050617	MX 2005-3561		20050401
ZA 2005002681	A	20051013	ZA 2005-2681		20050401
US 20060029673	A1	20060209	US 2005-533683		20050426
KR 2006003334	A	20060110	KR 2005-718006		20050926
NO 2005004644	A	20051010	NO 2005-4644		20051010
US 20090274761	A1	20091105	US 2009-417405		20090402
PRIORITY APPLN. INFO.:			DE 2003-10315878	A	20030408
			WO 2004-EP3574	W	20040403
			US 2005-533683	A3	20050426

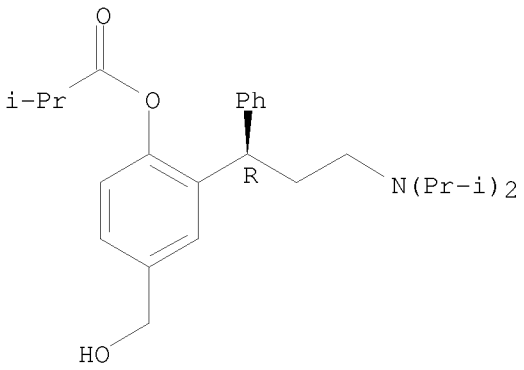
AB The invention concerns a transdermal drug delivery system for (R)-2 [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



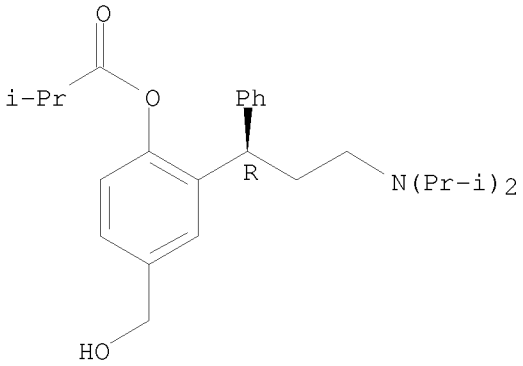
IT 286930-03-8P, Fesoterodine fumarate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(transdermal delivery system for fesoterodine)
RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

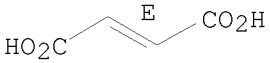
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:425777 CAPLUS
DOCUMENT NUMBER: 150:406607
TITLE: Amorphous fesoterodine fumarate preparation and use in treating urinary incontinence
INVENTOR(S): Charugundla, Kishore; Chandramohan, Udhaya Kumar; Neela, Praveen Kumar; Pradhan, Nitin Sharadchandra; Valgeirsson, Jon
PATENT ASSIGNEE(S): Actavis Group PTC ehf, Iceland
SOURCE: PCT Int. Appl., 26pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009044278	A1	20090409	WO 2008-IB3105	20081001

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2007-CH2206 A 20071001

AB The present invention provides a novel amorphous form of fesoterodine fumarate, process for preparation, pharmaceutical compns., and method of treating thereof. Fesoterodine fumarate (2.0 g) was dissolved in a mixture of dichloromethane (35 mL) and methanol (15 mL) at 25-30° to obtain a clear solution The solvents were removed completely under vacuum at 40° and then dried for 12 h to give 1.8 g of fesoterodine fumarate in amorphous form (HPLC purity - 99.8%).

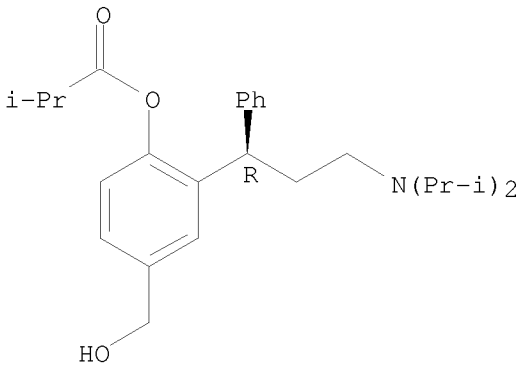
IT 286930-03-8P, Fesoterodine fumarate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amorphous fesoterodine fumarate preparation and use in treating urinary incontinence)

RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

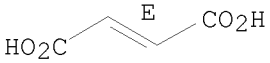
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:198480 CAPLUS
DOCUMENT NUMBER: 150:245316
TITLE: Drug combinations for the treatment of clozapine-induced sialorrhea
INVENTOR(S): Goldsmith, Paul; Roach, Alan Geoffrey
PATENT ASSIGNEE(S): Summit Corporation PLC, UK
SOURCE: PCT Int. Appl., 24pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009022096	A1	20090219	WO 2008-GB2650	20080804

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2007-15790 A 20070813

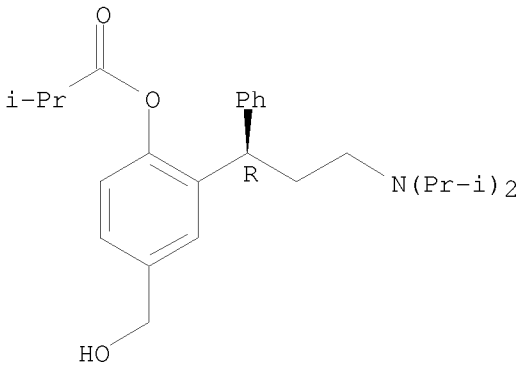
AB A combination comprises an α2-adrenoceptor agonist and an anti-muscarinic agent for the treatment or prevention of sialorrhoea, for example clozapine-induced sialorrhoea, in a patient subgroup selected from: (I) those suffering from, or at risk of suffering from: (a) a pathol. confused mental state; (b) hallucinations; (c) dementia, for example Lewy body dementia; (d) cognitive disturbances; (e) bladder outflow obstruction; (f) prostatism, for example benign prostatic hypertrophy or prostate cancer; (g) glaucoma; (h) hypotension; (i) somnolence; (j) ocular hypertension and (k) needle phobia; or (II) (a) individuals with cortical Lewy bodies; (b) males with an enlarged prostate; (c) individuals with a tendency to presyncope or syncope; (d) individuals with a score ≥ 1 on questions 1.1 and I.2 on the UPDRS or <88/100 on the Cambridge ACE (Addenbrooke's cognitive assessment); (e) individuals with a score ≥ 1 on American Urol. Association symptom index; (f) individuals with an intraocular pressure of >20 mmHg or taking medication to lower previously raised intraocular pressure; (g) individuals with needle phobia; (h) individuals with a score 1 on Q42 on section C of the UPDRS (unified Parkinson's disease rating scale); (i) individuals with a score 1 on Q41 on section C of the UPDRS; (j) individuals with an ESS (Epworth sleepiness score) of >10; and (k) individuals with a leaky blood brain barrier. Thus, a reduction in saliva production following administration of oxybutynin and clonidine was observed in healthy male volunteers.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α2-adrenoceptor agonist combinations with antimuscarinic agent for treatment of clozapine-induced sialorrhea)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

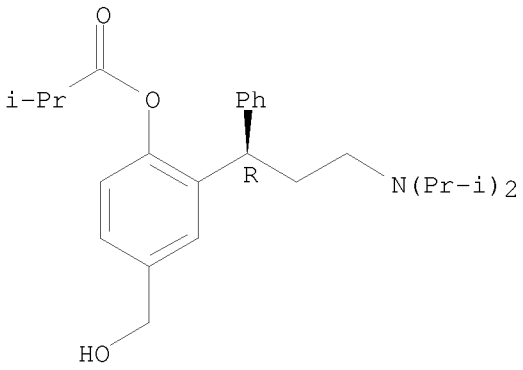
ACCESSION NUMBER: 2009:46157 CAPLUS
DOCUMENT NUMBER: 151:417
TITLE: Pharmacokinetic profile of fesoterodine
AUTHOR(S): Malhotra, B.; Guan, Z.; Wood, N.; Gandelman, K.
CORPORATE SOURCE: Pfizer Inc, New York, NY, USA
SOURCE: International Journal of Clinical Pharmacology and Therapeutics (2008), 46(11), 556-563
CODEN: ICTHEK; ISSN: 0946-1965
PUBLISHER: Dustri-Verlag Dr. Karl Feistle
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fesoterodine is a new antimuscarinic agent for the treatment of overactive bladder. Following oral administration, fesoterodine is rapidly and extensively hydrolyzed by nonspecific esterases to its active moiety: 5-hydroxymethyl tolterodine (5-HMT). The cytochrome P 450 (CYP) enzymes are not involved in the formation of 5-HMT; however, CYP2D6 and CYP3A4 provide 2 alternative pathways for further metabolism and inactivation of 5-HMT. Single oral doses of 4 mg, 8 mg, or 12 mg of fesoterodine sustained-release tablets in the fasted state and 8 mg in a fed state. This single-center, open-label, randomized, crossover study investigated the effects of fesoterodine in healthy volunteers comprised of CYP2D6 extensive metabolizers (EMs; n = 16) and CYP2D6 poor metabolizers (PMs; n = 8) after either an overnight fast or a high-fat and high-calorie breakfast. Adverse events, vital signs, ECG recordings and laboratory tests were monitored for safety assessment. For the principal active moiety, 5-HMT, the maximum plasma concentration (Cmax), area under the concentration-time curve from time zero to time of last measurable concentration (AUC0-t) and amount excreted in urine (Ae) increased proportionally with dose in both EM and PM subjects. The mean Cmax and AUC0-t in PMs were approx. twice those observed in EMs. CYP2D6 status had no effect on time to reach Cmax (5 h), renal clearance (.apprx.250 mL/min), or half-life (.apprx.8 h). Fesoterodine was well tolerated at all doses. While the incidence of dry mouth increased from 8-12 mg, all occurrences were mild-to-moderate. Fesoterodine demonstrated a pharmacokinetic (PK) profile that was favorable for once-daily dosing. The systemic exposure to 5-HMT increased proportionally with dose and was about 2-fold higher in PMs compared with EMs. There was no clin. relevant effect of food on the PK of fesoterodine. Fesoterodine was well tolerated at all dose levels studied.

IT 286930-02-7, Fesoterodine
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetics profile of fesoterodine)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1210834 CAPLUS
DOCUMENT NUMBER: 149:417766
TITLE: Combination therapy for the treatment-of lower urinary tract symptoms
INVENTOR(S): Frenkl, Tara; Green, Stuart A.; Macintyre, Euan; Mills, Sander G.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2008121268	A1	20081009	WO 2008-US3873		20080325
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2008233232	A1	20081009	AU 2008-233232		20080325
PRIORITY APPLN. INFO.:			US 2007-920755P	P	20070329
			WO 2008-US3873	W	20080325

AB This invention concerns compns. for the treatment of Lower Urinary Tract Symptoms (LUTS), and especially LUTS which results from benign prostatic hypertrophy. The compns. of the invention comprise a Beta-3 agonist

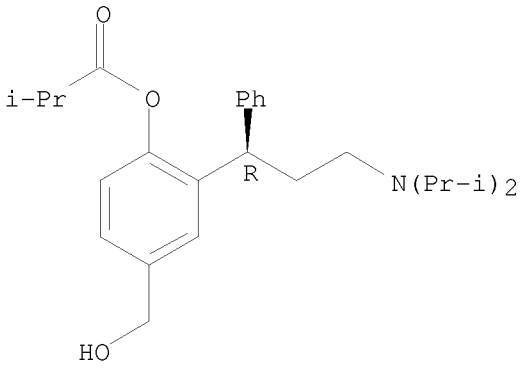
described below, optionally in combination with a 5-alpha reductase inhibitor, or an NK-1 antagonist or an alpha-1 adrenergic antagonist or an anti-muscarinic agent. The invention also includes compns. comprising a beta-3 agonist and two addnl. active agents selected from a 5-alpha reductase inhibitor, an NK-1 antagonist, an alpha-1 adrenergic antagonist or an anti-muscarinic agent.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy for treatment-of lower urinary tract symptoms)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1161316 CAPLUS

DOCUMENT NUMBER: 150:298553

TITLE: The effects of antimuscarinic treatments in overactive bladder: an update of a systematic review and meta-analysis

AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel, Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein, David

CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research, Sheffield Teaching Hospital NHS Trust, Sheffield, UK

SOURCE: European Urology (2008), 54(3), 543-562
CODEN: EUURAV; ISSN: 0302-2838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability

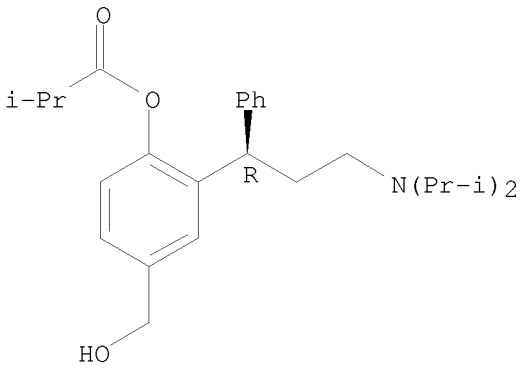
and safety and health-related quality of life (HRQL). Evidence acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:709029 CAPLUS
DOCUMENT NUMBER: 149:38852
TITLE: Pharmaceutical compositions comprising fesoterodine
INVENTOR(S): Arth, Christoph; Komenda, Michael; Bicane, Fatima; Paulus, Kerstin; Irngartinger, Meike; Lindner, Hans
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 39pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

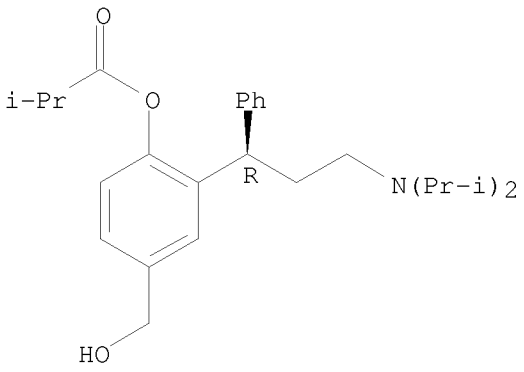
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20080138421	A1	20080612	US 2007-811327		20070607
US 20090117159	A1	20090507	US 2008-342744		20081223
PRIORITY APPLN. INFO.:			US 2006-812149P	P	20060609
			US 2007-811327	A3	20070607

AB The present application relates to a pharmaceutical granulate comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a pharmaceutically acceptable stabilizer, which can be selected from the group consisting of sorbitol, xylitol, polydextrose, isomalt, dextrose, and combinations thereof, and is preferably a sugar alc. selected from the group consisting of xylitol and sorbitol. The granulate is suitable for incorporation into pharmaceutical compns. comprising a gel matrix formed by at least one type of hydroxypropyl Me cellulose into which the fesoterodine is embedded and, optionally, further excipients. In certain embodiments, the granulate is formed by a process of wet granulation.

IT 286930-02-7, Fesoterodine 286930-03-8, Fesoterodine fumarate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical granulates comprising fesoterodine)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



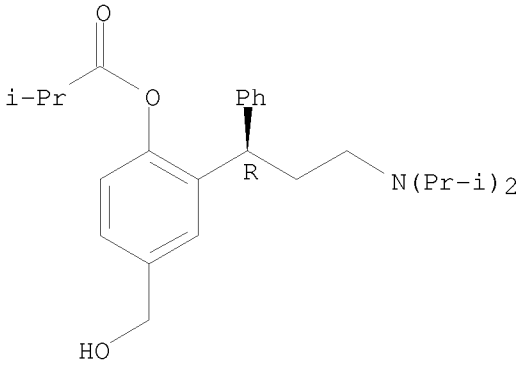
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

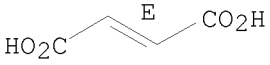
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L7 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:607700 CAPLUS
DOCUMENT NUMBER: 148:568964
TITLE: Composition comprising α2-adrenoceptor agonist for treatment of excess sebum production
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 13pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008059190	A1	20080522	WO 2007-GB2101	20070607

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG,
MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT,
RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2006-11241 A 20060607

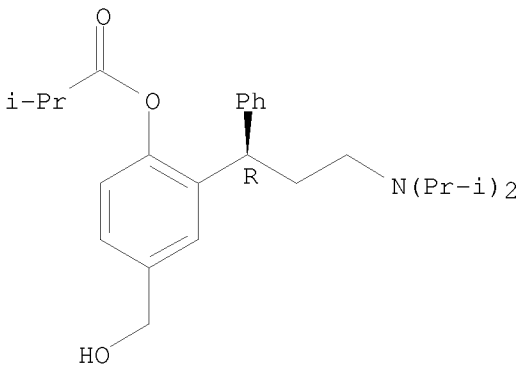
AB This invention relates to an α2-adrenoceptor agonist useful for the treatment or prevention of a condition associated with excess sebum production and/or excretion.

IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising α2-adrenoceptor agonist for treatment of excess sebum production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:70709 CAPLUS

DOCUMENT NUMBER: 148:152045

TITLE: Pharmaceutical preparation for oral administration with controlled active ingredient release in the small intestine and methods for its production

INVENTOR(S): Jung, Gerd; Schaupp, Albert

PATENT ASSIGNEE(S): Dr. R. Pfleger Chemische Fabrik GmbH, Germany

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008006506	A1	20080117	WO 2007-EP5970	20070705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1880718	A1	20080123	EP 2006-14244	20060710
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CA 2655838	A1	20080117	CA 2007-2655838	20070705
MX 2009000379	A	20090414	MX 2009-379	20090109
IN 2009MN00093	A	20090626	IN 2009-MN93	20090109
CN 101495103	A	20090729	CN 2007-80026301	20090112
KR 2009029830	A	20090323	KR 2009-702668	20090210
PRIORITY APPLN. INFO.:			EP 2006-14244 A	20060710
			WO 2007-EP5970 W	20070705

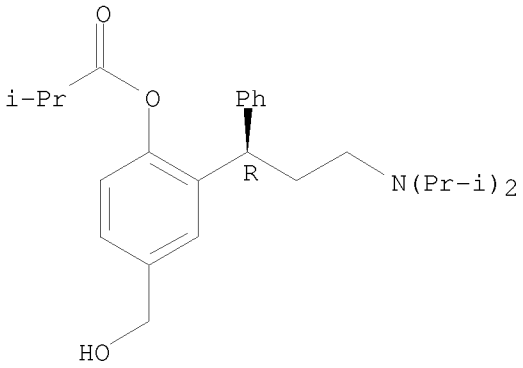
AB A pharmaceutical preparation for oral administration with controlled active ingredient release in the small intestine, on the basis of active ingredient carriers provided with at least one active ingredient which are provided with an inner layer for controlling the active ingredient release and a covering layer, arranged thereon, that is resistant to gastric juices, and is characterized in that the inner layer is constructed from at least two diffusion layers whose permeability for the diffusing active ingredient decreases from the inside to the outside, and a method for its production are described. Thus (1R,3R,5S)-3-[(Hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'-pyrrolidinium] chloride-containing pharmaceutical formulations were prepared Pellets contained mg/dose: drug 45.000; neutral pellets 100.000; hypromellose 4.500; Macrogol 6000 0.450; total 154.450. The first diffusion layer was applied onto the above pellets, mg/dose: drug pellet 154.450; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 166.510. The second diffusion layer was applied onto the above coated pellets, mg/dose: drug pellet 166.510; Kollicoat SR 30D 9.000; Kollicoat IR 1.800; propyleneglycol 0.900; talc 0.360; total 177.175. The gastric juice resistant layer was applied onto the above coated pellets, mg/dose: drug pellet (containing 45 mg drug) 177.175, Kollicoat MAE30DP 28.000; talc 12.600; propylene glycol 4.200; Tylopur C30G1 0.720; total 222.695.

IT 286930-02-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical preparation for oral administration with controlled active ingredient release in small intestine and methods for its production)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



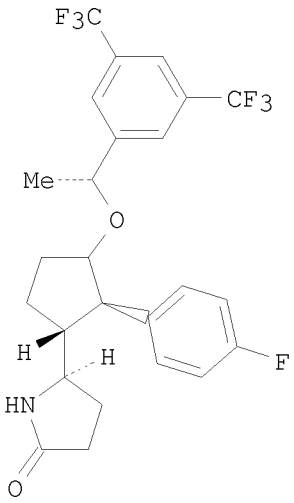
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1454781 CAPLUS
DOCUMENT NUMBER: 148:78876
TITLE: Cyclopentylpyrrolidinone derivatives and their preparation and use in combination therapy for the treatment of urinary frequency, urinary urgency and urinary incontinence
INVENTOR(S): Gottesdiener, Keith M.; Green, Stuart A.; Macintyre, Euan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146224	A2	20071221	WO 2007-US13683	20070607
WO 2007146224	A3	20080214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-812743P P 20060612
OTHER SOURCE(S): CASREACT 148:78876
GI



I

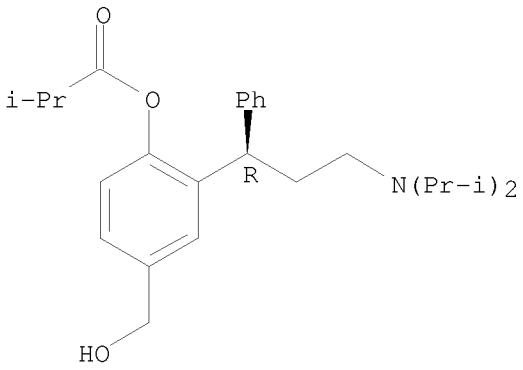
AB This invention concerns compns. for the treatment of urinary frequency, urinary urgency and urinary incontinence comprising a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. This invention concerns combination therapy for urinary frequency, urinary urgency and urinary incontinence wherein one of the active agents is a selected antagonist of the NK-1 receptor or a pharmaceutically acceptable salt thereof and another is an anti-muscarinic agent or a pharmaceutically acceptable salt thereof. Example compound I was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their NK-1 receptor antagonistic activity.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of cyclopentylpyrrolidinone derivs. as anti-muscarinic agents and NK-1 receptor antagonists in combination therapy of urinary frequency, urinary urgency and urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L7 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1425394 CAPLUS
DOCUMENT NUMBER: 148:45893
TITLE: Treatment of excess sebum production
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 12pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

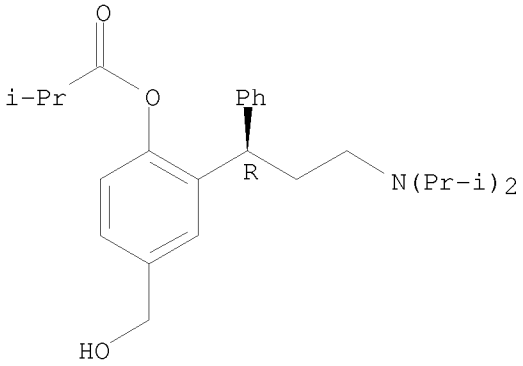
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141530	A2	20071213	WO 2007-GB2098	20070607
WO 2007141530	A3	20080605		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2657590	A1	20071213	CA 2007-2657590	20070607
EP 2037900	A2	20090325	EP 2007-733110	20070607
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: GB 2006-11240 A 20060607
WO 2007-GB2098 W 20070607

AB A muscarinic receptor antagonist is useful for the treatment or prevention of a condition associated with excess sebum production or excretion. Muscarinic receptor antagonist oxybutynin dose-dependently reduced sebum production in

healthy human volunteers.
IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscarinic receptor antagonist for treatment of excess sebum production)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1420174 CAPLUS
DOCUMENT NUMBER: 148:62011
TITLE: Stabilized pharmaceutical compositions comprising fesoterodine
INVENTOR(S): Arth, Christoph; Mika, Hans-Juergen; Komenda, Michael; Lindner, Hans; Bicane, Fatima; Paulus, Kerstin; Irngartiner, Meike
PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007141298	A1	20071213	WO 2007-EP55582	20070606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1864651	A1	20071212	EP 2006-11942	20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
EP 1864656	A1	20071212	EP 2006-11943	20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
EP 1867328	A1	20071219	EP 2006-11941	20060609
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2007255408	A1	20071213	AU 2007-255408	20070606
CA 2652712	A1	20071213	CA 2007-2652712	20070606
EP 2029134	A1	20090304	EP 2007-729956	20070606
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
NL 2000690	A1	20071211	NL 2007-2000690	20070608
NL 2000690	C2	20080401		
ZA 2008006411	A	20090527	ZA 2008-6411	20080721
KR 2009026135	A	20090311	KR 2008-727920	20081114
CN 101466371	A	20090624	CN 2007-80021292	20081208
MX 2008015736	A	20090109	MX 2008-15736	20081209
IN 2009KN00056	A	20090403	IN 2009-KN56	20090105
PRIORITY APPLN. INFO.:			EP 2006-11941 A	20060609
			EP 2006-11942 A	20060609
			EP 2006-11943 A	20060609
			WO 2007-EP55582 W	20070606

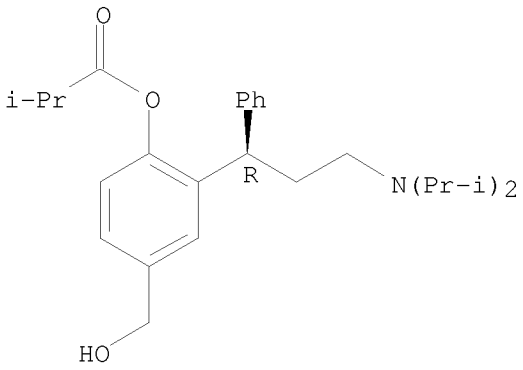
AB The present application relates to a pharmaceutical composition comprising fesoterodine or a pharmaceutically acceptable salt or solvate thereof and a stabilizer selected from the group consisting of xylitol, sorbitol, polydextrose, isomalt and dextrose. A tablet contained fesoterodine hydrogen fumarate 4.0, xylitol 76.0, lactose monohydrate 43.0, microcryst. cellulose 41.5, hypromellose (e.g. Methocel K100M) 70.0, hypromellose (e.g. Methocel K4M) 70.0, glycerol dibehenate 8.0, talc 7.5, and purified water q.s.

IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilized pharmaceutical compns. comprising fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

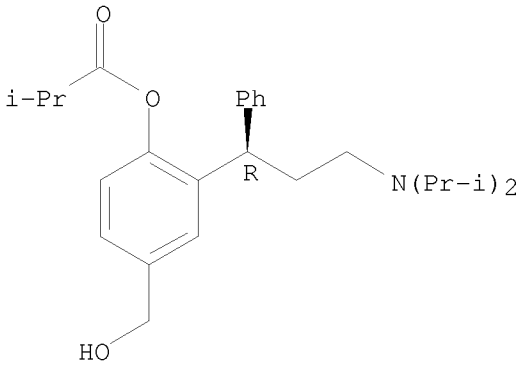


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

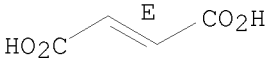
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



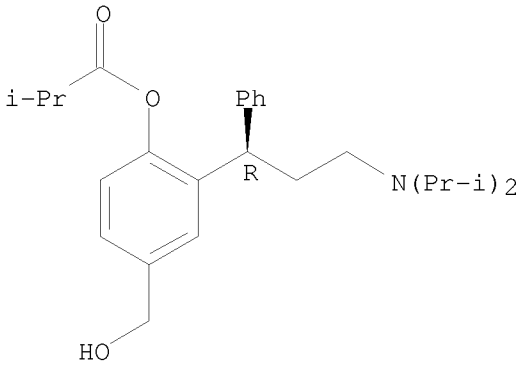
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:940100 CAPLUS
DOCUMENT NUMBER: 147:269265
TITLE: Combination of an α2-receptor agonist (such as clonidine) and an antimuscarinic agent (such as oxybutynin) for the treatment of sialorrhea
INVENTOR(S): Roach, Alan George; Goldsmith, Paul
PATENT ASSIGNEE(S): Daniolabs Ltd., UK
SOURCE: PCT Int. Appl., 16pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007093824	A1	20070823	WO 2007-GB50057		20070212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2007216320	A1	20070823	AU 2007-216320		20070212
CA 2642850	A1	20070823	CA 2007-2642850		20070212
EP 1986642	A1	20081105	EP 2007-705370		20070212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009526829	T	20090723	JP 2008-554857		20070212
IN 2008DN06924	A	20081024	IN 2008-DN6924		20080812
KR 2009019765	A	20090225	KR 2008-722049		20080909
CN 101400347	A	20090401	CN 2007-80009158		20080916
US 20090221659	A1	20090903	US 2008-279217		20081218
PRIORITY APPLN. INFO.:			GB 2006-2855	A	20060213
			GB 2006-2857	A	20060213
			WO 2007-GB50057	W	20070212

AB An α2-adrenoreceptor agonist (e.g. clonidine, brimonidine, monoxidine, lofexidine) is useful for the treatment of sialorrhea, administered by the paralingual, sublingual or buccal route. The patient to be treated is also given an antimuscarinic agent (e.g. oxybutynin, glycopyrrolate, ipratropium).
IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α2-receptor agonist-antimuscarinic agent combination for treatment of sialorrhea)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:705973 CAPLUS
DOCUMENT NUMBER: 147:125829
TITLE: Pharmaceutical combination comprising a PED5 inhibitor and a muscarinic antagonist for the treatment of LUTS
INVENTOR(S): Mastrell, Carl Erik Johan; Suesserman, Michael Allen
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072169	A2	20070628	WO 2006-IB3683	20061219
WO 2007072169	A3	20071101		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006327882	A1	20070628	AU 2006-327882	20061219
CA 2634019	A1	20070628	CA 2006-2634019	20061219
JP 2007169278	A	20070705	JP 2006-341662	20061219
EP 1965863	A2	20080910	EP 2006-821077	20061219

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

US 20080318982	A1	20081225	US 2008-93358		20080512
MX 2008006766	A	20080604	MX 2008-6766		20080526
IN 2008DN04971	A	20080815	IN 2008-DN4971		20080610
KR 2008076961	A	20080820	KR 2008-714835		20080619
CN 101340946	A	20090107	CN 2006-80048291		20080620
PRIORITY APPLN. INFO.:			US 2005-752625P	P	20051220
			US 2006-757720P	P	20060109
			WO 2006-IB3683	W	20061219

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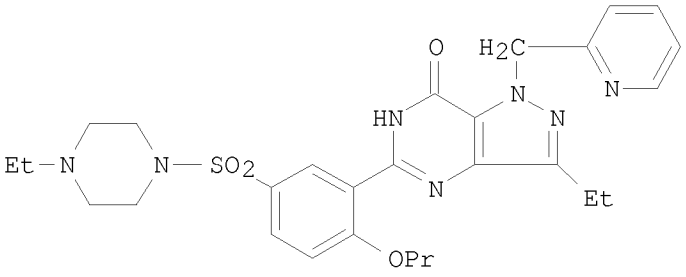


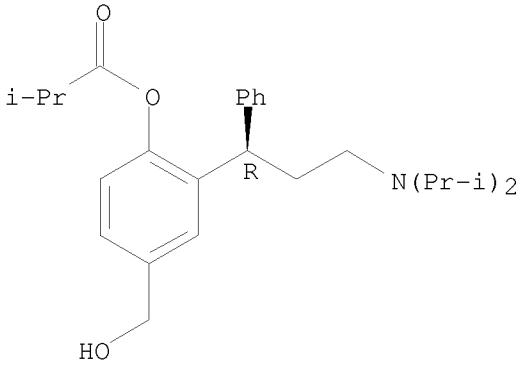
I

AB This invention relates to the combined use of a phosphodiesterase 5 (PDE5) inhibitor and a muscarinic antagonist in the treatment of lower urinary tract symptoms (LUTS), such as urgency, frequency, nocturia and urge incontinence. A method of treatment of LUTS comprises simultaneous, sep., or sequential administration of a PED5 inhibitor and a muscarinic antagonist to a patient in need of such treatment. Thus, a muscarinic antagonist, oxybutynin (3.18 mg/kg) produced a small increase in micturition pressure, whereas the PED5 inhibitor, 3-ethyl-5-[5-(4-ethylpiperazin-1-ylsulfonyl)-2-n-propoxyphenyl]-1-(pyridin-2-yl)methyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I, 0.11 mg/kg and 0.32 mg/kg) produced a small reduction in micturition pressure in guinea pigs. The combination of oxybutynin (3.18 mg/kg) plus I (0.32 mg/kg) produced a greater reduction in micturition pressure than observed with I (0.32 mg/kg) alone. These data appear to imply a synergistic effect of oxybutynin and the higher dose of I tested on micturition pressure. Also, an immediate-release tablet containing fesoterodine (muscarinic antagonist) and 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one (PED5 inhibitor) were prepared comprising (i) a core containing fesoterodine hydrogen fumarate 2.0 mg, 5-[2-ethoxy-5-(4-ethylpiperazine-1-sulfonyl)pyridin-3-yl]-3-ethyl-2-(2-methoxyethyl)-2,6-dihydropyrazolo[4,3-d]pyrimidin-7-one besylate 5.0 mg, microcryst. cellulose 53.4 mg, calcium hydrogen phosphate dihydrate 18.0 mg, sodium starch glycollate 6.0 mg, magnesium stearate 0.4 mg, and colloidal silica 0.2 mg, and (ii) a coating containing methylhydroxypropyl cellulose 1.5 mg, microcryst. cellulose 0.3 mg, stearic acid 0.6 mg, and titanium dioxide E 171 0.6 mg.

IT 286930-02-7, Fesoterodine 286930-03-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising PED5 inhibitor and muscarinic antagonist for treatment of lower urinary tract disorders)

RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

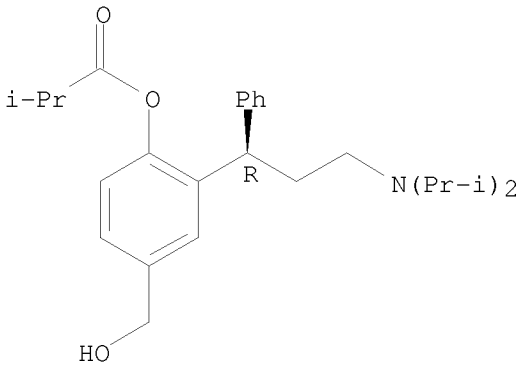


RN 286930-03-8 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

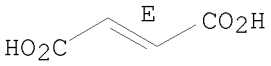
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L7 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:630212 CAPLUS
DOCUMENT NUMBER: 145:110309
TITLE: Injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivatives as muscarinic receptor antagonists
INVENTOR(S): Li, Youxin
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066509	A1	20060629	WO 2005-CN2277	20051222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CN 1795845	A	20060705	CN 2004-10101721	20041223
PRIORITY APPLN. INFO.:			CN 2004-10101721 A	20041223
OTHER SOURCE(S):	MARPAT 145:110309			

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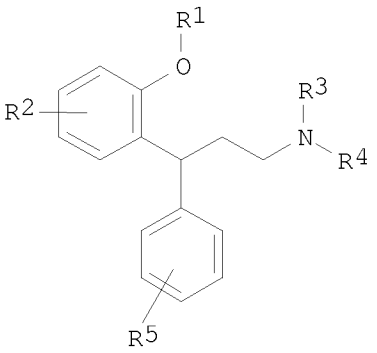


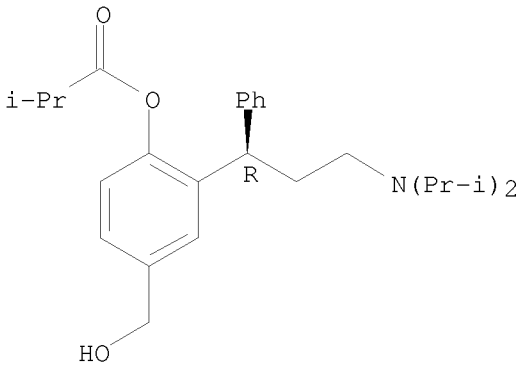
I

AB The invention relates to injectable sustained release microspheric preparation of 3,3-diphenylpropylamine, its preparing process and application. The said sustained release microspheric preparation consists of 3,3-diphenylpropylamine of formula I as follows, its optical enantiomers or racemates and one or more medicinal biodegradable high-mol. auxiliary material and other medicinal auxiliary material, wherein the definition of R1, R2 R3 R4 and R5 sees the claims. The injectable sustained release microspheric preparation according to the invention is used for treatment or supplementary treatment of diseases related to the muscarinic receptor and unstable or overactive bladder such as urgency or stress urinary incontinence, urge incontinence, urinary urgency or frequency, etc.

IT 286930-02-7 895137-80-1
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(injectable sustained release microspheric preparation of 3,3-diphenylpropylamine derivs. as muscarinic receptor antagonists)

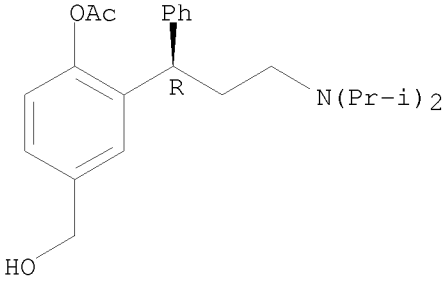
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 895137-80-1 CAPLUS
CN Benzenemethanol, 4-(acetyloxy)-3-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

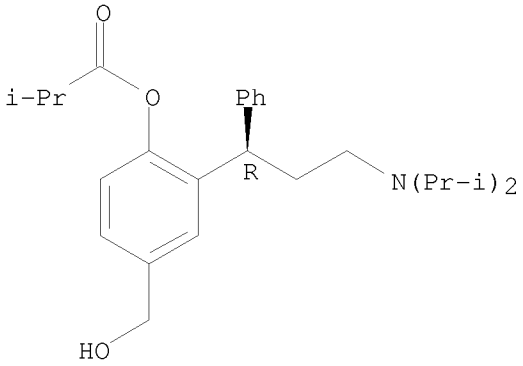
L7 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:76147 CAPLUS
DOCUMENT NUMBER: 144:156740
TITLE: Combinations of statins with bronchodilators for treatment of respiratory disorders
INVENTOR(S): Lindmark, Bertil; Thoren, Anders Ingemar
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008437	A1	20060126	WO 2005-GB2413	20050620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005263883	A1	20060126	AU 2005-263883	20050620
CA 2573393	A1	20060126	CA 2005-2573393	20050620
EP 1773319	A1	20070418	EP 2005-752046	20050620
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1984653	A	20070620	CN 2005-80023801	20050620
JP 2008506674	T	20080306	JP 2007-520874	20050620
BR 2005013283	A	20080506	BR 2005-13283	20050620
ZA 2007000071	A	20080430	ZA 2007-71	20070102
US 20080004247	A1	20080103	US 2007-571869	20070109
MX 2007000424	A	20070307	MX 2007-424	20070111
KR 2007031392	A	20070319	KR 2007-700831	20070112
NO 2007000651	A	20070205	NO 2007-651	20070205
IN 2007DN01182	A	20070427	IN 2007-DN1182	20070213
PRIORITY APPLN. INFO.:			GB 2004-15789 A	20040715
			WO 2005-GB2413 W	20050620

AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 μg, budesonide 160 μg, rosuvastatin 1 mg, and HFA 227 50 μL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 μg and budesonide 160 μg, and a tablet

formulation containing rosuvastatin 10 mg.
IT 286930-02-7, Fesoterodine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of statins with bronchodilators for treatment of respiratory disorders)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

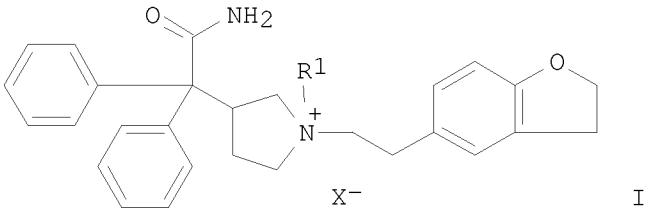
L7 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:902168 CAPLUS
DOCUMENT NUMBER: 141:374727
TITLE: Method using quaternary ammonium compounds for the treatment of irritable bowel syndrome
INVENTOR(S): Richards, Ivan Michael; Kolbasa, Karen Patrice
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, LLC, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091597	A2	20041028	WO 2004-IB1218	20040405
WO 2004091597	A3	20050414		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20040220224 A1 20041104 US 2004-823944 20040413
PRIORITY APPLN. INFO.: US 2003-462921P P 20030415
OTHER SOURCE(S): MARPAT 141:374727
GI



I

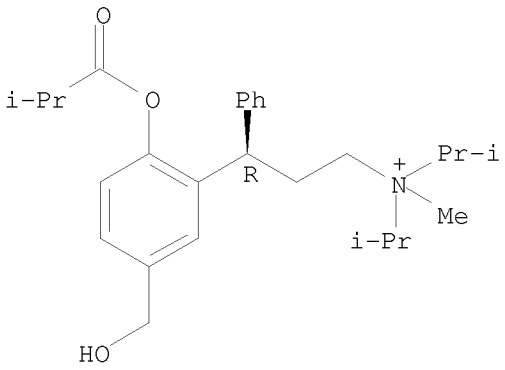
AB The invention discloses a method for treating irritable bowel syndrome by administering quaternary ammonium compds. Compds. of the invention include e.g. I [R1 = (un)substituted C1-6 alkyl, (un)substituted CH2(C1-4 alkenyl), (un)substituted CH2(C1-6 alkynyl); X = anion of pharmaceutically acceptable acid]. Preparation of selected compds., e.g. (3R)-3-(2-hydroxy-5-methylphenyl)-N,N-diisopropyl-N-methyl-3-phenylpropan-1-aminium bromide, is included.

IT 518360-93-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(quaternary ammonium compds. for treatment of irritable bowel syndrome)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Br^-

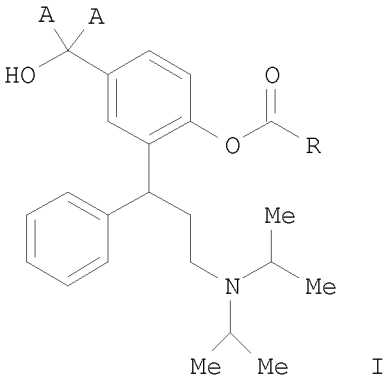
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878361 CAPLUS
DOCUMENT NUMBER: 141:370546
TITLE: Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315917	A1	20041118	DE 2003-10315917	20030408
AU 2004228163	A1	20041021	AU 2004-228163	20040403

AU 2004228163	B2	20070607			
CA 2505848	A1	20041021	CA 2004-2505848		20040403
BR 2004006221	A	20050809	BR 2004-6221		20040403
EP 1613584	A1	20060111	EP 2004-725610		20040403
EP 1613584	B1	20071121			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1802345	A	20060712	CN 2004-80009224		20040403
CN 100475775	C	20090408			
JP 2006522758	T	20061005	JP 2006-504989		20040403
ES 2297409	T3	20080501	ES 2004-725610		20040403
KR 912451	B1	20090814	KR 2005-717823		20040403
ZA 2005002679	A	20060426	ZA 2005-2679		20050331
MX 2005003562	A	20050603	MX 2005-3562		20050401
US 20060014832	A1	20060119	US 2005-532836		20050426
NO 2005005078	A	20051031	NO 2005-5078		20051031
HK 1087399	A1	20080718	HK 2006-107724		20060710
US 20090012159	A1	20090108	US 2008-141489		20080618
PRIORITY APPLN. INFO.:			DE 2003-10315917	A	20030408
			WO 2004-EP3567	W	20040403
			US 2005-532836	A3	20050426

OTHER SOURCE(S): MARPAT 141:370546
GI



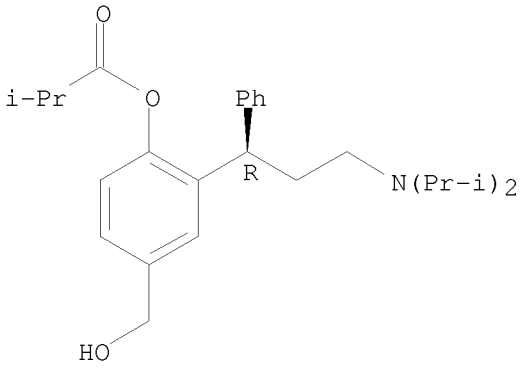
I

AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA

7-4300 and applied to a foil in order to prepare a transdermal delivery system.

IT 286930-02-7P, Fesoterodine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 286930-02-7 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

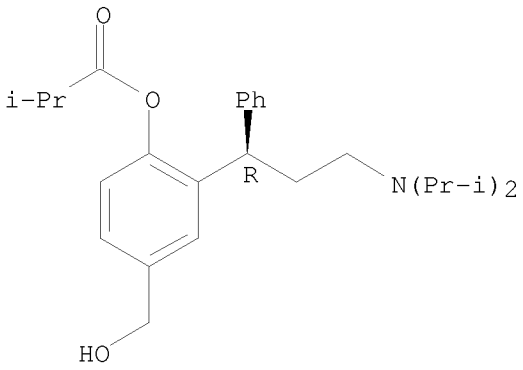


IT 777075-72-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 777075-72-6 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

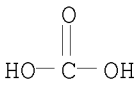
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 463-79-6
CMF C H2 O3



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:878163 CAPLUS
DOCUMENT NUMBER: 141:360690
TITLE: Combination therapies of asthma, COPD, allergic and infectious rhinitis
INVENTOR(S): Richards, Ivan Michael; Manning, Robert Everett
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209916	A1	20041021	US 2004-824315	20040413
CA 2522666	A1	20041028	CA 2004-2522666	20040405
WO 2004091596	A2	20041028	WO 2004-IB1170	20040405
WO 2004091596	A3	20050407		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG

EP 1620083	A2	20060201	EP 2004-725755		20040405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK					
BR 2004009492	A	20060502	BR 2004-9492		20040405
JP 2006523674	T	20061019	JP 2006-506483		20040405
MX 2005011225	A	20051214	MX 2005-11225		20051018
PRIORITY APPLN. INFO.:			US 2003-463975P	P	20030418
			WO 2004-IB1170	W	20040405

OTHER SOURCE(S): MARPAT 141:360690

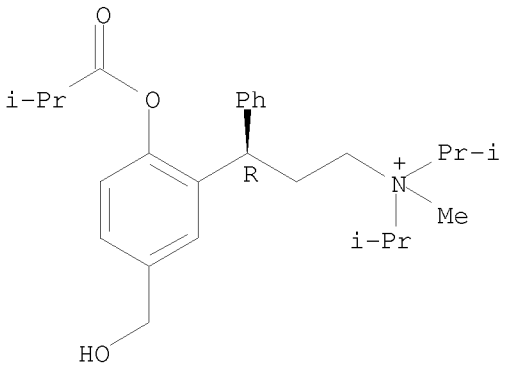
AB The invention is directed to methods of treating asthma, COPD, allergic rhinitis, and infectious rhinitis by administering a first pharmaceutical agent including one or more compds. selected from the quaternary ammonium compds. (Markush structures are included) and a second pharmaceutical agent including one or more pharmaceutical agents selected from Adenosine A2a Receptor Agonists, D2-Dopamine Receptor Agonists, Phosphodiesterase Inhibitors (PDE's), corticosteroids, norepinephrine reuptake inhibitors, 4-hydroxy-7-[2-[2-[3-[2-phenylethoxy]-propylsulfonyl]ethylamino]ethyl]-1,3-benzothiazol-2(3H)-one, and pharmaceutically acceptable salts thereof, and non-quaternized antimuscarinic compds.

IT 518360-93-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapies of asthma, COPD, allergic and infectious rhinitis)

RN 518360-93-5 CAPLUS

CN Benzenepropanaminium, 5-(hydroxymethyl)-N-methyl-N,N-bis(1-methylethyl)-2-(2-methyl-1-oxopropoxy)-γ-phenyl-, bromide, (γR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



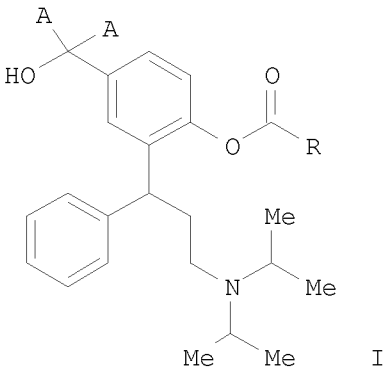
● Br^-

L7 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:872676 CAPLUS
DOCUMENT NUMBER: 141:337790
TITLE: Transdermal administration of (R)-3,3-diphenylpropylamine monoesters
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403
JP 2006522759	T	20061005	JP 2006-504992	20040403
NZ 539214	A	20070223	NZ 2004-539214	20040403
MX 2005003561	A	20050617	MX 2005-3561	20050401
US 20060029673	A1	20060209	US 2005-533683	20050426
KR 2006003334	A	20060110	KR 2005-718006	20050926
NO 2005004644	A	20051010	NO 2005-4644	20051010
US 20090274761	A1	20091105	US 2009-417405	20090402

PRIORITY APPLN. INFO.:	DE 2003-10315878	A	20030408
	WO 2004-EP3574	W	20040403
	US 2005-533683	A3	20050426

OTHER SOURCE(S): MARPAT 141:337790
GI



I

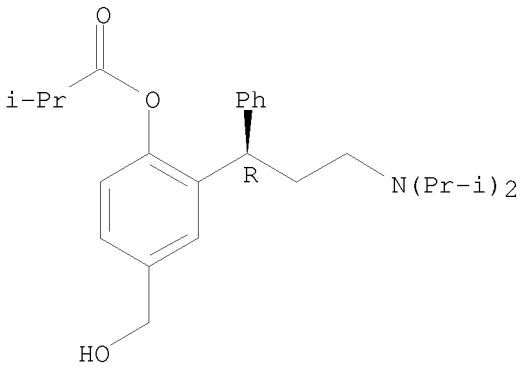
AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by * (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:950829 CAPLUS
DOCUMENT NUMBER: 140:13084
TITLE: Combination of selected opioids with other active substances for use in the therapy of urinary incontinence
INVENTOR(S): Christoph, Thomas
PATENT ASSIGNEE(S): Grunenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10224107	A1	20031211	DE 2002-10224107	20020529
AU 2003240717	A1	20031212	AU 2003-240717	20030527
EP 1507520	A1	20050223	EP 2003-730120	20030527
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050137194	A1	20050623	US 2004-998164	20041129
US 20060168942	A1	20060803	US 2005-545901	20050817
US 7246486	B2	20070724		

PRIORITY APPLN. INFO.:
DE 2002-10224107 A 20020529
WO 2003-EP5529 W 20030527

OTHER SOURCE(S): MARPAT 140:13084

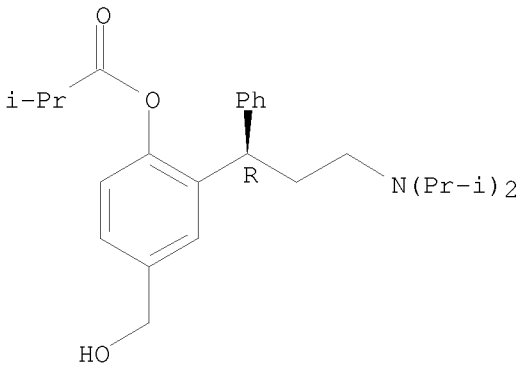
AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

IT 286930-02-7, Fesoterodine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid combination with other active substances for treatment of urinary incontinence)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:736261 CAPLUS

DOCUMENT NUMBER: 131:336818

TITLE: Preparation of 3,3-diphenylpropylamines as antimuscarinic agents.

INVENTOR(S): Sparf, Bengt; Meese, Claus O.

PATENT ASSIGNEE(S): Schwarz Pharma AG, Germany

SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 957073	A1	19991117	EP 1998-108608	19980512
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2328920	A1	19991118	CA 1999-2328920	19990511

CA 2328920	C	20080415			
WO 9958478	A1	19991118	WO 1999-EP3212		19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9941412	A	19991129	AU 1999-41412		19990511
AU 748057	B2	20020530			
BR 9910406	A	20010109	BR 1999-10406		19990511
EP 1077912	A1	20010228	EP 1999-924929		19990511
EP 1077912	B1	20020703			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
HU 2001000779	A2	20010828	HU 2001-779		19990511
HU 226490	B1	20090302			
TR 200003319	T2	20011221	TR 2000-3319		19990511
AT 220056	T	20020715	AT 1999-924929		19990511
EP 1254890	A1	20021106	EP 2002-13481		19990511
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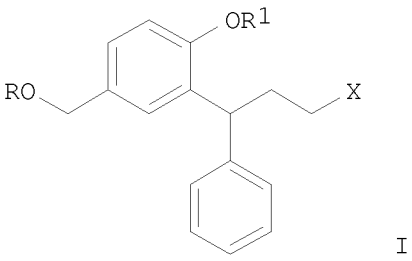
NZ 507487	A	20021126	NZ 1999-507487		19990511
ES 2181443	T3	20030216	ES 1999-924929		19990511
RU 2199525	C2	20030227	RU 2000-125813		19990511
JP 2003519079	T	20030617	JP 2000-548284		19990511
JP 3929702	B2	20070613			
CN 1207268	C	20050622	CN 1999-806038		19990511
CN 1690041	A	20051102	CN 2005-10070299		19990511
CN 100491336	C	20090527			
CZ 296605	B6	20060412	CZ 2000-3774		19990511
PL 195581	B1	20071031	PL 1999-347823		19990511
SK 286052	B6	20080205	SK 2000-1547		19990511
CZ 299721	B6	20081029	CZ 2006-29		19990511
ZA 2000005728	A	20010305	ZA 2000-5728		20001017
NO 2000005669	A	20010111	NO 2000-5669		20001110
NO 326872	B1	20090309			
MX 2000011096	A	20020604	MX 2000-11096		20001110
US 6713464	B1	20040330	US 2001-700094		20010102
HK 1046269	A1	20050923	HK 2002-107859		20021030
US 20040186061	A1	20040923	US 2004-766263		20040127
US 7230030	B2	20070612			
US 20060270738	A1	20061130	US 2005-201756		20050810
US 7384980	B2	20080610			
JP 2007084552	A	20070405	JP 2006-283861		20061018
JP 2007204481	A	20070816	JP 2007-39857		20070220
US 20090042981	A1	20090212	US 2008-105016		20080417
PRIORITY APPLN. INFO.:			EP 1998-108608	A	19980512
			CN 1999-806038	A3	19990511
			EP 1999-924929	A3	19990511
			JP 2000-548284	A3	19990511
			WO 1999-EP3212	W	19990511
			US 2001-700094	A1	20010102
			US 2004-766263	A1	20040127
			US 2005-201756	A1	20050810

OTHER SOURCE(S): MARPAT 131:336818
GI



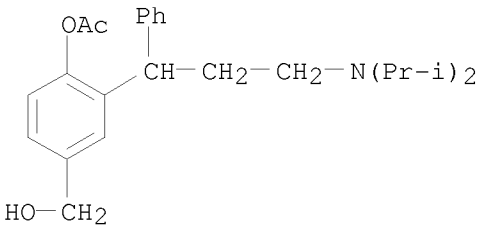
I

AB Title compds. (I; R = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, CHO, Ac, propionyl, isobutyryl, aminocarbonyl, aminosulfonyl, MeO2C, etc.; R1 = H, Me, Et, Pr, Me2CH, Bu, iso-Bu, pentyl, hexyl, PhCH2, alkyl, phenylalkyl; Z = NR8R9; R8, R9 = hydrocarbyl; NR8R9 = atoms to form a ring; with a proviso), were prepared as antimuscarinic agents (no data). Thus, 4-bromophenol, cinnamoyl chloride, and Et3N were stirred 18 h in CH2Cl2 to give 99.8% 3-phenylacrylic acid 4-bromophenyl ester. This was refluxed 2 h with HOAc/H2SO4 to give 43.8% 6-bromo-4-phenylchroman-2-one. The latter was refluxed with benzyl bromide, K2CO3, and NaI in acetone/MeOH to give 102.1% crude Me 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropionate, which was stirred with LiAlH4 in THF to give 96.3% 3-(2-benzyloxy-5-bromophenyl)-3-phenylpropan-1-ol. This was stirred with tosyl chloride and pyridine in CH2Cl2 for 18 h to give 93.6% tosylate ester, which was refluxed 97 h with diisopropylamine in MeCN to give 77.9% [3-(2-benzyloxy-5-bromophenyl)-3-phenylpropyl]diisopropylamine. The latter was converted in several steps to 2-(3-diisopropylamino-1-phenylpropyl)-4-hydroxymethylphenol, which was acylated to give I.

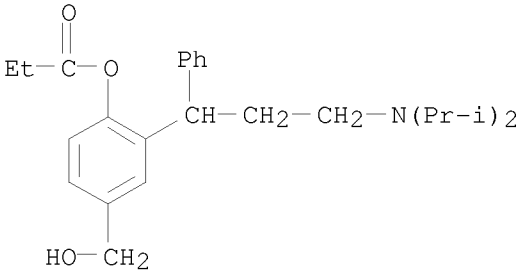
IT 250214-41-6P 250214-42-7P 250214-43-8P
250214-44-9P 250214-45-0P 250214-46-1P
250214-47-2P 250214-48-3P 250214-49-4P
250214-50-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,3-diphenylpropylamines as antimuscarinic agents)

RN 250214-41-6 CAPLUS

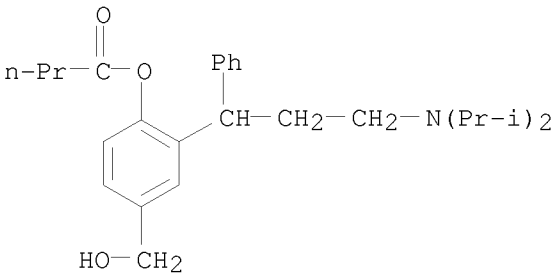
CN Benzenemethanol, 4-(acetyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)



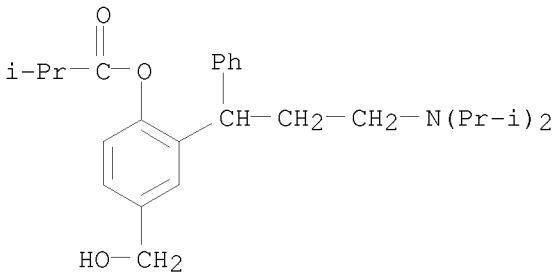
RN 250214-42-7 CAPLUS
CN Benzenemethanol, 3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(1-oxopropoxy)- (CA INDEX NAME)



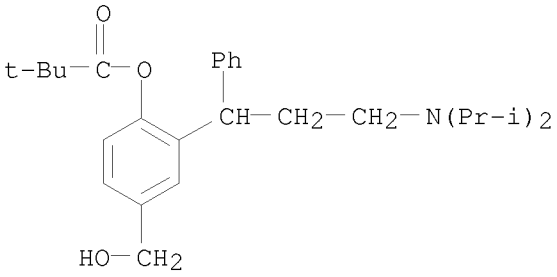
RN 250214-43-8 CAPLUS
CN Butanoic acid, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



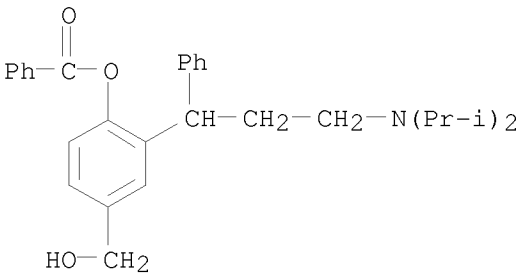
RN 250214-44-9 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



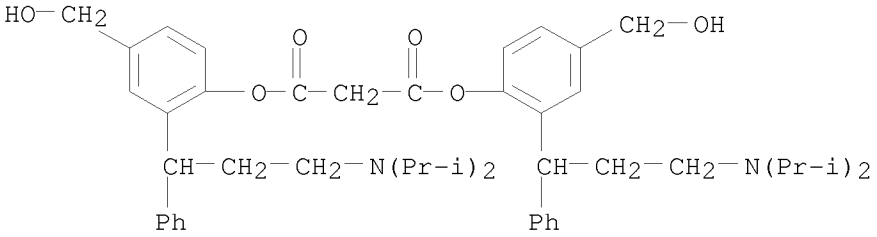
RN 250214-45-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)



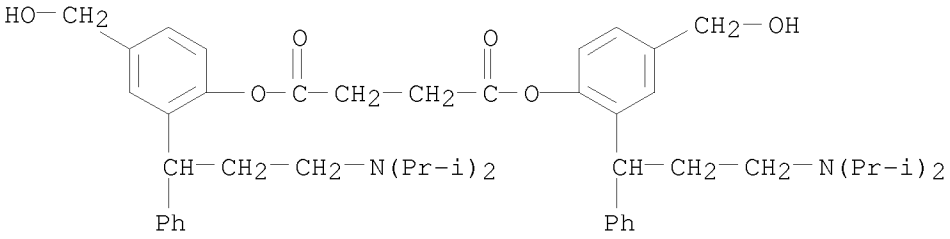
RN 250214-46-1 CAPLUS
CN Benzenemethanol, 4-(benzoyloxy)-3-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]- (CA INDEX NAME)



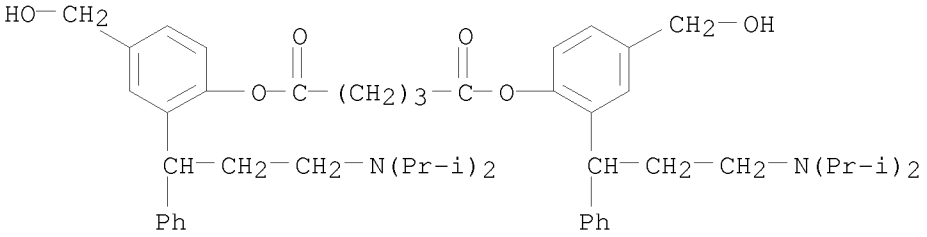
RN 250214-47-2 CAPLUS
CN Propanedioic acid, 1,3-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



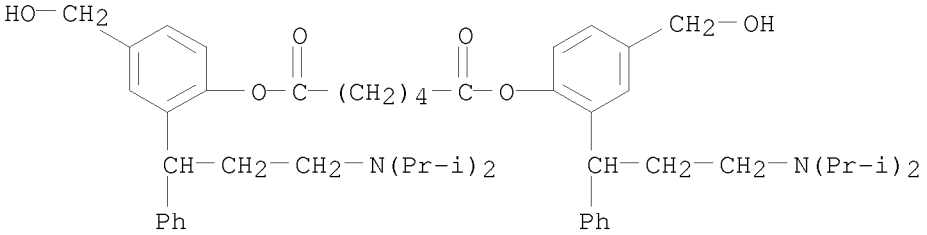
RN 250214-48-3 CAPLUS
CN Butanedioic acid, 1,4-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



RN 250214-49-4 CAPLUS
CN Pentanedioic acid, 1,5-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



RN 250214-50-7 CAPLUS
CN Hexanedioic acid, 1,6-bis[2-[3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl] ester (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D L8 IBIB ABS HITSTR 1-3

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:670446 CAPLUS
DOCUMENT NUMBER: 150:572448
TITLE: Transdermal delivery system for fesoterodine
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael
PATENT ASSIGNEE(S): Schwarz Pharma A.-G., Germany
SOURCE: Ger., 26pp.

CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315878	B4	20090604	DE 2003-10315878	20030408
DE 10315878	A1	20041104		
AU 2004228927	A1	20041021	AU 2004-228927	20040403
AU 2004228927	B2	20070517		
CA 2505780	A1	20041021	CA 2004-2505780	20040403
CA 2505780	C	20081216		
WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1530461	A1	20050518	EP 2004-725614	20040403
EP 1530461	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004006212	A	20050816	BR 2004-6212	20040403
CN 1767820	A	20060503	CN 2004-80009176	20040403
CN 100441179	C	20081210		
JP 2006522759	T	20061005	JP 2006-504992	20040403
NZ 539214	A	20070223	NZ 2004-539214	20040403
AT 374605	T	20071015	AT 2004-725614	20040403
ES 2295848	T3	20080416	ES 2004-725614	20040403
MX 2005003561	A	20050617	MX 2005-3561	20050401
ZA 2005002681	A	20051013	ZA 2005-2681	20050401
US 20060029673	A1	20060209	US 2005-533683	20050426
KR 2006003334	A	20060110	KR 2005-718006	20050926
NO 2005004644	A	20051010	NO 2005-4644	20051010
US 20090274761	A1	20091105	US 2009-417405	20090402

PRIORITY APPLN. INFO.:		DE 2003-10315878	A	20030408
		WO 2004-EP3574	W	20040403
		US 2005-533683	A3	20050426

AB The invention concerns a transdermal drug delivery system for (R)-2 [3-(1,1-diisopropylamino)-1-phenylpropyl] -4-(hydroxymethyl)phenyl isobutyrate (Fesoterodin) in form of a plaster that includes (a) a fesoterodine-containing adhesive matrix; (b) a protective layer that is removed upon application; (c) the adhesive matrix is a polymer matrix with 50-95 weight% adhesive selected from the group of acrylate-vinylacrylate copolymers, EVA (ethylene vinylacetate)-based adhesive, silicone, styrene block copolymers, adhesive rubbers polyisobutylene, polybutadiene, neoprene and polyisoprene. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight% ozokerite was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G

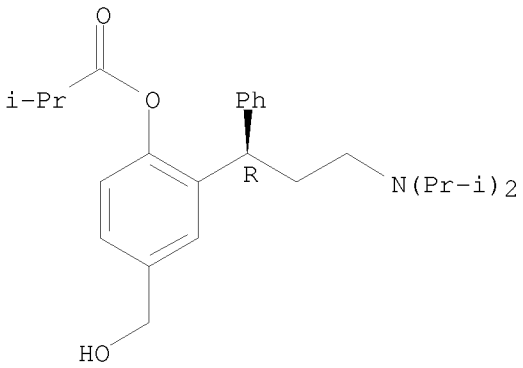
fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

IT 286930-02-7P, Fesoterodine
RL: PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(transdermal delivery system for fesoterodine)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 286930-03-8P, Fesoterodine fumarate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(transdermal delivery system for fesoterodine)

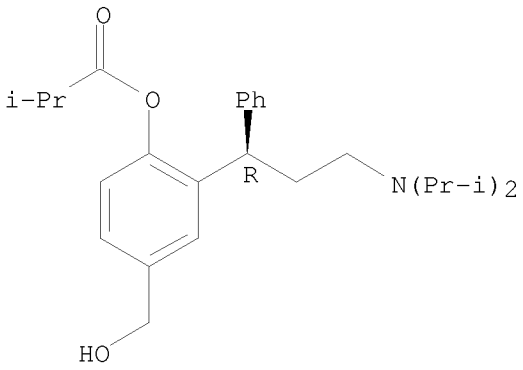
RN 286930-03-8 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 286930-02-7
CMF C26 H37 N O3

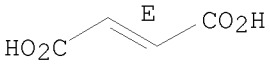
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1161316 CAPLUS
DOCUMENT NUMBER: 150:298553
TITLE: The effects of antimuscarinic treatments in overactive bladder: an update of a systematic review and meta-analysis
AUTHOR(S): Chapple, Christopher R.; Khullar, Vik; Gabriel, Zahava; Muston, Dominic; Bitoun, Caty Ebel; Weinstein, David
CORPORATE SOURCE: Royal Hallamshire Hospital, Urology Research, Sheffield Teaching Hospital NHS Trust, Sheffield, UK
SOURCE: European Urology (2008), 54(3), 543-562
CODEN: EUURAV; ISSN: 0302-2838
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Context: Antimuscarinic agents are currently the first-line pharmacotherapy for overactive bladder. Objectives: A systematic review published in 2005 was updated, including data on a newly licensed antimuscarinic (fesoterodine). The primary aim of this study was to systematically review evidence on the efficacy of licensed administration of antimuscarinic treatments in overactive bladder from randomised controlled trials. Secondary aims were to review evidence on tolerability and safety and health-related quality of life (HRQL). Evidence acquisition: All relevant data sources from randomised controlled trials were searched, and two independent reviewers considered publications for

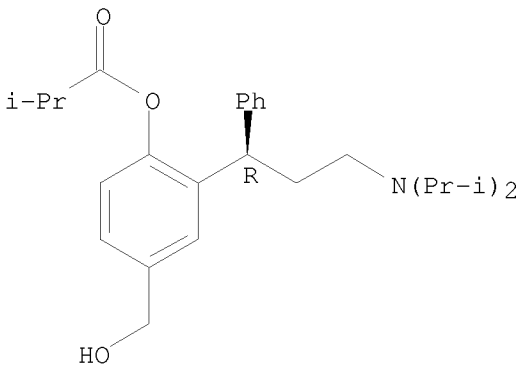
inclusion and extracted relevant data. Meta-anal. was used to pool efficacy, tolerability, safety, and HRQL outcomes by treatment. Efficacy was measured by continent days, mean voided volume, urgency episodes, and micturition frequency. Tolerability and safety were measured by means of adverse event and withdrawal rates. HRQL was measured by various instruments. Evidence synthesis: An addnl. 1118 refs. were retrieved with data on 83 studies extracted Antimuscarinics were found to be more effective than placebo. Tolerability was good; few of the antimuscarinics were found to have significantly higher withdrawal rates in comparison to placebo. No serious adverse event for any product was statistically significant compared to placebo. Dry mouth (mild, moderate, severe) was the most commonly reported adverse event (29.6% on treatment vs 7.9% on placebo), followed by pruritus (15.4% on treatment vs 5.2% on placebo). Improvements were seen in HRQL with treatment by darifenacin, fesoterodine, oxybutynin transdermal delivery system, propiverine extended release (ER), solifenacin, tolterodine ER and immediate release, and trospium. Limitations of the study include restrictions on the types of patients typically included in overactive bladder trials and topics that have not been adequately addressed in the current antimuscarinic literature. Conclusions: Antimuscarinics are efficacious, safe, and well-tolerated treatments that improve HRQL. Profiles of each drug and dosage differ and should be considered in making treatment choices.

IT 286930-02-7, Fesoterodine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fesoterodine showed efficacy, safety and well tolerated treatment in patient with overactive bladder)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:878361 CAPLUS

DOCUMENT NUMBER: 141:370546

TITLE: Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems
INVENTOR(S): Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland
PATENT ASSIGNEE(S): Schwarz Pharma Ag, Germany
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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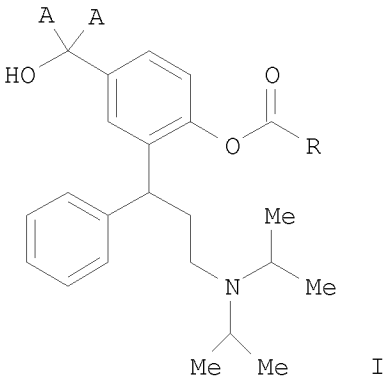
DE 10315917	A1	20041118	DE 2003-10315917	20030408
AU 2004228163	A1	20041021	AU 2004-228163	20040403
AU 2004228163	B2	20070607		
CA 2505848	A1	20041021	CA 2004-2505848	20040403
BR 2004006221	A	20050809	BR 2004-6221	20040403
EP 1613584	A1	20060111	EP 2004-725610	20040403
EP 1613584	B1	20071121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1802345	A	20060712	CN 2004-80009224	20040403
CN 100475775	C	20090408		
JP 2006522758	T	20061005	JP 2006-504989	20040403
ES 2297409	T3	20080501	ES 2004-725610	20040403
KR 912451	B1	20090814	KR 2005-717823	20040403
ZA 2005002679	A	20060426	ZA 2005-2679	20050331
MX 2005003562	A	20050603	MX 2005-3562	20050401
US 20060014832	A1	20060119	US 2005-532836	20050426
NO 2005005078	A	20051031	NO 2005-5078	20051031
HK 1087399	A1	20080718	HK 2006-107724	20060710
US 20090012159	A1	20090108	US 2008-141489	20080618

PRIORITY APPLN. INFO.: DE 2003-10315917 A 20030408
WO 2004-EP3567 W 20040403
US 2005-532836 A3 20050426

OTHER SOURCE(S): MARPAT 141:370546
GI



I

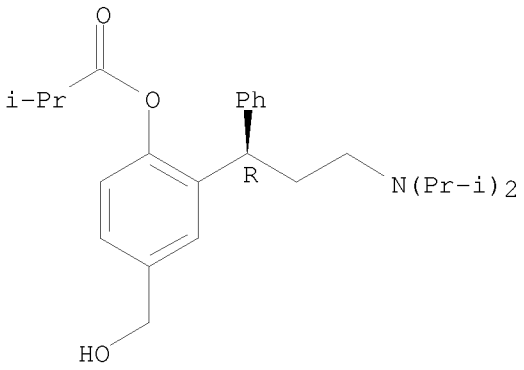
AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a * (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

IT 286930-02-7P, Fesoterodine
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RN 286930-02-7 CAPLUS

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

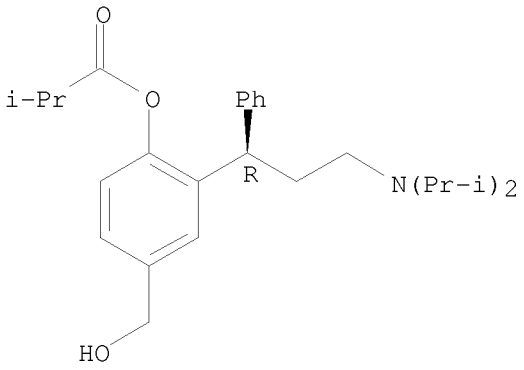


IT 777075-72-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
RN 777075-72-6 CAPLUS
CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, carbonate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

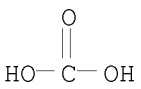
CRN 286930-02-7
CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).



CM 2

CRN 463-79-6
CMF C H2 O3



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	558.10	744.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-77.08	-77.08

STN INTERNATIONAL LOGOFF AT 14:34:27 ON 18 NOV 2009